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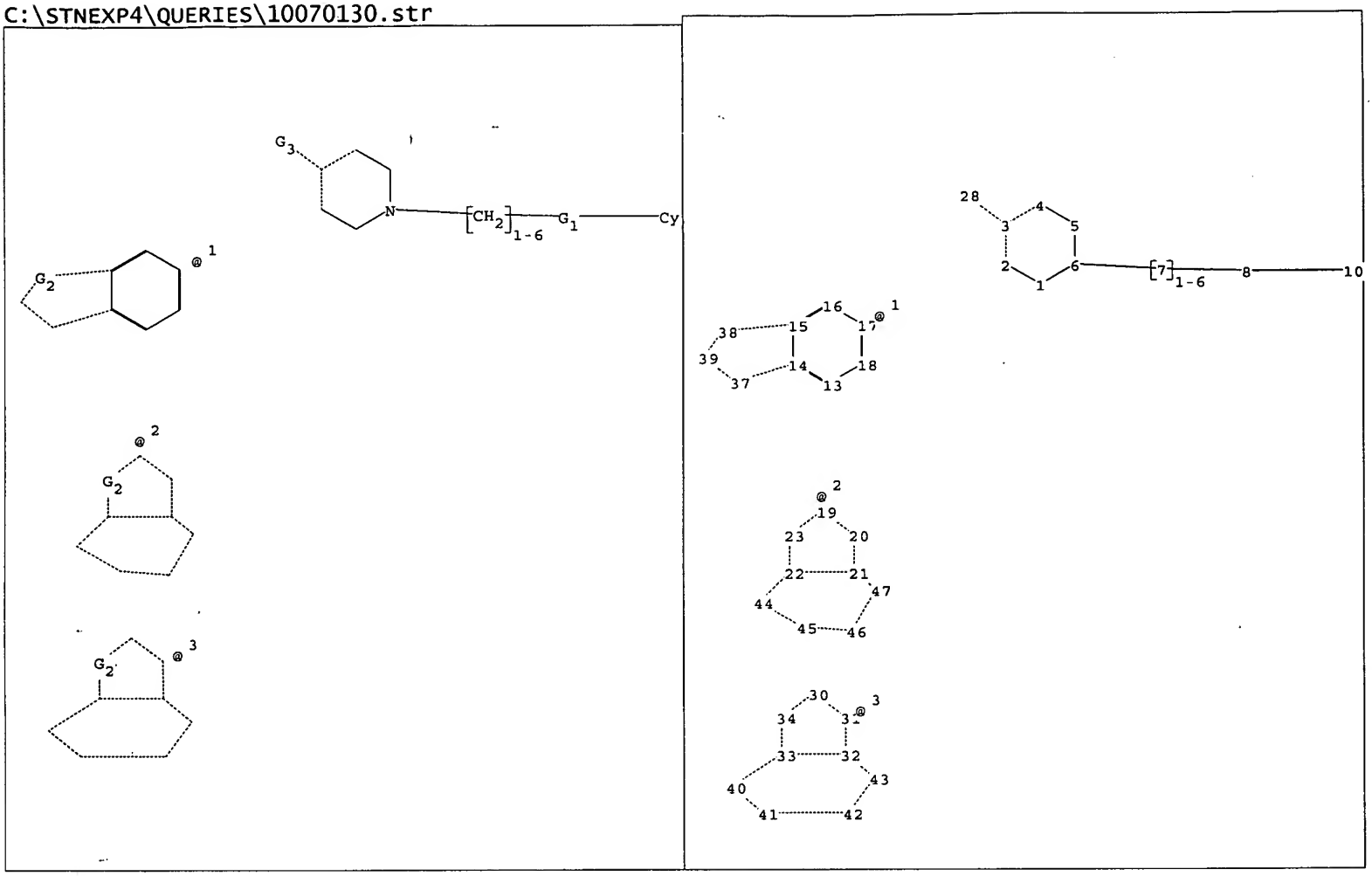


chain nodes :
7 8 10 28
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18 19 20 21 22 23 30 31 32 33 34 37 38
39 40 41 42 43 44 45 46 47
chain bonds :
3-28 6-7 7-8 8-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 14-37 15-16 15-38 16-17 17-18 19-20
19-23 20-21 21-22 21-47 22-23 22-44 30-31 30-34 31-32 32-33 32-43 33-34 33-40
37-39 38-39 40-41 41-42 42-43 44-45 45-46 46-47
exact/norm bonds :
1-2 1-6 2-3 3-4 3-28 4-5 5-6 6-7 7-8 8-10 14-37 15-38 19-20 19-23 20-21
21-22 21-47 22-23 22-44 30-31 30-34 31-32 32-33 32-43 33-34 33-40 37-39 38-39
40-41 41-42 42-43 44-45 45-46 46-47
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18
isolated ring systems :
containing 1 :

G1:C,S

G2:O,S

G3:[*1],[*2],[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:Atom 13:Atom 14:Atom
15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 28:CLASS
30:CLASS 31:CLASS 32:Atom 33:Atom 34:Atom 37:Atom 38:Atom 39:Atom 40:Atom 41:Atom
42:Atom 43:Atom 44:Atom 45:Atom 46:Atom 47:Atom

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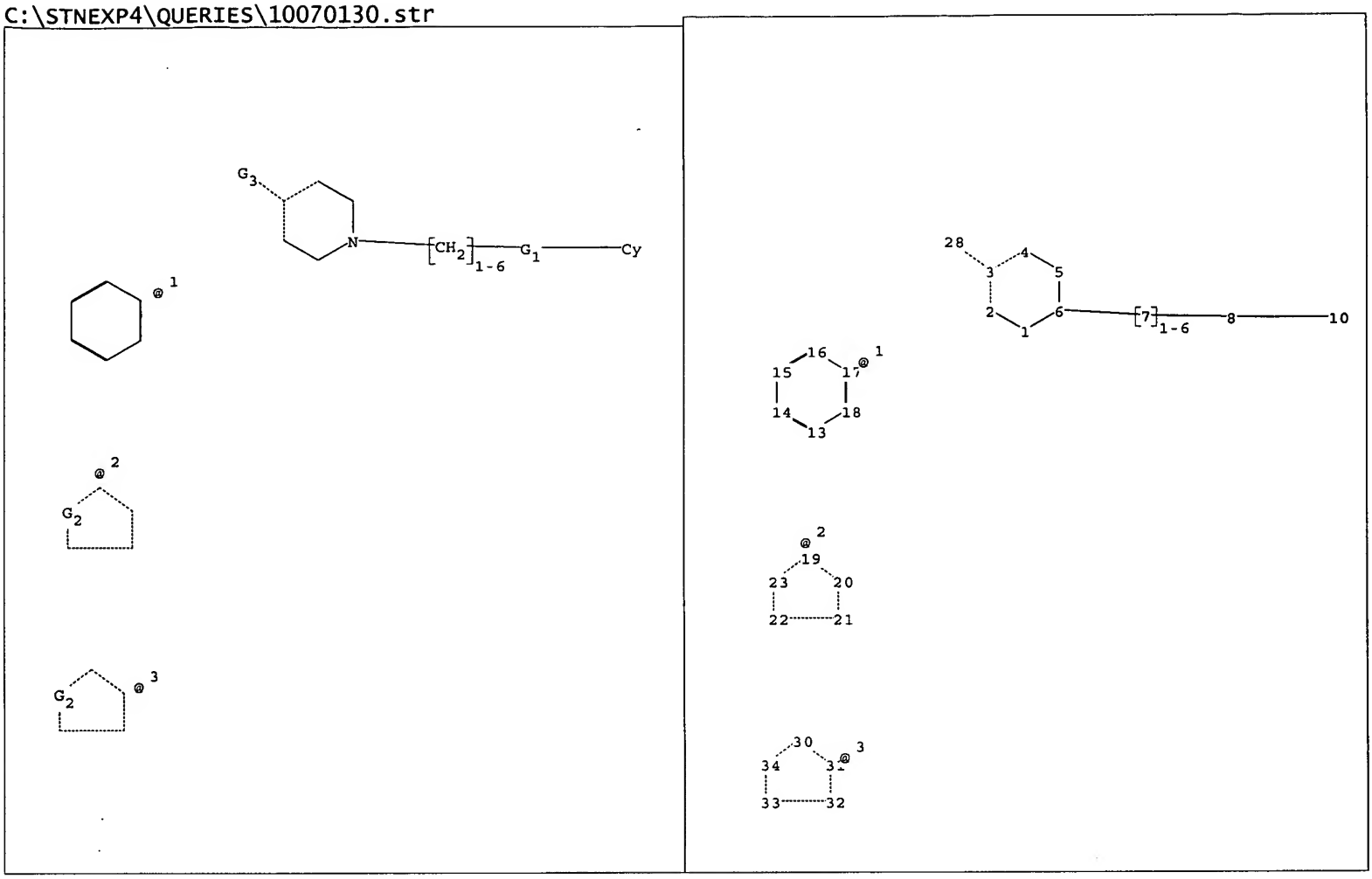


chain nodes :
7 8 10 28
ring nodes :
1 2 3 4 5 6 13 14 15 16 17 18 19 20 21 22 23 30 31 32 33 34
chain bonds :
3-28 6-7 7-8 8-10
ring bonds :
1-2 1-6 2-3 3-4 4-5 5-6 13-14 13-18 14-15 15-16 16-17 17-18 19-20 19-23 20-21
21-22 22-23 30-31 30-34 31-32 32-33 33-34
exact/norm bonds :
1-2 1-6 2-3 3-4 3-28 4-5 5-6 6-7 7-8 8-10 19-20 19-23 20-21 21-22 22-23
30-31 30-34 31-32 32-33 33-34
normalized bonds :
13-14 13-18 14-15 15-16 16-17 17-18
isolated ring systems :
containing 1 :

G1:C,S

G2:O,S

G3:[*1],[*2],[*3]

Match level :
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 10:Atom 13:Atom 14:Atom
15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:Atom 21:Atom 22:Atom 23:Atom 28:CLASS
30:CLASS 31:CLASS 32:Atom 33:Atom 34:Atom

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TERMINAL (ENTER 1, 2, 3, OR ?):2


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                   present
 NEWS  4  Jul 15   Data from 1960-1976 added to RDISCLOSURE
 NEWS  5  Jul 21   Identification of STN records implemented
 NEWS  6  Jul 21   Polymer class term count added to REGISTRY
 NEWS  7  Jul 22   INPADOC: Basic index (/BI) enhanced; Simultaneous Left and
                   Right Truncation available
 NEWS  8  AUG 05   New pricing for EUROPATFULL and PCTFULL effective
                   August 1, 2003
 NEWS  9  AUG 13   Field Availability (/FA) field enhanced in BEILSTEIN
 NEWS 10  AUG 15   PATDPAFULL: one FREE connect hour, per account, in
                   September 2003
 NEWS 11  AUG 15   PCTGEN: one FREE connect hour, per account, in
                   September 2003
 NEWS 12  AUG 15   RDISCLOSURE: one FREE connect hour, per account, in
                   September 2003
 NEWS 13  AUG 15   TEMA: one FREE connect hour, per account, in
                   September 2003
 NEWS 14  AUG 18   Data available for download as a PDF in RDISCLOSURE
 NEWS 15  AUG 18   Simultaneous left and right truncation added to PASCAL
 NEWS 16  AUG 18   FROSTI and KOSMET enhanced with Simultaneous Left and Righ
                   Truncation
 NEWS 17  AUG 18   Simultaneous left and right truncation added to ANABSTR
 NEWS 18  SEP 22   DIPPR file reloaded
 NEWS 19  SEP 25   INPADOC: Legal Status data to be reloaded

 NEWS EXPRESS  April 4 CURRENT WINDOWS VERSION IS V6.01a, CURRENT
               MACINTOSH VERSION IS V6.0b(ENG) AND V6.0Jb(JP),
               AND CURRENT DISCOVER FILE IS DATED 01 APRIL 2003
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FILE 'HOME' ENTERED AT 15:49:43 ON 25 SEP 2003

=> file reg

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 0.21 | 0.21 |

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DICTIONARY FILE UPDATES: 24 SEP 2003 HIGHEST RN 592465-25-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

FILE COVERS 1907 - 25 Sep 2003 VOL 139 ISS 13
FILE LAST UPDATED: 24 Sep 2003 (20030924/ED)

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> file reg

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| FULL ESTIMATED COST | 0.48 | 149.66 |

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STRUCTURE FILE UPDATES: 24 SEP 2003 HIGHEST RN 592465-25-3
DICTIONARY FILE UPDATES: 24 SEP 2003 HIGHEST RN 592465-25-3

TSCA INFORMATION NOW CURRENT THROUGH JULY 14, 2003

Please note that search-term pricing does apply when conducting SmartSELECT searches.

Crossover limits have been increased. See HELP CROSSOVER for details.

Experimental and calculated property data are now available. See HELP PROPERTIES for more information. See STNote 27, Searching Properties in the CAS Registry File, for complete details:
http://www.cas.org/ONLINE/STN/STNOTES/stnotes27.pdf

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Uploading 10070130.str

L5 STRUCTURE UPLOADED

=> s l5 sam
SAMPLE SEARCH INITIATED 15:57:07 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6219 TO ITERATE

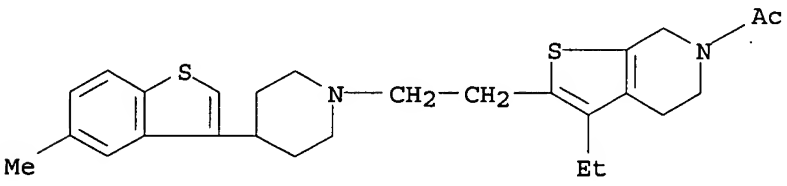
16.1% PROCESSED 1000 ITERATIONS 1 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 119654 TO 129106
PROJECTED ANSWERS: 1 TO 273

L6 1 SEA SSS SAM L5

=> dis

L6 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2003 ACS on STN
RN 180268-00-2 REGISTRY
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(5-methylbenzo[b]thien-3-yl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)
FS 3D CONCORD
MF C27 H34 N2 O S2
SR CA
LC STN Files: CA, CAPLUS, USPATFULL




**PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT**

1 REFERENCES IN FILE CA (1907 TO DATE)
1 REFERENCES IN FILE CAPLUS (1907 TO DATE)

=> s l5 full
FULL SEARCH INITIATED 15:57:19 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 125177 TO ITERATE

100.0% PROCESSED 125177 ITERATIONS 220 ANSWERS
SEARCH TIME: 00.00.02

L7 220 SEA SSS FUL L5

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                                                           ENTRY    SESSION
FULL ESTIMATED COST                                       150.23     299.89

FILE 'CAOLD' ENTERED AT 15:57:29 ON 25 SEP 2003
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FILE COVERS 1907-1966
FILE LAST UPDATED:  01 May 1997 (19970501/UP)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.  Title keywords, authors, patent
  assignees, and patent information, e.g., patent numbers, are
  now searchable from 1907-1966.  TIFF images of CA abstracts
  printed between 1907-1966 are available in the PAGE
  display formats.

  This file supports REG1stRY for direct browsing and searching of
  all substance data from the REGISTRY file.  Enter HELP FIRST for
  more information.

=> s l7
L8            0 L7

=> file caplus
COST IN U.S. DOLLARS                                    SINCE FILE      TOTAL
                                                           ENTRY    SESSION
FULL ESTIMATED COST                                         0.40     300.29

FILE 'CAPLUS' ENTERED AT 15:57:37 ON 25 SEP 2003
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FILE COVERS 1907 - 25 Sep 2003  VOL 139 ISS 13
FILE LAST UPDATED: 24 Sep 2003  (20030924/ED)

  This file contains CAS Registry Numbers for easy and accurate
  substance identification.


=> s l7
L9            37 L7

=> s l9 and pd<september 1999
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19663412 PD<SEPTEMBER 1999
(PD<19990900)
L10 28 L9 AND PD<SEPTEMBER 1999

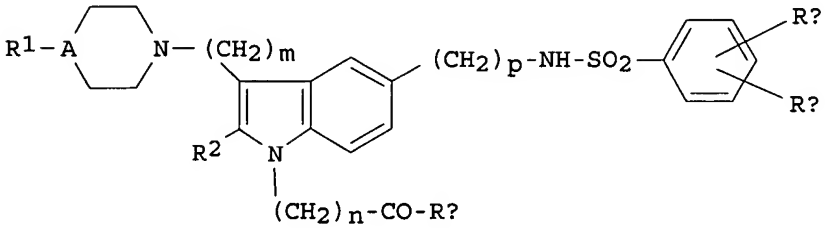
=> s l9 not l10
L11 9 L9 NOT L10

=> dis l11 1-9 bib abs

L11 ANSWER 1 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2003:40167 CAPLUS
DN 138:89686
TI Preparation of indole-containing benzenesulfonamides as antagonists of TXA2 and 5-HT2 receptors, process for their preparation, pharmaceutical compositions containing them and therapeutic uses such as platelet aggregation inhibitors
IN Lavielle, Gilbert; Cimetiere, Bernard; Verbeuren, Tony; Simonet, Serge; Vayssettes-Courchay, Christine
PA Les Laboratoires Servier, Fr.
SO Eur. Pat. Appl., 18 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 1275644 | A1 | 20030115 | EP 2002-291746 | 20020711 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK | | | | |
| | FR 2827287 | A1 | 20030117 | FR 2001-9338 | 20010713 |
| | JP 2003064055 | A2 | 20030305 | JP 2002-200910 | 20020710 |
| | BR 2002002674 | A | 20030506 | BR 2002-2674 | 20020710 |
| | NO 2002003389 | A | 20030114 | NO 2002-3389 | 20020712 |
| | US 2003109533 | A1 | 20030612 | US 2002-195031 | 20020712 |
| | US 6589956 | B2 | 20030708 | | |
| | CN 1397550 | A | 20030219 | CN 2002-124161 | 20020715 |
| PRAI | FR 2001-9338 | A | 20010713 | | |

OS MARPAT 138:89686
GI




I

AB Benzenesulfonamides (shown as I; variables defined below; e.g. 3-[3-[2-[4-(1,2-benzisothiazol-3-yl)-1-piperazinyl]ethyl]-5-[2-[[(4-chlorophenyl)sulfonyl]amino]ethyl]-1H-indol-1-yl]propanoic acid (example 6)), methods for their prepn., pharmaceutical compns. and therapeutic uses as antagonists of TXA2 and 5-HT2 receptors are claimed. Example 6 exhibits IC50 values for inhibition of platelet aggregation induced by

TXA2 and that produced by 5-hydroxytryptamine of 1.5 and 3.0 .mu.M. Ten example prepns. of I and 3 of intermediates are included. 3-[5-[2-[[(4-Chlorophenyl)sulfonyl]amino]ethyl]-3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-1H-indol-1-yl]propanoic acid was prepd. via intermediates N-[2-(4-aminophenyl)ethyl]-4-chlorobenzenesulfonamide, 4-chloro-N-[2-(4-hydrazinophenyl)ethyl]benzenesulfonamide, 4-chloro-N-[2-[3-(2-hydroxyethyl)-1H-indol-5-yl]ethyl]benzenesulfonamide, N-[2-[3-(2-bromoethyl)-1H-indol-5-yl]ethyl]-4-chlorobenzenesulfonamide, 4-chloro-N-[2-[3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-1H-indol-5-yl]ethyl]benzenesulfonamide, and 4-chloro-N-[2-[1-(2-cyanoethyl)-3-[2-[4-(4-fluorobenzoyl)-1-piperidinyl]ethyl]-1H-indol-5-yl]ethyl]benzenesulfonamide. For I: Ra = hydroxy, alkoxy, aryloxy, arylalkyloxy, amino, alkylamino, dialkylamino, arylamino, arylalkylamino. A = either CH (R1 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylcarbonyl, arylcarbonylalkyl, aryloxy, aryloxyalkyl, arylthio, arylthioalkyl, arylamino, arylalkylamino, heteroaryl, heteroarylalkyl, heteroarylcarbonyl, heteroarylcarbonylalkyl, heteroaryloxy, heteroaryloxyalkyl, heteroarylthio, heteroarylthioalkyl, heteroarylamino or heteroarylalkylamino), or N (R1 = H, alkyl, cycloalkyl, cycloalkylalkyl, aryl, arylcarbonyl, arylcarbonylalkyl, arylsulfonyl, aryloxyalkyl, arylthioalkyl, heteroaryl, heteroarylalkyl, heteroarylcarbonyl, heteroarylcarbonylalkyl, heteroaryloxyalkyl, heteroarylsulfonyl or heteroarylthioalkyl) or R1-A = O, C:CR3R4 (R3, R4 = H, aryl, alkyl, heteroaryl). R2 = H, alkyl; Rb, Rc = H, halo, alkyl, alkoxy, hydroxy,trihaloalkyl; n = 2-6; m and p = 0-6; addnl. details on the variables are given in the claims.

RE.CNT 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 2 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:539658 CAPLUS
DN 137:109294
TI Preparation of aryl piperidines and piperazines as inducers of LDL-receptor expression
IN Bouillot, Anne Marie Jeanne; Bombrun, Agnes; Dumaitre, Bernard Andre; Gosmini, Romain Luc Marie
PA Glaxosmithkline, UK
SO PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002055496 | A1 | 20020718 | WO 2001-GB158 | 20010115 |
| | WO 2002055496 | C1 | 20030717 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRAI WO 2001-GB158 20010115
OS MARPAT 137:109294
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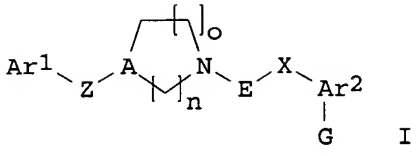

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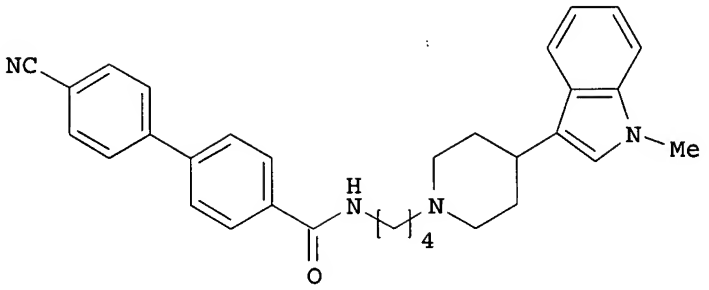

II

AB The title compds. [I; Ar1 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.; Z = a direct link, O, SO2, etc.; A = CR4, N; R4 = H, alkyl, OH, (un)substituted Ph; n = 1-3; o = 1-2; E = alkylene optionally contg. 1-2 double bonds or one triple bond and optionally incorporating an O, S, NH, N(alkyl) in the chain; X = a direct link, O, NHCO, etc.; Ar2 = (un)substituted Ph, 5-6 membered heteroaryl, bicyclic heteroaryl; G = H, YAr3; Y = a direct link, O, alkylene, etc.; Ar3 = (un)substituted Ph, naphthyl, Ph fused by cycloalkyl, etc.] and their physiol. acceptable salts, useful in the manuf. of a medicament for the treatment of diseases ameliorated by LDL-r upregulation, were prepd. Thus, amidation of 4-[4-(1-methyl-1H-indol-3-yl)piperidin-1-yl]butylamine (prepn. given) with 4'-cyanobiphenyl-4-carboxylic acid afforded 33% II which showed IC50 of 10 nM in assay for LDL-r promoting activity.

RE.CNT 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 3 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:487558 CAPLUS
DN 137:63260
TI Preparation of heterocyclyl-piperidinyl/piperazinyl-isochromans as CNS agents
IN Agejas-Chicharro, Javier; Bueno Melendo, Ana Belen; Camp, Nicholas Paul; Gilmore, Jeremy; Jimenez-Aguado, Alma Maria; Lamas-Peteira, Carlos; Marcos-Llorente, Alicia; Mazanetz, Michael Philip; Montero Salgado, Carlos; Timms, Graham Henry; Williams, Andrew Caerwyn
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 195 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 2002050067 | A2 | 20020627 | WO 2001-US45856 | 20011219 |
| WO 2002050067 | A3 | 20021010 | | |

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KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

| | | | | | |
|---|---|---|---|---|---|
| GB 2370270 | A1 | 20020626 | GB 2000-31084 | 20001220 | |
| AU 2002032468 | A5 | 20020701 | AU 2002-32468 | 20011219 | |
| EP 1345930 | A2 | 20030924 | EP 2001-991995 | 20011219 | |

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

PRAI GB 2000-31084 A 20001220
WO 2001-US45856 W 20011219

OS MARPAT 137:63260

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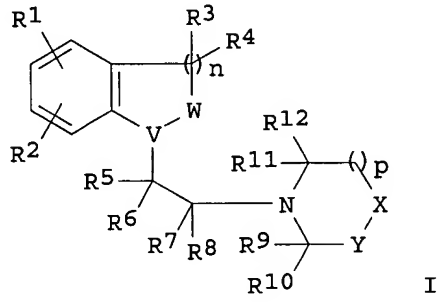

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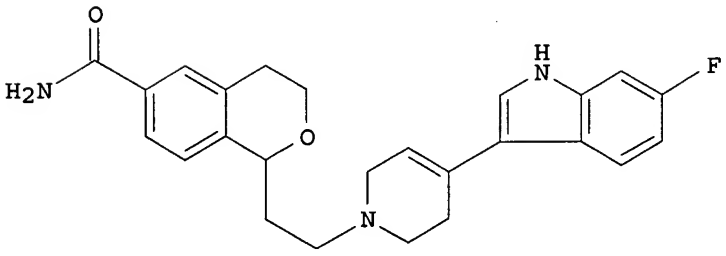


II

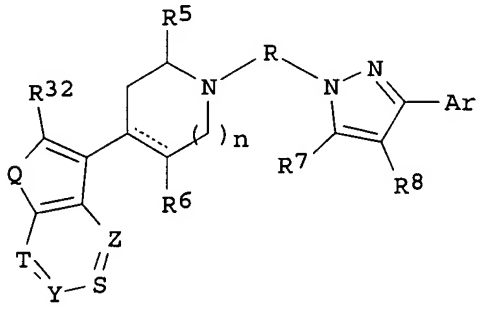
AB Title compds. I [R1 = CN, carboxamide, sulfonamide, heterocyclyl, etc.; R2 = R1, H, alkyl, alkoxy, halo; R3-10, R12 = H, alkyl; R9, R11 = H, alkyl; n = 1-2; p = 0-2; q = 1-2; W-V = CH2-CH, O-CH, S-CH, CH=C; X-Y = N(Z)-CH2, C(Q)(Z)-CH2, C(Z)=CH; Z = benzothiophenyl, benzofuranyl, etc.] were prepd. Over 100 synthetic examples were provided. For instance, 6-Fluoro-3-(1,2,3,6-tetrahydropyridin-4-yl)-1H-indole (prepn. given) was reacted with 2-[6-(aminocarbonyl)-3,4-dihydro-1H-2-benzopyran-1-yl]ethyl methanesulfonate (prepn. given) to afford II as a yellow solid. I are useful for treating central nervous system disorders (no data).

L11 ANSWER 4 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:184900 CAPLUS
DN 136:247577
TI Preparation of 3-phenyl-4,5,6,7-tetrahydropyrazolo[4,3-c]pyridines as cathepsin S inhibitors for treating allergies

IN Cai, Hui; Edwards, James P.; Gu, Yin; Karlsson, Lars; Meduna, Steven P.; Pio, Barbara A.; Sun, Siquan; Thurmond, Robin L.; Wei, Jianmei
PA Ortho McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 115 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002020013 | A2 | 20020314 | WO 2001-US27480 | 20010905 |
| | WO 2002020013 | A3 | 20020620 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | US 2002040019 | A1 | 20020404 | US 2001-927188 | 20010810 |
| | AU 2001088731 | A5 | 20020322 | AU 2001-88731 | 20010905 |
| | EP 1315492 | A2 | 20030604 | EP 2001-968487 | 20010905 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| PRAI | US 2000-230407P | P | 20000906 | | |
| | US 2001-927188 | A | 20010810 | | |
| | US 2000-225178P | P | 20000814 | | |
| | WO 2001-US27480 | W | 20010905 | | |

OS MARPAT 136:247577
GI

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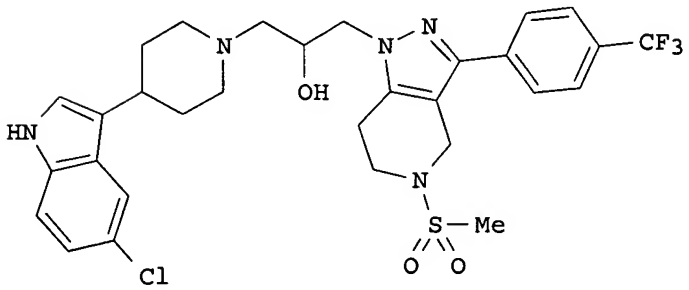



II

AB Title compds. I [wherein Ar = (un)substituted mono- or bicyclic (hetero)aryl; G = (un)substituted alkenediyl or alkanediyl; Q = O, S, or (un)substituted N; S, T, Y, and Z = independently N or (un)substituted C; R5 and R6 = independently H or alkyl; R7 and R8 = independently H, alkyl, alkenyl, alkoxy, alkylthio, halo, carbocyclyl, or heterocyclyl; or R7R8 = (un)substituted carbocyclic or heterocyclic ring; R32 = H, (hydroxy)alkyl, CN, acyl, carbamoyl, CHO, or alkoxycarbonyl; n = 0-2; or pharmaceutically acceptable salts, amides, esters, or stereoisomers thereof] were prepd. as cathepsin S inhibitors for the treatment of an allergic condition, including an atopic allergic conditions. For example, 1-methanesulfonylpiperidin-4-one (prepn. given) was condensed with morpholine in the presence of TsOH to give the enamine. Reaction with 4-CF3C6H4COCl, followed by cycloaddn. with H2NNH2, gave 5-methanesulfonyl-3-(4-trifluoromethylphenyl)-4,5,6,7-tetrahydro-1H-pyrazol[4,3-c]pyridine (72%). Alkylation with epichlorohydrin (35%) and addn. of 5-chloro-3-piperidin-4-yl-1H-indole (prepn. given) afforded II (88%). The latter inhibited recombinant human cathepsin S with IC50 of 0.07 .mu.M.

L11 ANSWER 5 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2002:142709 CAPLUS
DN 136:200183
TI Substituted and/or fused pyrazoles, particularly indolylpiperidinylpropyl-substituted pyrazolopyridines, useful as cathepsin S inhibitors, and their pharmaceutical compositions and use as immunosuppressants
IN Cai, Hui; Edwards, James P.; Meduna, Steven P.; Pio, Barbara A.; Wei, Jianmei
PA Ortho McNeil Pharmaceutical, Inc., USA
SO PCT Int. Appl., 119 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 8

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2002014317 | A2 | 20020221 | WO 2001-US25180 | 20010810 |
| | WO 2002014317 | A3 | 20020704 | | |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| | AU 2001084823 | A5 | 20020225 | AU 2001-84823 | 20010810 |
| | US 2002040019 | A1 | 20020404 | US 2001-927188 | 20010810 |
| | EP 1309592 | A2 | 20030514 | EP 2001-963912 | 20010810 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR | | | | |
| PRAI | US 2000-225178P | P | 20000814 | | |
| | US 2001-927188 | A | 20010810 | | |
| | WO 2001-US25180 | W | 20010810 | | |

OS MARPAT 136:200183
GI

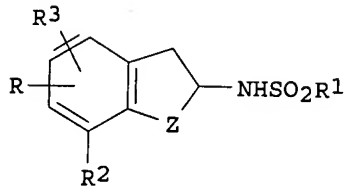
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Substituted pyrazoles I, methods of manufg. them, compns. contg. them, and methods of using them to treat, for example, autoimmune diseases mediated by cathepsin S, are described [W, X, Y, Z = N, (un)substituted CH (0-3 of them may be N; or 1 can be N-oxide when other 3 .noteq. N); R = H, alkyl, cyano, hydroxyalkyl, acyl, CHO, alkoxycarbonyl, or (un)substituted carbamoyl; R1, R2 = H, alkyl; R3, R4 = H, alkyl, alkenyl, alkoxy, alkylthio, halo, or 4- to 7-membered carbo- or heterocyclyl; or R3R4 = atoms to form (un)substituted (un)satd. (non)arom. 5- to 7-membered carbo- or heterocyclic ring; Ar = (un)substituted mono- or bicyclic (hetero)aryl; n = 0-2; G = (un)substituted C3-6 alkanediyl or alkenediyl (substituents = OH, halo, oxo, aminoalkyl, etc.); Q = O, S, (un)substituted NH; including stereoisomers, pharmaceutically acceptable salts, esters, and amides]. Claimed uses include treatment of lupus, rheumatoid arthritis, and particularly asthma, and inhibition of tissue transplant rejection. Approx. 70 individual compds. I were prepd. and/or claimed, with detailed prepns. given for 13 compds. For instance, 6-(morpholin-4-yl)-3-(piperidin-4-yl)-1H-pyrrolo[3,2-c]pyridine (prepd. in 5 steps) reacted with the corresponding epoxide (prepd. in several steps) to give title compd. II, a preferred compd. In an assay for inhibition of recombinant human cathepsin S in vitro, II had an IC50 of 0.02 .mu.M. Compd. III is another one of four specifically preferred compds.

L11 ANSWER 6 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:541632 CAPLUS
DN 135:122408
TI Preparation of sulfonamidohydronaphthalenealkanoates as 5-HT2 and TXA2 receptor antagonists
IN Lavielle, Gilbert; Cimetiere, Bernard; Verbeuren, Tony; Simonet, Serge; Descombes, Jean-Jacques
PA Adir et Compagnie, Fr.
SO Eur. Pat. Appl., 22 pp.
CODEN: EPXXDW
DT Patent
LA French
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 1118610 | A1 | 20010725 | EP 2001-400133 | 20010118 |
| | EP 1118610 | B1 | 20020821 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| | FR 2803848 | A1 | 20010720 | FR 2000-623 | 20000119 |
| | FR 2803848 | B1 | 20020215 | | |
| | JP 2001226353 | A2 | 20010821 | JP 2001-5908 | 20010115 |
| | NO 2001000305 | A | 20010720 | NO 2001-305 | 20010118 |
| | US 2001009915 | A1 | 20010726 | US 2001-764576 | 20010118 |
| | US 6469011 | B2 | 20021022 | | |
| | BR 2001000107 | A | 20010828 | BR 2001-107 | 20010118 |
| | AT 222586 | E | 20020915 | AT 2001-1400133 | 20010118 |
| | ES 2180524 | T3 | 20030216 | ES 2001-1400133 | 20010118 |
| | ZA 2001000565 | A | 20010730 | ZA 2001-565 | 20010119 |
| | CN 1305989 | A | 20010801 | CN 2001-101658 | 20010119 |
| | US 2002137742 | A1 | 20020926 | US 2002-97764 | 20020314 |
| | US 6545037 | B2 | 20030408 | | |
| PRAI | FR 2000-623 | A | 20000119 | | |
| | US 2001-764576 | A3 | 20010118 | | |

OS MARPAT 135:122408
GI



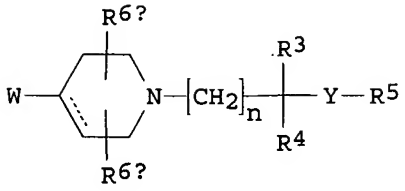
I

AB Title compds. [I; R = GT1; G = G1 or G1T2A; A = arylene; G1 = (un)substituted amino or N-attached heterocyclyl; R1 = (un)substituted Ph; R2 = (CH2)mCORa; Ra = OH, (ar)alkoxy, aryloxy; R3 = H, alkyl, aryl, etc.; T1 = (O-interrupted or terminated) alkylene; T2 = bond or (O-interrupted or terminated) alkylene; Z = (CH2)1-3; m = 0-6] were prepd. Thus, I (R1 = C6H4Cl-4, R2 = CH2CH2CO2Me, R3 = H, Z = CH2CH2)(II; R = 3-CH2CH2OTs) was aminated by 4-FC6H4COZ1H (Z1 = piperidine-4,1-diyl) to give II (R = 4-FC6H4COZ1CH2CH2). Data for biol. activity of a prepd. I were given.
RE.CNT 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 7 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2001:247332 CAPLUS
DN 134:280711
TI Preparation of 4-(benzothienyl)piperidines as serotonin reuptake inhibitors
IN Kohlman, Daniel Timothy; Liang, Sidney Xi; Xu, Yao-chang
PA Eli Lilly and Company, USA
SO PCT Int. Appl., 116 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2001023381 | A1 | 20010405 | WO 2000-US20824 | 20000914 |
| | W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| | BR 2000014447 | A | 20020611 | BR 2000-14447 | 20000914 |
| | JP 2003510322 | T2 | 20030318 | JP 2001-526533 | 20000914 |
| PRAI | US 1999-157343P | P | 19990929 | | |
| | WO 2000-US20824 | W | 20000914 | | |

OS MARPAT 134:280711
GI



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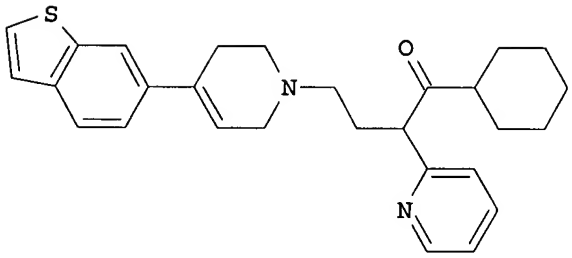

II

AB The title compds. [I; W = (un)substituted benzothienyl, benzofuranyl; Y = CO, CHOH, CH2, etc.; n = 1-4; R3 = O, OH, hydroxyalkyl, etc.; R4 = (un)substituted aryl, heterocyclyl,cycloalkyl; R5 = (un)substituted aryl, heterocyclyl,cycloalkyl; R6a, R6b = H, alkyl] which inhibit the reuptake of serotonin and antagonize the serotonin receptor, and therefore are useful in alleviating the symptoms caused by withdrawal or partial withdrawal from the use of tobacco or of nicotine, and treating depression, were prepd. and formulated. E.g., a multi-step synthesis of II was given.

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 8 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 2000:911215 CAPLUS
DN 134:71490
TI Preparation and effect of indole derivatives as .alpha.1B-adrenergic receptor antagonists
IN Hayasahi, Ryoji; Ohmori, Eiji; Isogaya, Masafumi; Morikawa, Mitsuhiro; Kumagai, Hiroki
PA Toray Industries, Inc., Japan
SO PCT Int. Appl., 187 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 2000078716 | A1 | 20001228 | WO 2000-JP4068 | 20000622 |
| | W: AU, CA, CN, JP, KR, US | | | | |
| | RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | CA 2341542 | AA | 20001228 | CA 2000-2341542 | 20000622 |
| | EP 1106605 | A1 | 20010613 | EP 2000-940789 | 20000622 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| PRAI | JP 1999-178170 | A | 19990624 | | |
| | WO 2000-JP4068 | W | 20000622 | | |

OS MARPAT 134:71490
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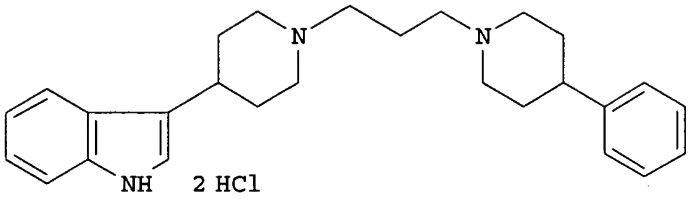


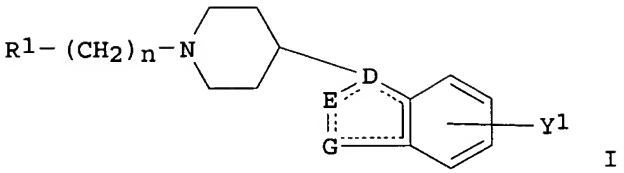

I

AB Title compds. [ArBNR1(CO)nAQ; Ar is indole or the like; R1 is hydrogen or the like; B is a bond or B-N-R1 may form a ring structure such as piperidine; n is 0, 1 or the like; A is trimethylene, butylene, or the like; and Q is piperidine, isoindoline, or the like] and pharmacol. acceptable acid addn. salts are prepd. as .alpha.1B-adrenergic receptor antagonists. Title compds. and the salts serve as antagonists having a high affinity for .alpha.1B-adrenergic receptor and are useful as drugs to be used in the prevention and/or treatment of .alpha.1B-adrenergic receptor-related diseases (such as hypertension) or as pharmacol. tools for the elucidation of physiol. actions occurring through .alpha.1B-adrenergic receptor. Thus, the title compd. I was prepd. and tested.
RE.CNT 89 THERE ARE 89 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L11 ANSWER 9 OF 9 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:613891 CAPLUS
DN 131:243265
TI Preparation of arylpiperidinylheterocycles as antipsychotics
IN Nagamine, Masashi; Gotoh, Makato; Yoshida, Masanori; Nakazato, Atsuro; Kumagai, Toshihiro; Chaki, Shigeyuki; Tomisawa, Kazuyuki
PA Nihon Nohyaku Co., Ltd., Japan; Taisho Pharmaceutical Co., Ltd.
SO PCT Int. Appl., 39 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9947515 | A1 | 19990923 | WO 1998-JP1180 | 19980319 |
| | W: AU, CA, CN, KR, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | CA 2324550 | AA | 19990923 | CA 1998-2324550 | 19980319 |
| | AU 9864196 | A1 | 19991011 | AU 1998-64196 | 19980319 |
| | AU 747508 | B2 | 20020516 | | |
| | EP 1070715 | A1 | 20010124 | EP 1998-909760 | 19980319 |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, PT | | | | |
| | US 6407121 | B1 | 20020618 | US 2000-646080 | 20000913 |
| | US 2002156283 | A1 | 20021024 | US 2002-120408 | 20020412 |
| PRAI | WO 1998-JP1180 | A | 19980319 | | |
| | US 2000-646080 | A3 | 20000913 | | |

OS MARPAT 131:243265
GI




I

AB The title compds. I [D represents carbon or nitrogen; E represents CH or nitrogen; G represents oxygen, sulfur, nitrogen, or NH; Y1 represents hydrogen or a halogen; n is an integer of 1 to 4; and R1 represents arylthiazolyl (generic structure given), etc.] are prepd. I are dopamine D4 antagonists. In an in vitro test for affinity for the dopamine D4 receptor, 2-amino-4-(4-fluorophenyl)-5-[2-[4-(6-fluorobenzofuran-3-yl)piperidin-1-yl]ethyl]thiazole (II) showed IC50 of 3.1 nM; in the test affinity for the dopamine D2 receptor, II showed IC50 of 67.3 nM.
RE.CNT 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> dis l10 1-28 bib abs hitstr

L10 ANSWER 1 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1999:184232 CAPLUS
DN 130:237469
TI Preparation of phenylindoles as 5-HT2A receptor ligands
IN Castro Pineiro, Jose Luis; Hutchins, Steven Michael; Lewis, Stephen John; Rowley, Michael; Smith, Adrian Leonard; Stevenson, Graeme Irvine
PA Merck Sharp & Dohme Limited, UK
SO PCT Int. Appl., 83 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|---|---|---|---|---|---|---|
| PI | WO 9911619 | A1 | 19990311 | WO 1998-GB2616 | 19980901 | <-- |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | | |
| | RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | | |
| | AU 9888766 | A1 | 19990322 | AU 1998-88766 | 19980901 | <-- |
| | US 6486153 | B1 | 20021126 | US 2000-508046 | 20000303 | |
| PRAI | GB 1997-18833 | A | 19970904 | | | |
| | WO 1998-GB2616 | W | 19980901 | | | |

OS MARPAT 130:237469
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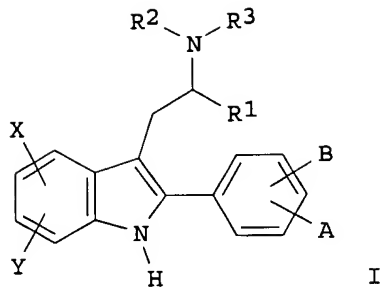

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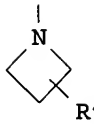

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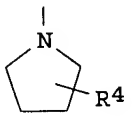

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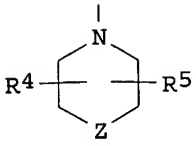


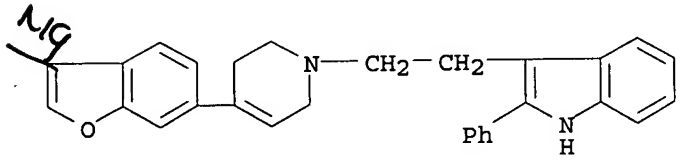
IV

AB The title compds. [I; A, B = H, halo, CN, etc.; X, Y = H, halo, alkyl, etc.; R1 = H, alkyl; R2 = H, Me, Et, etc.; R3 = alkyl, alkenyl, cycloalkyl, etc.; NR2R3 = II-IV, etc.; R4 = H, alkyl, alkoxyalkyl, etc.; R5 = H, alkyl, alkoxyalkyl; Z = O, S, NR6, CR7R8; R6 = H, alkyl, alkenyl, etc.; R7 = H, alkyl, heterocyclyl, etc.; R8 = H, Ph, AcO], selective antagonists of the human 5-HT2A receptor and therefore useful as pharmaceutical agents, esp. in the treatment and/or prevention of adverse neurol. conditions, including psychotic disorders such as schizophrenia, were prepd. E.g., a multi-step synthesis of I [A, B, X, Y = H; R1 = H; NR2R3 = piperidino], was given. Prepd. compds. I were all found to possess a Ki of .ltoreq. 100 nM for displacement of [3H]-ketanserin from the human 5-HT2A receptor, when expressed in Chinese hamster ovary (CHO) clonal cell lines.

IT **221282-03-7P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of phenylindoles as 5-HT2A receptor ligands)

RN 221282-03-7 CAPLUS

CN 1H-Indole, 3-[2-[4-(6-benzofuranyl)-3,6-dihydro-1(2H)-pyridinyl]ethyl]-2-phenyl- (9CI) (CA INDEX NAME)



RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L10 ANSWER 2 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:227327 CAPLUS
DN 128:308491

TI Preparation of thiazolylpiperidines as dopamine D4 receptor antagonists for tranquilizers

IN Nagamine, Masashi; Goto, Makoto; Yoshida, Masanori; Nakasato, Atsuo; Kumagaya, Toshihito; Taki, Shigeyuki; Tomisawa, Kazuyuki

PA Nihon Nohyaku Co., Ltd., Japan; Taisho Pharmaceutical Co., Ltd.

SO Jpn. Kokai Tokkyo Koho, 15 pp.
CODEN: JKXXAF

DT Patent

LA Japanese

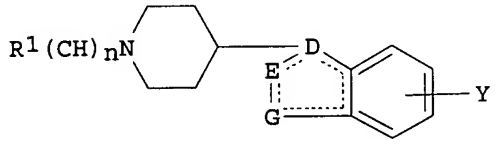
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 10095781 | A2 | 19980414 | JP 1996-249699 | 19960920 <-- |

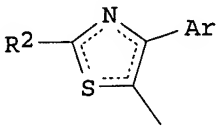
PRAI JP 1996-249699 19960920

OS MARPAT 128:308491

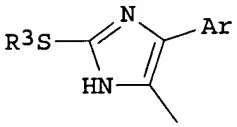
GI



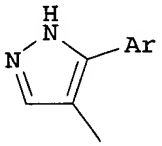
I



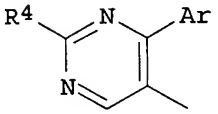
II



III



IV



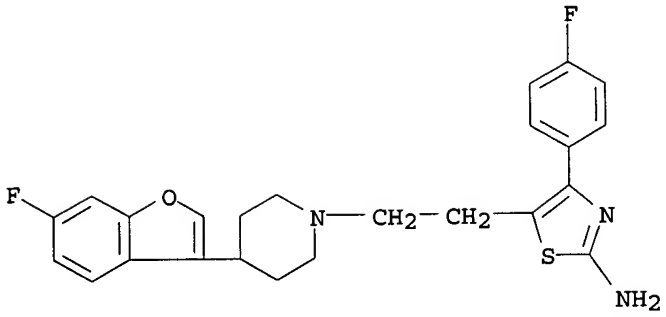
V

AB Title compds. I [D = C, N; E = CH, N; G = O, S, N, NH; Y = H, halo; n = 1-4; R1 = II, III, IV, V; R2 = H, C1-5 alkyl, (C1-5 monoalkyl)amino, OH, C2-6 alkoxycarbonyl, H2NCO, CO2H (metal salt); Ar = (substituted) Ph, thienyl; R3 = C1-5 alkyl; R4 = H, HS, C1-5 alkylthio] and their pharmaceutically acceptable salts are prepd. A MeOH soln. of 0.917 g 2-amino-5-(2-bromoethyl)-4-(4-fluorophenyl)thiazole hydrobromide was treated with 0.511 g 4-(6-fluorobenzofuran-3-yl)piperidine hydrochloride in the presence of EtN(Pr-i)2 under reflux for 12 h to give 0.57 g I (R1 = II, R2 = NH2, Ar = 4-FC6H4, D = C, E = CH, G = O, Y = 6-F) (VI). VI in vitro showed IC50 of 3.1 nM in inhibition of [3H] spiperone binding to a dopamine D4 receptor.

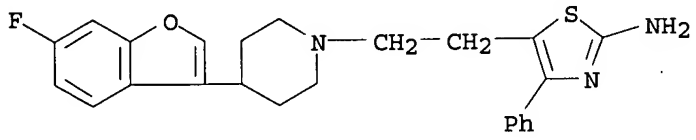
IT **206439-95-4P 206439-96-5P 206439-97-6P**
**206439-98-7P 206439-99-8P 206440-00-8P**
**206440-01-9P 206440-23-5P 206440-26-8P**
**206440-28-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of thiazolylpiperidines as dopamine D4 receptor antagonists for tranquilizers)

RN 206439-95-4 CAPLUS

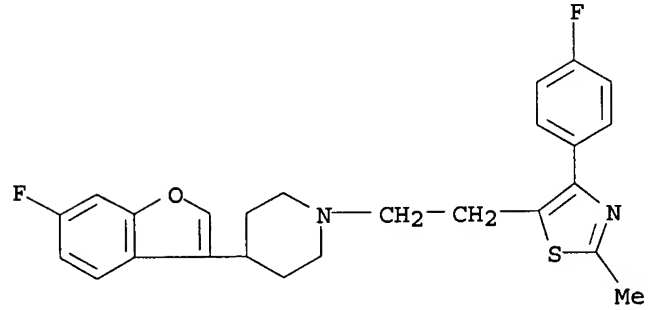
CN 2-Thiazolamine, 5-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



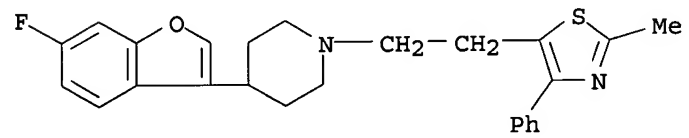
RN 206439-96-5 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4-phenyl- (9CI) (CA INDEX NAME)



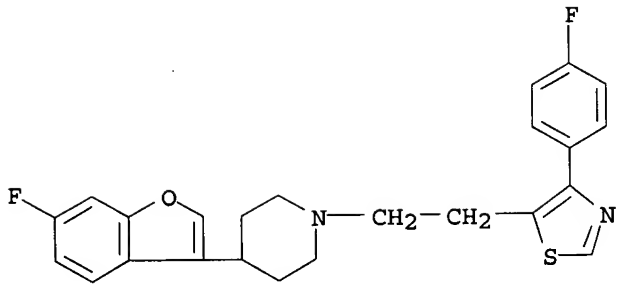
RN 206439-97-6 CAPLUS
CN Piperidine, 4-(6-fluoro-3-benzofuranyl)-1-[2-[4-(4-fluorophenyl)-2-methyl-5-thiazolyl]ethyl]- (9CI) (CA INDEX NAME)



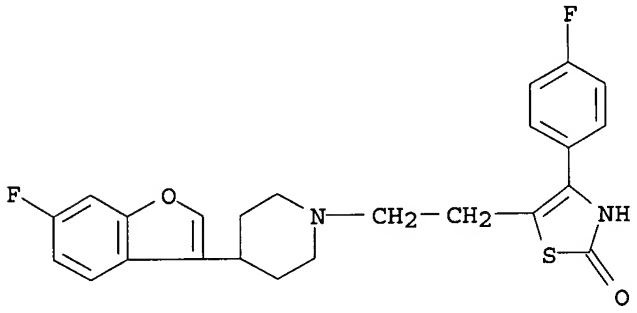
RN 206439-98-7 CAPLUS
CN Piperidine, 4-(6-fluoro-3-benzofuranyl)-1-[2-(2-methyl-4-phenyl-5-thiazolyl)ethyl]- (9CI) (CA INDEX NAME)



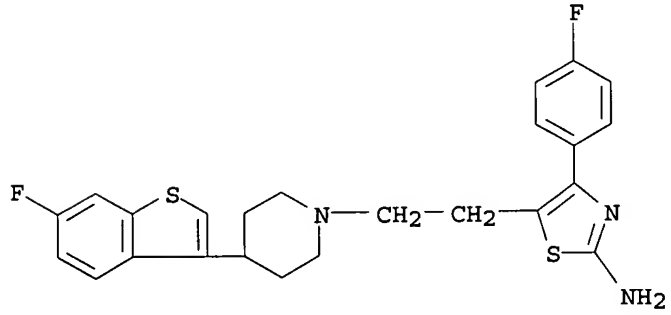
RN 206439-99-8 CAPLUS
CN Piperidine, 4-(6-fluoro-3-benzofuranyl)-1-[2-[4-(4-fluorophenyl)-5-thiazolyl]ethyl]- (9CI) (CA INDEX NAME)



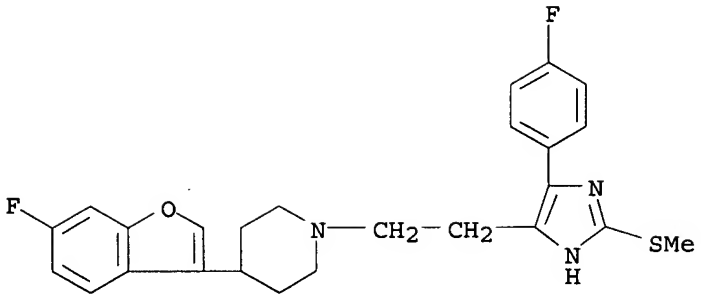
RN 206440-00-8 CAPLUS
CN 2(3H)-Thiazolone, 5-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



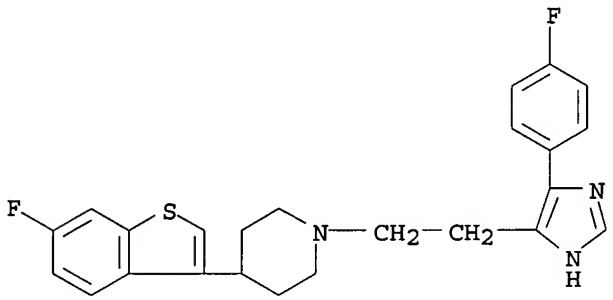
RN 206440-01-9 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



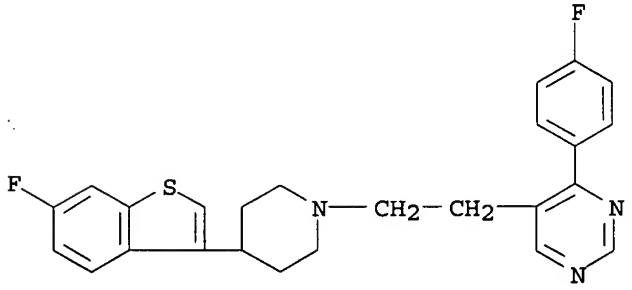
RN 206440-23-5 CAPLUS
CN Piperidine, 4-(6-fluoro-3-benzofuranyl)-1-[2-[5-(4-fluorophenyl)-2-(methylthio)-1H-imidazol-4-yl]ethyl]- (9CI) (CA INDEX NAME)



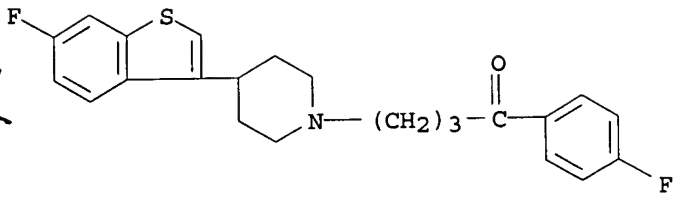
RN 206440-26-8 CAPLUS
CN Piperidine, 4-(6-fluorobenzo[b]thien-3-yl)-1-[2-[5-(4-fluorophenyl)-1H-imidazol-4-yl]ethyl]- (9CI) (CA INDEX NAME)



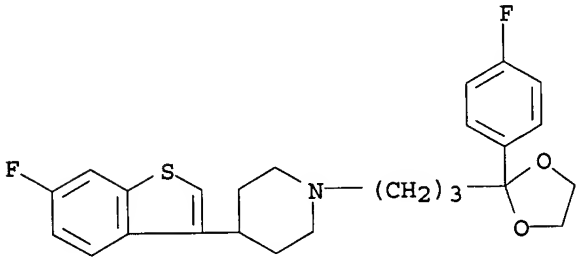
RN 206440-28-0 CAPLUS
CN Pyrimidine, 5-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



IT **159534-76-6P 206440-27-9P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of thiazolylpiperidines as dopamine D4 receptor antagonists for tranquilizers)
RN 159534-76-6 CAPLUS
CN 1-Butanone, 4-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



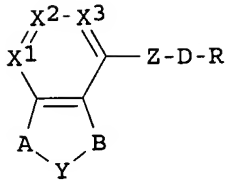
RN 206440-27-9 CAPLUS
CN Piperidine, 4-(6-fluorobenzo[b]thien-3-yl)-1-[3-[2-(4-fluorophenyl)-1,3-dioxolan-2-yl]propyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 3 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1998:13943 CAPLUS
DN 128:61522
TI Preparation of fused heterocyclic compounds as antagonists of D2 and D4 receptors
IN Kuroita, Takanobu; Togo, Yoshifumi; Ishibuchi, Seigo; Fujio, Masakazu; Futamura, Takashi
PA Yoshitomi Pharmaceutical Industries, Ltd., Japan; Kuroita, Takanobu; Togo, Yoshifumi; Ishibuchi, Seigo; Fujio, Masakazu; Futamura, Takashi
SO PCT Int. Appl., 176 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9747601 | A1 | 19971218 | WO 1997-JP1993 | 19970609 <-- |
| | W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, IL, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9729807 | A1 | 19980107 | AU 1997-29807 | 19970609 <-- |
| PRAI | JP 1996-149620 | | 19960611 | | |
| | WO 1997-JP1993 | | 19970609 | | |

OS MARPAT 128:61522
GI



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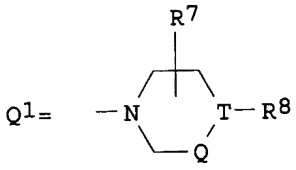
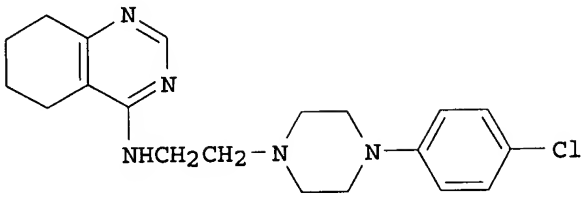




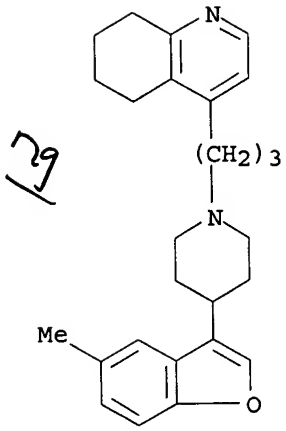
II

AB Fused heterocyclic compds. represented by general formula [I; X1-X2-X3 = NCR1N, CR1CR2N, NCR1CR2, CR1NCR2, NNCR1; R1, R2 = H, alkyl, OH, NH2, arylalkyl, (un)substituted aryl or heteroaryl; A = linear or branched and (un)substituted C1-4 alkyl; Y = O, S, SO, SO2, (un)substituted NH; B = linear or branched alkyl and (un)substituted C1-4 alkylene; Z = O, S, SO, SO2, (un)substituted NH, CH(OH), CO, CH2; D = linear or branched alkyl C1-8 alkylene; R = heterocyclyl, e.g., Q1; wherein Q-T = (CH2)n, CH2CH, CH:C; wherein R7 = H, alkyl; R8 = (un)substituted arom. hydrocarbyl or heterocyclyl] or optical isomers or pharmaceutically acceptable salts thereof are prepd. Also claimed are medicinal compns. comprising these compds. and pharmaceutically acceptable additives, and drugs comprising these compds. These compds. exert more potent blocking effects on D4 receptors than on D2 receptors. Moreover, they have high affinities for receptors other than dopamine receptors such as muscarine M1, and serotonin-2 (5-HT2) and adrenalin .alpha.1 and .alpha.2 receptors. Thus, these compds. are efficacious against not only pos. symptoms typified by hallucination and delusion characteristic of the acute stage of schizophrenia but also neg. symptoms such as emotional torpidity, abulia, and autism. In addn., they are useful as antipsychotic agents with relieved side effects such as extrapyramidal symptoms and abnormal internal secretion obsd. in assocn. with the administration of the conventional antipsychotic agents having only D2 receptor antagonism. The above compds. are usable as remedies for diseases such as schizophrenia. Thus, N-(5,6,7,8-tetrahydroquinazolin-4-yl)-2-chloroacetamide (prepn. given) and N-(4-chlorophenyl)piperazine hydrochloride were dissolved in DMF and stirred with K2CO3 and KI at room temp. for 24 h to give N-(5,6,7,8-tetrahydroquinazolin-4-yl)-2-[4-(4-chlorophenyl)piperazin-1-yl]acetamide, which was reduced by LiAlH4 in THF at room temp. for 30 min to give the title compd. (II). II and another compd. tested in vitro showed affinity for D2 and D4 receptors of nerve synapses membrane with Ki value of .gtoreq.5 nM and 0.01-1 nM, resp.

IT **200412-89-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused heterocyclic compds. having antagonism for D2 and D4 receptors as antipsychotics)

RN 200412-89-1 CAPLUS

CN Quinoline, 5,6,7,8-tetrahydro-4-[3-[4-(5-methyl-3-benzofuranyl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)




29

L10 ANSWER 4 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:732351 CAPLUS
DN 128:22926
TI Preparation of piperidinone and homopiperidinone derivatives and their use as psychotropics
IN Kikuchi, Chika; Koyama, Masao; Hiranuma, Toyokazu; Fukuda, Yoshimasa
PA Meiji Seika Kaisha, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 09291090 | A2 | 19971111 | JP 1996-105542 | 19960425 <-- |
| PRAI | JP 1996-105542 | | 19960425 | | |

OS MARPAT 128:22926
GI

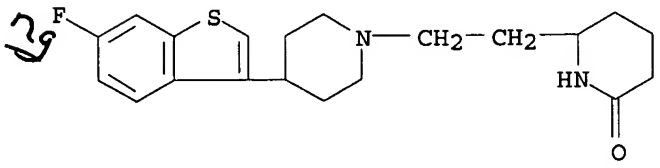
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The derivs. I (n = 1-2; X = N, CH, W = COC6H4Y-4, II, III, IV, V; Y = H, halo) and their pharmacol. acceptable salts and their intermediates VI (Z = alkoxycarbonyl, carboxy, OH, halo, alkylsulfonyloxy, arylsulfonyloxy) are claimed. Also claimed are pharmaceuticals and psychotropics contg. .gtoreq.1 selected from I and their salts as active ingredients. I show antagonism against dopamine D2 and serotonin 5-HT2 receptors, and are useful as psychotropics, e.g. antipsychotics, etc., with reduced acute extrapyramidal symptoms. Thus, 6-(2-bromoethyl)-2-piperidinone (prepn. given) was treated with 1-(1,2-benzoisothiazol-3-yl)piperazine in DMF in the presence of K2CO3 at 40-60.degree. for 3 h to give 6-[2-[4-(1,2-benzoisothiazol-3-yl)-1-piperazinyl]ethyl]-2-piperidinone. Affinities of this compd. to D2 and 5-HT2 receptors were similar to those of chlorpromazine.

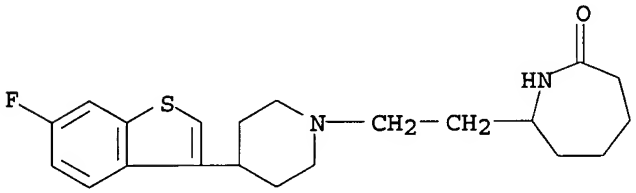
IT **199436-29-8P 199436-30-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use);

BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of piperidinone and homopiperidinone derivs. as 5-HT2 and D2 receptor antagonists and psychotropics contg. them)

RN 199436-29-8 CAPLUS
CN 2-Piperidinone, 6-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



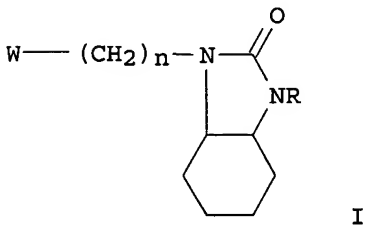
RN 199436-30-1 CAPLUS
CN 2H-Azepin-2-one, 7-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]hexahydro- (9CI) (CA INDEX NAME)



L10 ANSWER 5 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:678677 CAPLUS
DN 127:331488
TI Preparation of perhydrobenzimidazolone derivatives as antipsychotics
IN Fukuda, Yoshimasa; Nakatani, Yuko; Sasaki, Toshiro; Akiyama, Yoshihisa; Hiranuma, Toyokazu
PA Meiji Seika Kaisha, Ltd., Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 09268188 | A2 | 19971014 | JP 1996-82183 | 19960404 <-- |
| PRAI JP 1996-82183 | | 19960404 | | |

OS MARPAT 127:331488
GI

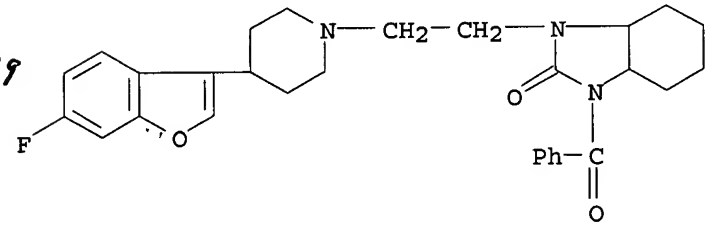


I

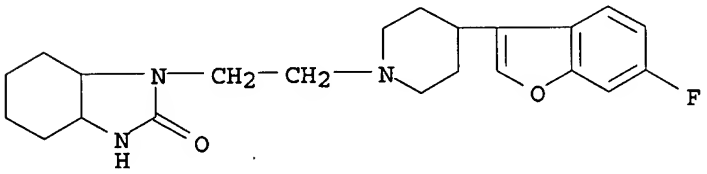
AB The title compds. I [R = H, acyl, etc.; n = 1 - 5; W = benzisoxazolylpiperidine (generic structure given), etc.] are prepd. In a test for anti-methamphetamine activity in mice, I [R = H; n = 2; W = 4-(6-fluoro-1-benzothiophen-3-yl)-1-piperidinyl] (II) (prepn. given) showed ED50 of 0.49 mg/kg i.p., vs. ED50 of 0.2 mg/kg i. p. for haloperidol. In a test for catalepsy-causing activity in mice, II showed ED50 of 9.2 mg/Kg i.p., vs. ED50 of 1.3 mg/Kg i.p. shown by haloperidol.

IT **198022-36-5P 198022-37-6P 198022-38-7P**
**198022-39-8P 198022-40-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of perhydrobenzimidazolone derivs. as antipsychotics)

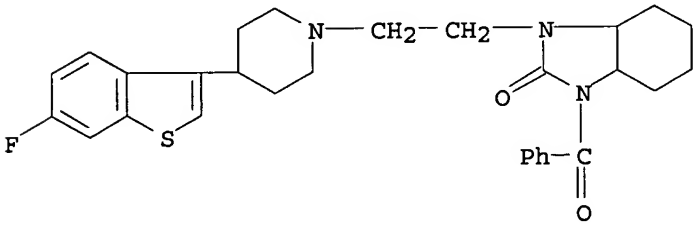
RN 198022-36-5 CAPLUS
CN 2H-Benzimidazol-2-one, 1-benzoyl-3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]octahydro- (9CI) (CA INDEX NAME)



RN 198022-37-6 CAPLUS
CN 2H-Benzimidazol-2-one, 1-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]octahydro- (9CI) (CA INDEX NAME)

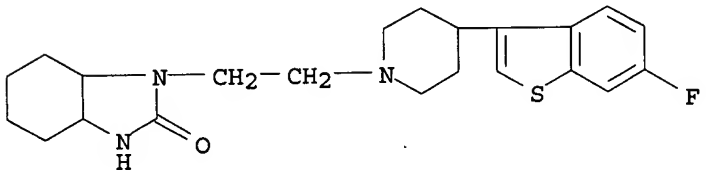


RN 198022-38-7 CAPLUS
CN 2H-Benzimidazol-2-one, 1-benzoyl-3-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]octahydro- (9CI) (CA INDEX NAME)

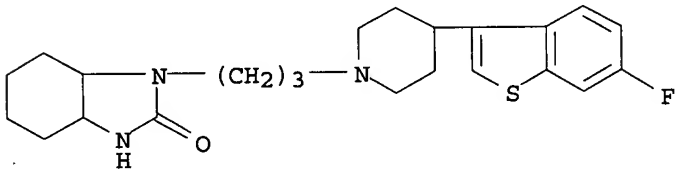


RN 198022-39-8 CAPLUS
CN 2H-Benzimidazol-2-one, 1-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-

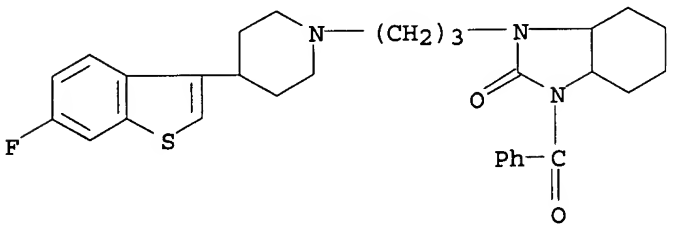
piperidinyl]ethyl]octahydro- (9CI) (CA INDEX NAME)



RN 198022-40-1 CAPLUS
CN 2H-Benzimidazol-2-one, 1-[3-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]propyl]octahydro- (9CI) (CA INDEX NAME)



IT **198022-42-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of perhydrobenzimidazolone derivs. as antipsychotics)
RN 198022-42-3 CAPLUS
CN 2H-Benzimidazol-2-one, 1-benzoyl-3-[3-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]propyl]octahydro- (9CI) (CA INDEX NAME)

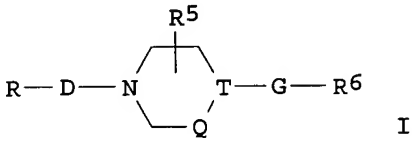


L10 ANSWER 6 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1997:618071 CAPLUS
DN 127:262702
TI Preparation of fused heterocyclic compounds and pharmaceutical applications thereof
IN Tanaka, Hiroshi; Kuroita, Takanobu; Togo, Yoshifumi; Ishibuchi, Seigo; Fujio, Masakazu; Futamura, Takashi; et al.
PA Yoshitomi Pharmaceutical Industries, Ltd., Japan; Tanaka, Hiroshi; Kuroita, Takanobu; Togo, Yoshifumi; Ishibuchi, Seigo; Fujio, Masakazu; Futamura, Takashi
SO PCT Int. Appl., 185 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|

| | | | | | |
|---|---|---|---|---|---|
| PI | WO 9732848 | A1 | 19970912 | WO 1997-JP641 | 19970303 <-- |
| | W: AL, AU, BA, BB, BG, BR, CA, CN, CU, CZ, EE, GE, GH, HU, IL, IS, JP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| | RW: GH, KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9718132 | A1 | 19970922 | AU 1997-18132 | 19970303 <-- |
| | EP 885883 | A1 | 19981223 | EP 1997-903647 | 19970303 <-- |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI | | | | |
| | US 6187774 | B1 | 20010213 | US 1998-142203 | 19980903 |
| PRAI | JP 1996-46271 | A | 19960304 | | |
| | WO 1997-JP641 | W | 19970303 | | |
| OS | MARPAT 127:262702 | | | | |

GI



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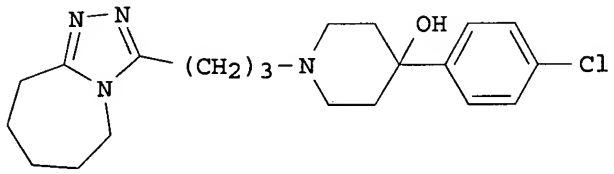
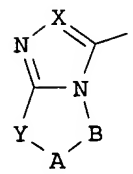
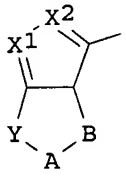
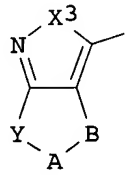


II

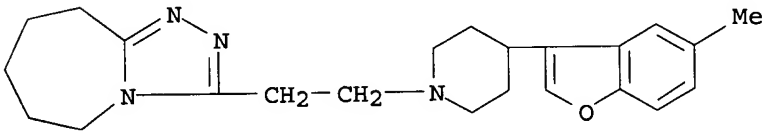
$Q^1$=  $Q^2$=  $Q^3$= 

AB Fused heterocyclic compds. represented by general formula [I; R = Q1, Q2, Q3, etc.; when R = Q1, X = N or CR2a (R2a = H, alkyl); when R = Q1, X1 = NR3 (R3 = H, alkyl, acyl, aryl) and X2 = N, X1 = O and X2 = N, or X1 = NR8 (R8 = H, acyl, alkyl) and X2 = CH; when R = Q3, X3 = NR3 (R3 = same as above) or O; Y, B = (un)substituted C1-4 linear or branched alkylene; A = absent, O, S, SO, SO2, (un)substituted NH; D = absent, C1-8 linear or branched alkyl alkylene; Q-T = CH, CH2N, CH2CH2N, CH2CR4 (R4 = H, OH, alkyl, alkoxy), CH:C; G = absent, C1-8 linear or branched alkylene, CO; R5 = H, alkyl; R6 = (un)substituted aryl, (un)fused heteroaryl] or optical isomers thereof or pharmaceutically acceptable salts thereof, which show affinity (antagonism) for dopamine D2 and serotonin 2 receptors and improve the lowered function of NMDA receptors, are prepd. Claimed are

pharmaceutical compns. contg. the compds. of formula I, the optical isomers or pharmaceutically acceptable salts thereof, and pharmaceutically acceptable additives and medicines contg. the compds. of formula I, the optical isomers thereof, or the pharmaceutically acceptable salts thereof. These compds. are useful as central nervous system agents, in particular as antipsychotic agents efficacious against not only pos. symptoms centering on the hallucination, delusion and the like peculiar to the acute stage of schizophrenia, but also neg. symptoms such as emotional torpidity, abulia and autism. They are also expected as highly safe antipsychotic agents reduced in the side effects, such as extrapyramidal symptoms or abnormal internal secretion, obsd. in the case of administration of conventional antipsychotic agents having dopamine D2 receptor blocking effects. Thus these compds. can be used as remedies for diseases including schizophrenia. Thus, 3-[4-(4-chlorophenyl)-4-hydroxypiperidin-1-yl]propylcarbohydrazide was added to a stirred soln. of 1-aza-2-methylthio-1-cycloheptene in butanol and refluxed for 3 h to give a triazoloazepine deriv. (II).

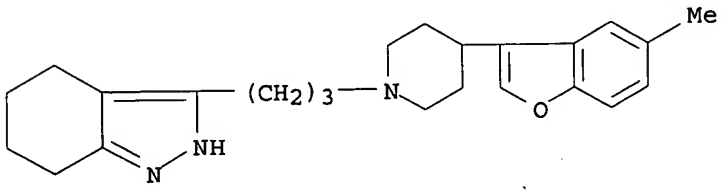
IT **196099-68-0P 196099-96-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused heterocyclic compds. having affinity to dopamine D2 and serotonin 2 receptors as antipsychotics for treatment of schizophrenia)

RN 196099-68-0 CAPLUS
CN 5H-1,2,4-Triazolo[4,3-a]azepine, 6,7,8,9-tetrahydro-3-[2-[4-(5-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)




● HCl

RN 196099-96-4 CAPLUS
CN 2H-Indazole, 4,5,6,7-tetrahydro-3-[3-[4-(5-methyl-3-benzofuranyl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)

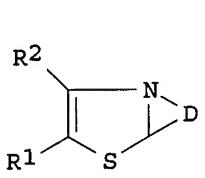



L10 ANSWER 7 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:488747 CAPLUS
DN 125:142775
TI Preparation of bicyclic thiazole compounds as psychotropic agents

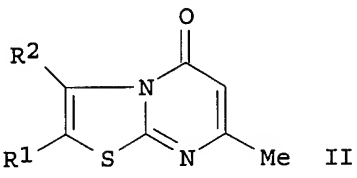
IN Fukuda, Yoshimasa; Hasegawa, Toshifumi; Nakatani, Yuuko; Murase, Kenshi; Fuji, Kazuyuki
PA Meiji Seika Kabushiki Kaisha, Japan
SO PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9616968 | A1 | 19960606 | WO 1995-JP2412 | 19951127 <-- |
| | W: CN, JP, KR, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | EP 794185 | A1 | 19970910 | EP 1995-937193 | 19951127 <-- |
| | R: CH, DE, ES, FR, GB, LI | | | | |
| PRAI | JP 1994-291054 | | 19941125 | | |
| | WO 1995-JP2412 | | 19951127 | | |

OS MARPAT 125:142775
GI

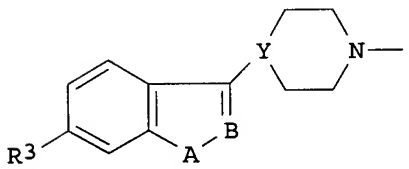



I

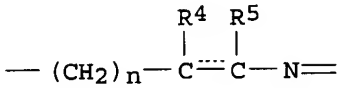



II

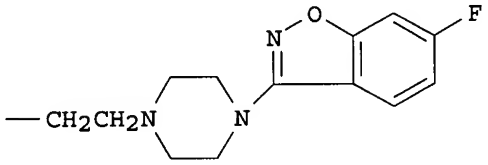
Q=




Q1=




Q2=

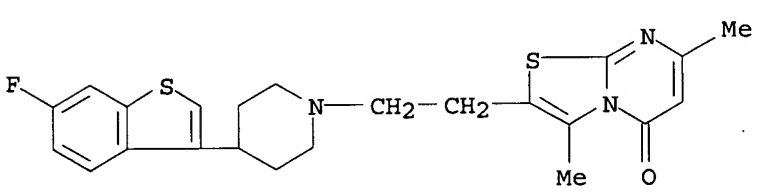



AB The title compds. [I; one of R1 and R2 represents W-(CH2)m (wherein m = an integer of from 1 to 4), and the other represents hydrogen or optionally substituted lower alkyl; W represents a group represented by formula Q (wherein R3 = H or halo; A = oxygen or sulfur; B, Y= N or CH); and the cyclic structure D represents one member selected from among those represented by formulas Q1, COCR4:CR5N:, CR4:NCR5:, and CR4:CR5CON: (whereas n = an integer of 0 to 2; R4, R5 = H, lower alkyl, HO, alkoxy, alkoxycarbonyl, or aminocarbonyl; the solid line accompanied by the dotted line represents either a single bond or a double bond)] pharmaceutically acceptable salts thereof, which have weak extrapyramidal (catalepsy-inducing) activity and are useful for the treatment of mental disorders (dopamine D2 and serotonin 5-HT receptor-related central nervous system diseases) such as neurosis, schizophrenia, anxiety, and depression are prepd. Thus, 2-amino-5-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)-1-

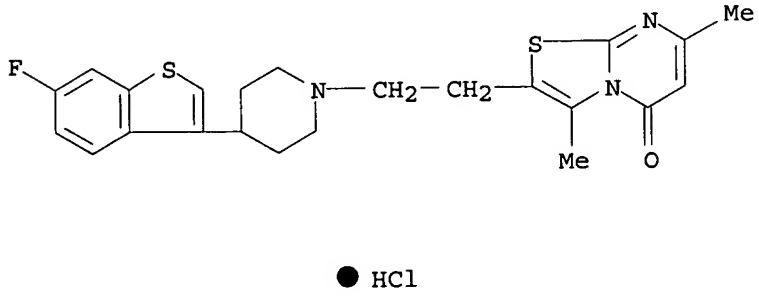
piperazinyl]ethyl]-4-methylthiazole and Et acetoacetate were heated in polyphosphoric acid at 130.degree. for 20 min with stirring, poured into water, made pH 9 with 1 N aq. NaOH, and extd. with CH2Cl2 to give 54% a thiazolo[3,2-a]pyrimidin-5-one deriv. (II; R1 = Q2, R3 = Me). The latter compd. and II (R1 = H, R3 = Q2) showed ED50 of 0.07 and 0.04 mg/kg i.p., reps., for inhibiting the methamphetamine-induced increase in spontaneous movement of mice as compared to 0.2 and 1.1. mg/kg i.p. for haloperidol and chlorpromazine, resp.

IT **179820-30-5P 179820-31-6P 179820-34-9P**
**179820-35-0P 179820-44-1P 179820-46-3P**
**179820-50-9P 179820-51-0P 179820-52-1P**
**179820-54-3P 179820-55-4P 179820-56-5P**
**179820-57-6P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of bicyclic thiazole compds. as psychotropic agents for treating central nervous system diseases)

RN 179820-30-5 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-3,7-dimethyl- (9CI) (CA INDEX NAME)

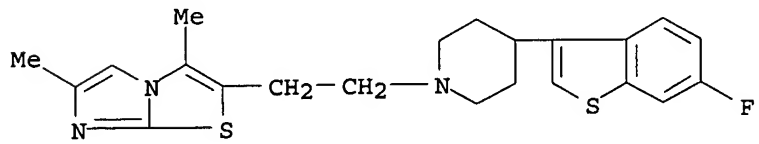


RN 179820-31-6 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-3,7-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

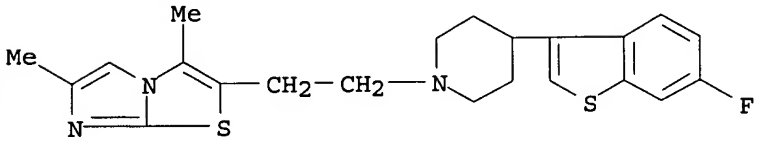


● HCl

RN 179820-34-9 CAPLUS
CN Imidazo[2,1-b]thiazole, 2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-3,6-dimethyl- (9CI) (CA INDEX NAME)

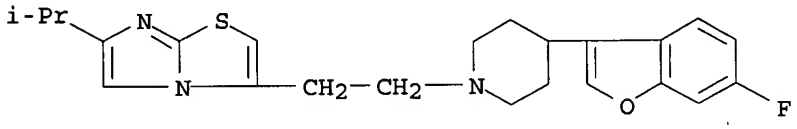


RN 179820-35-0 CAPLUS
CN Imidazo[2,1-b]thiazole, 2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-3,6-dimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

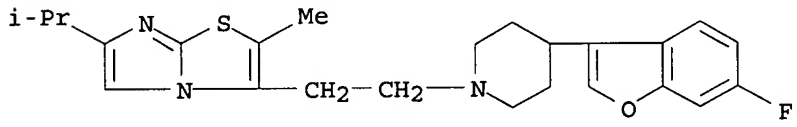


● HCl

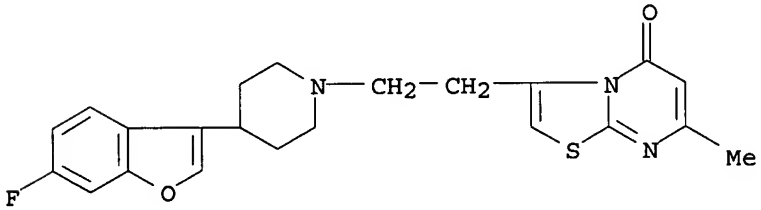
RN 179820-44-1 CAPLUS
CN Imidazo[2,1-b]thiazole, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-6-(1-methylethyl)- (9CI) (CA INDEX NAME)



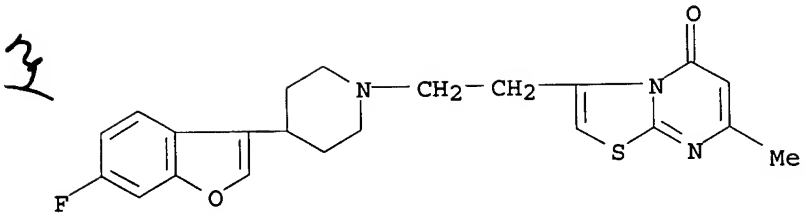
RN 179820-46-3 CAPLUS
CN Imidazo[2,1-b]thiazole, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-2-methyl-6-(1-methylethyl)- (9CI) (CA INDEX NAME)



RN 179820-50-9 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)

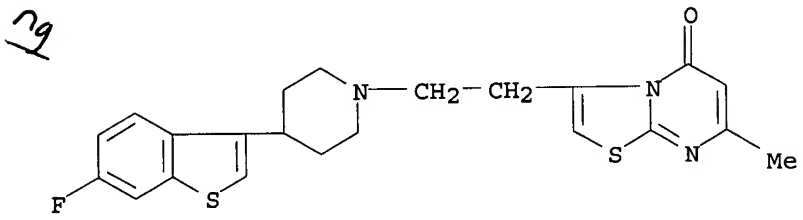


RN 179820-51-0 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-7-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

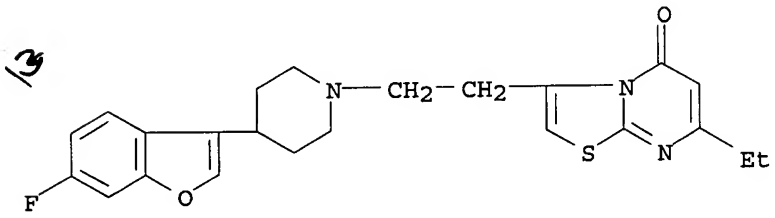


● HCl

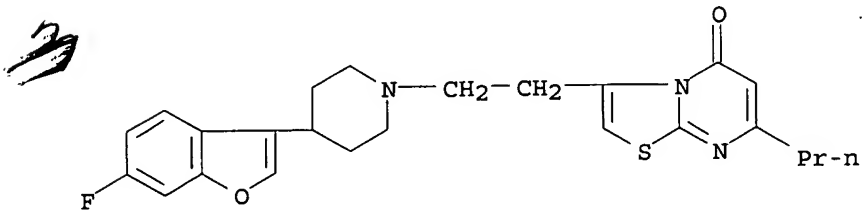
RN 179820-52-1 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 3-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



RN 179820-54-3 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 7-ethyl-3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)

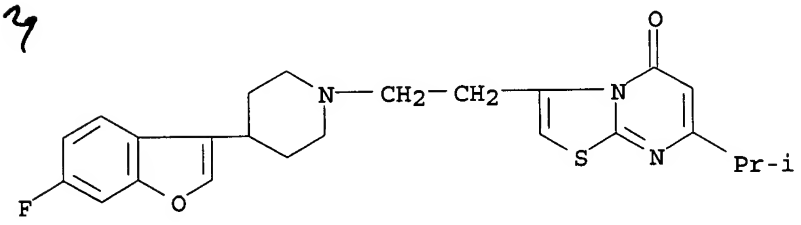


RN 179820-55-4 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-7-propyl- (9CI) (CA INDEX NAME)

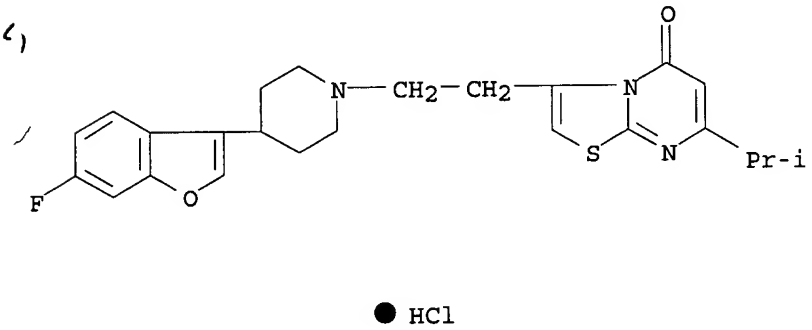


RN 179820-56-5 CAPLUS

CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-7-(1-methylethyl)- (9CI) (CA INDEX NAME)



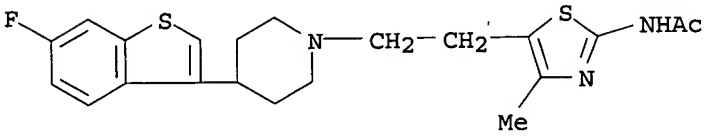
RN 179820-57-6 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-7-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



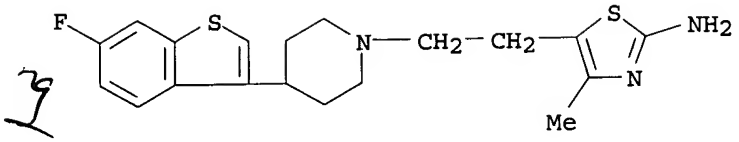
● HCl

IT **173772-73-1P 179820-66-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. of bicyclic thiazole compds. as psychotropic agents for treating central nervous system diseases)

RN 173772-73-1 CAPLUS
CN Acetamide, N-[5-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)



RN 179820-66-7 CAPLUS
CN 2-Thiazolamine, 5-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4-methyl- (9CI) (CA INDEX NAME)



L10 ANSWER 8 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:452766 CAPLUS
DN 125:167962
TI Condensed thiophene compounds as D2 and 5-HT2 antagonists and 5-HT1A agonists useful as antipsychotic drugs
IN Nakao, Tohru; Ono, Yuji; Bougauchi, Masahiro; Morimoto, Yasuto
PA Yoshitomi Pharmaceutical Industries, Ltd., Japan
SO U.S., 38 pp., Cont.-in-part of U.S. Ser. No. 107,564, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 5532240 | A | 19960702 | US 1994-272320 | 19940708 <-- |
| | CA 2104371 | AA | 19930627 | CA 1992-2104371 | 19921224 <-- |
| | US 5691330 | A | 19971125 | US 1995-478843 | 19950607 <-- |
| PRAI | JP 1991-359547 | | 19911226 | | |
| | JP 1992-309388 | | 19921023 | | |
| | US 1993-107564 | | 19930818 | | |
| | US 1994-272320 | | 19940708 | | |

OS MARPAT 125:167962
GI

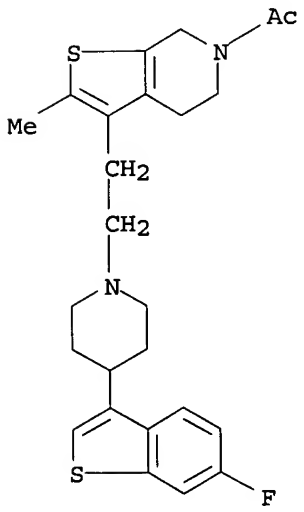
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB A condensed thiophene compd. I or a pharmaceutically acceptable salt thereof, wherein ring S represents a fused thiophene ring (e.g., II); R1 represents hydrogen, halogen, alkyl, etc.; R2 represents hydrogen, alkyl, acyl, etc.; G represents CH2, CH(OH), CO, etc.; Q represents alkylene; T represents N(Rb)(Rc) (wherein Rb, Rc represents each alkyl etc.; or alternatively Rb and Rc are combined together to form cyclic amino); D represents CH2 or S; A and B represent each carbonyl or thiocarbonyl, or are null; and m and n represent each 0, 1 to 4, provided that m + n represents an integer of 4 or less, is useful as an antipsychotic drug having a reduced extrapyramidal side effect. Thus, e.g., 2,3-dihydrothieno[3,2-f][1,4]thiazepin-5(4H)-one (III) was prepd. by Beckmann rearrangement starting from thiophene, sulfur, and 3-bromopropionic acid; acylation of III with 4-chlorobutyryl chloride/AlCl3 afforded 7-(4-chlorobutyryl)-2,3-dihydrothieno[3,2-f][1,4]thiazepin-5(4H)-one (IV); alkylation of 4-(1,2-benzisothiazol-3-yl)piperazine hydrochloride with IV afforded 7-[4-[4-(1,2-benzisothiazol-3-yl) piperazin-1-yl]butyryl]-2,3-dihydrothieno[3,2-f][1,4]thiazepin-5(4H)-one oxalate (V.oxalate). 2-[2-[4-(6-Fluoro-1,2-benzisoxazol-3-yl)piperidin-1-yl]ethyl]-4,6,7,8-tetrahydro-5H-thieno[3,2-b]azepin-5-one (VI), prepd. similarly, exhibited affinities for the dopamine 2, serotonin 2, and serotonin 1A receptors of Ki = 0.065, 0.32, and 1.6 nM, resp., and possessed D2 antagonistic, 5-HT2 antagonistic and 5-HT1A agonistic

activities according to the inhibition of apomorphine-induced hyperactivity, ergometrine-induced head-twitches and forskolin-induced adenylate cyclase activity, resp. Pharmaceutical formulations were given.

IT **153024-76-1P 153024-77-2P 169806-74-0P**
**169806-94-4P 169806-97-7P 169806-98-8P**
**169807-01-6P 169807-04-9P 169807-05-0P**
**169807-06-1P 169807-07-2P 169807-08-3P**
**169807-09-4P 169807-11-8P 169807-13-0P**
**169807-15-2P 169807-17-4P 169807-18-5P**
**169807-23-2P 169807-24-3P 169807-25-4P**
**169807-26-5P 169807-28-7P 169807-29-8P**
**169807-30-1P 169807-32-3P 169807-33-4P**
**169807-36-7P 169807-37-8P 169807-38-9P**
**180267-80-5P 180267-87-2P 180267-88-3P**
**180267-89-4P 180267-92-9P 180267-93-0P**
**180267-94-1P 180267-95-2P 180267-96-3P**
**180267-97-4P 180267-98-5P 180267-99-6P**
**180268-00-2P 180268-01-3P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(condensed thiophene compds. as D2 and 5-HT2 antagonists and 5-HT1A agonists useful as antipsychotic drugs)

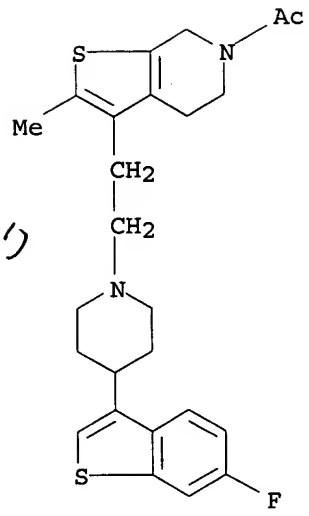
RN 153024-76-1 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)




RN 153024-77-2 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-2-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

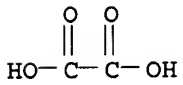
CM 1

CRN 153024-76-1
CMF C25 H29 F N2 O S2



CM 2

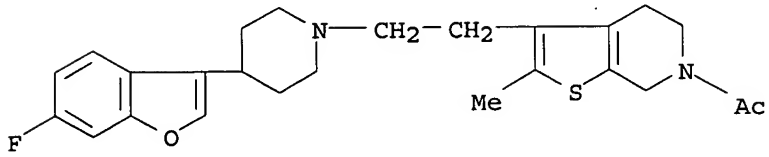
CRN 144-62-7
CMF C2 H2 O4



RN 169806-74-0 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-2-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

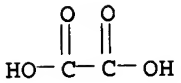
CM 1

CRN 169806-73-9
CMF C25 H29 F N2 O2 S



CM 2

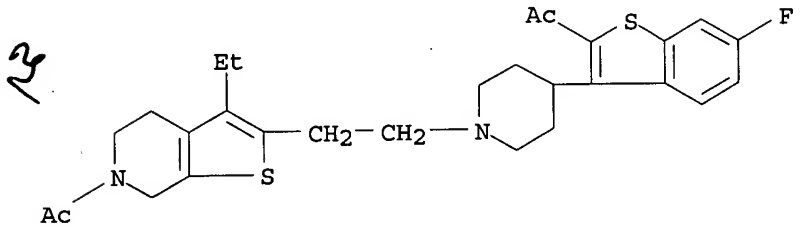
CRN 144-62-7
CMF C2 H2 O4



RN 169806-94-4 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(2-acetyl-6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

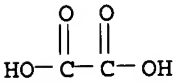
CM 1

CRN 169806-93-3
CMF C28 H33 F N2 O2 S2



CM 2

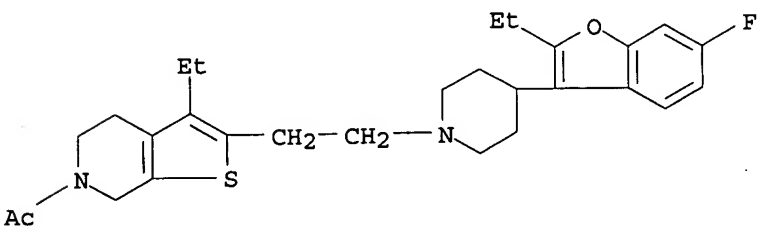
CRN 144-62-7
CMF C2 H2 O4



RN 169806-97-7 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(2-ethyl-6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

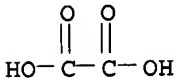
CM 1

CRN 169806-96-6
CMF C28 H35 F N2 O2 S

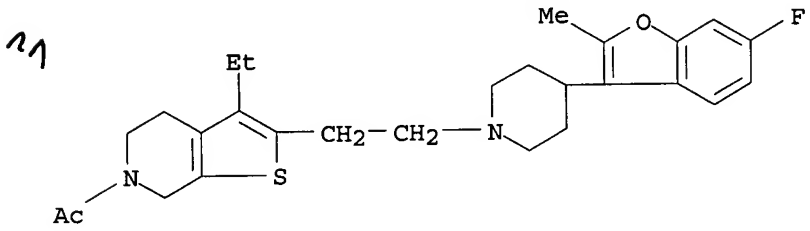


CM 2

CRN 144-62-7
CMF C2 H2 O4

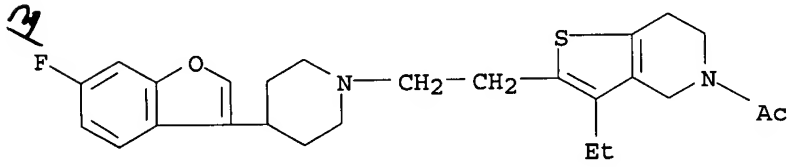


RN 169806-98-8 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(6-fluoro-2-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



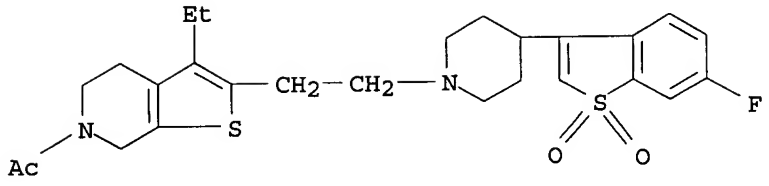
● HCl

RN 169807-01-6 CAPLUS
CN Thieno[3,2-c]pyridine, 5-acetyl-3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



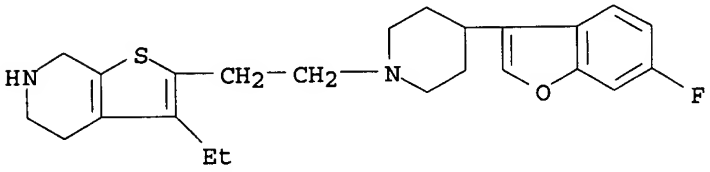
● HCl

RN 169807-04-9 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(6-fluoro-1,1-dioxidobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



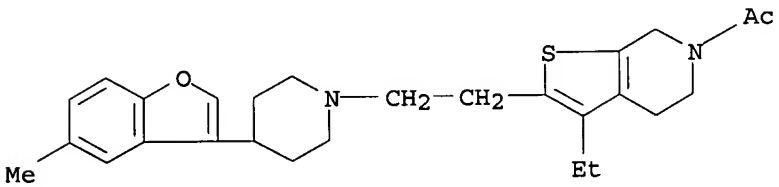
● HCl

RN 169807-05-0 CAPLUS
CN Thieno[2,3-c]pyridine, 3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



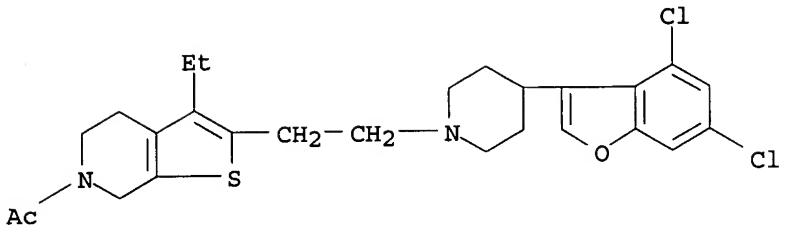
●2 HCl

RN 169807-06-1 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(5-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



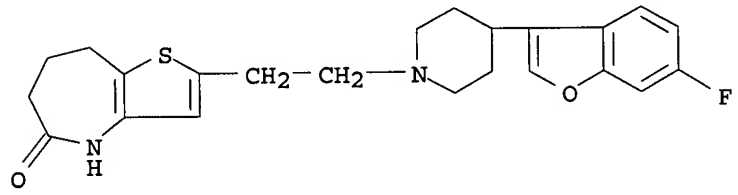
● HCl

RN 169807-07-2 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(4,6-dichloro-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

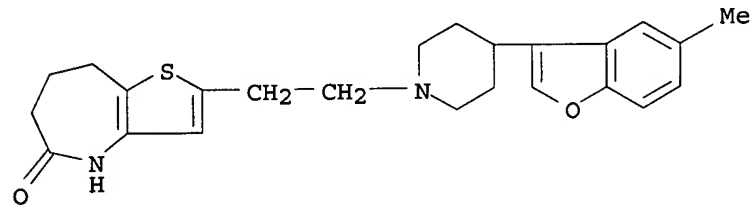


● HCl

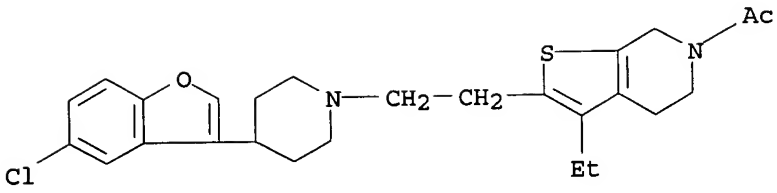
RN 169807-08-3 CAPLUS
CN 5H-Thieno[3,2-b]azepin-5-one, 2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 169807-09-4 CAPLUS
CN 5H-Thieno[3,2-b]azepin-5-one, 4,6,7,8-tetrahydro-2-[2-[4-(5-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 169807-11-8 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(5-chloro-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

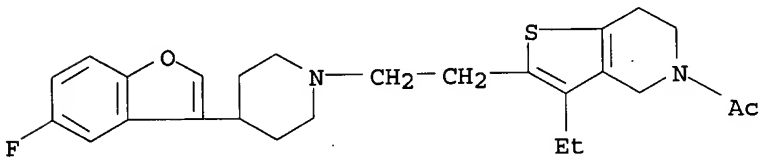


● HCl

RN 169807-13-0 CAPLUS
CN Thieno[3,2-c]pyridine, 5-acetyl-3-ethyl-2-[2-[4-(5-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

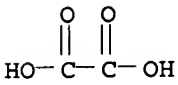
CM 1

CRN 169807-12-9
CMF C26 H31 F N2 O2 S



CM 2

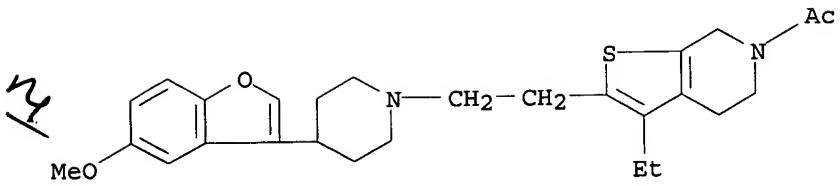
CRN 144-62-7
CMF C2 H2 O4



RN 169807-15-2 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(5-methoxy-3-benzofuranyl)-1-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

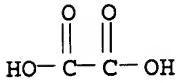
CM 1

CRN 169807-14-1
CMF C27 H34 N2 O3 S



CM 2

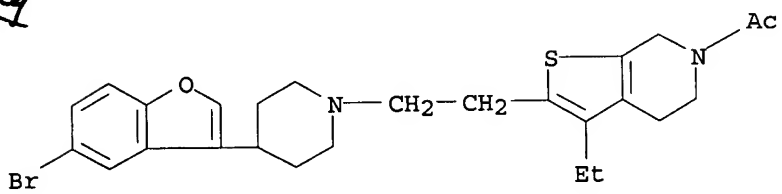
CRN 144-62-7
CMF C2 H2 O4



RN 169807-17-4 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(5-bromo-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

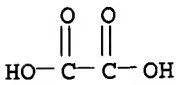
CM 1

CRN 169807-16-3
CMF C26 H31 Br N2 O2 S

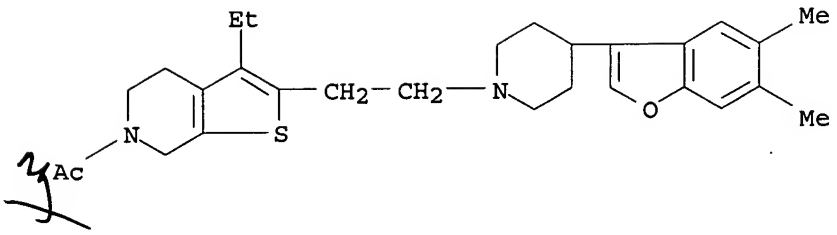


CM 2

CRN 144-62-7
CMF C2 H2 O4

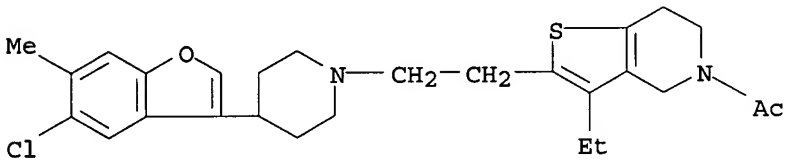


RN 169807-18-5 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(5,6-dimethyl-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



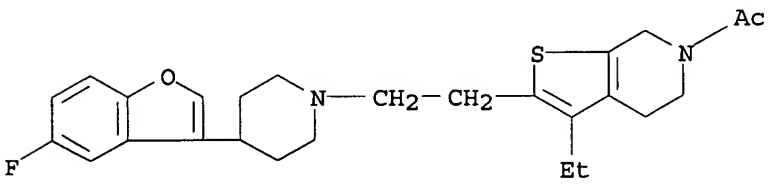
● HCl

RN 169807-23-2 CAPLUS
CN Thieno[3,2-c]pyridine, 5-acetyl-2-[2-[4-(5-chloro-6-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



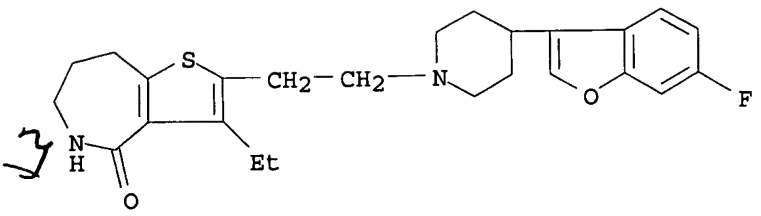
● HCl

RN 169807-24-3 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(5-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



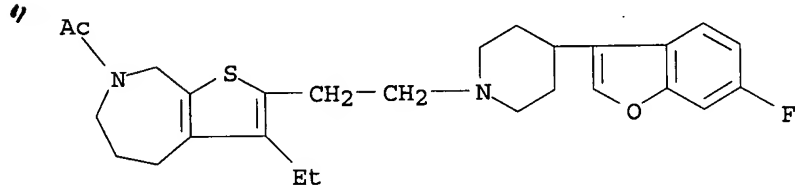
● HCl

RN 169807-25-4 CAPLUS
CN 4H-Thieno[3,2-c]azepin-4-one, 3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



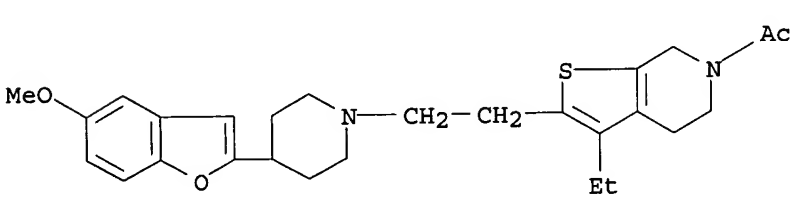
● HCl

RN 169807-26-5 CAPLUS
CN 4H-Thieno[2,3-c]azepine, 7-acetyl-3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



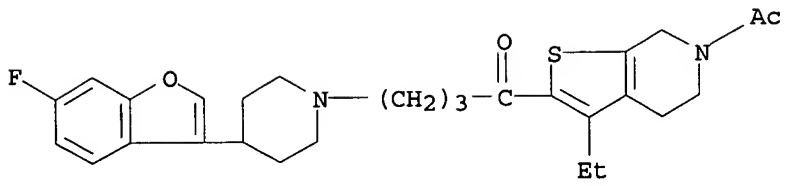
● HCl

RN 169807-28-7 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(5-methoxy-2-benzofuranyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



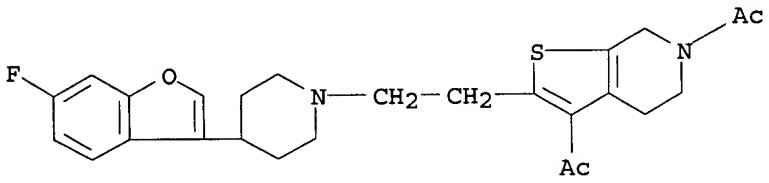
● HCl

RN 169807-29-8 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[4-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]-1-oxobutyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 169807-30-1 CAPLUS
CN Thieno[2,3-c]pyridine, 3,6-diacetyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

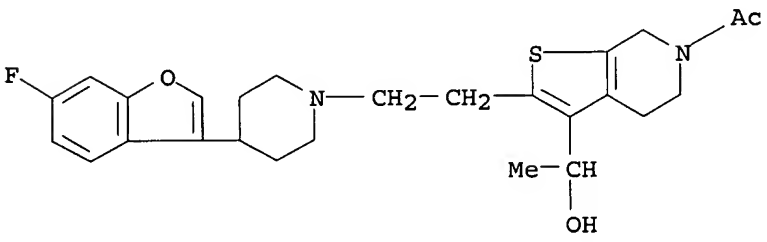


● HCl

RN 169807-32-3 CAPLUS
CN Thieno[2,3-c]pyridine-3-methanol, 6-acetyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-.alpha.-methyl-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

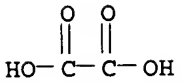
CM 1

CRN 169807-31-2
CMF C26 H31 F N2 O3 S

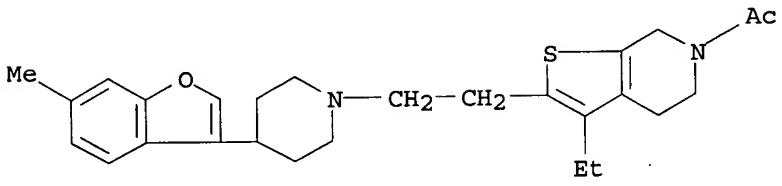


CM 2

CRN 144-62-7
CMF C2 H2 O4

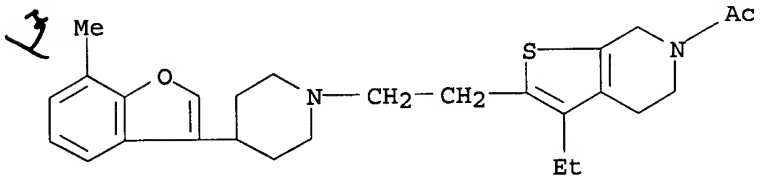


RN 169807-33-4 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(6-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



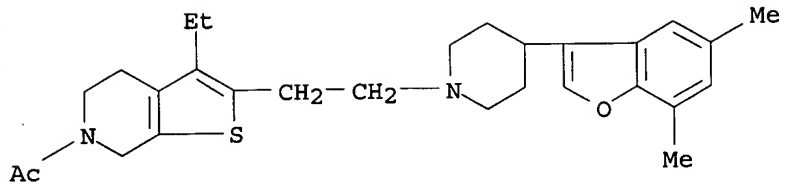
● HCl

RN 169807-36-7 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(7-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



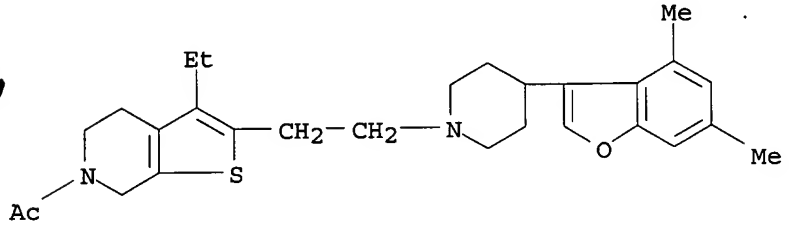
● HCl

RN 169807-37-8 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(5,7-dimethyl-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



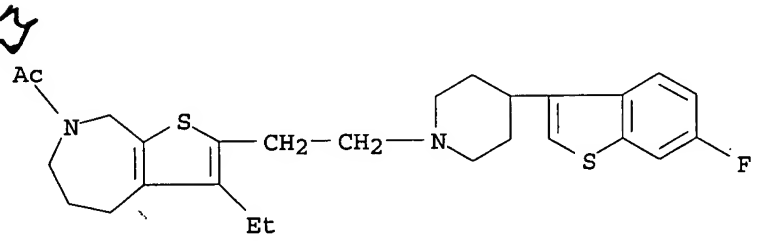
● HCl

RN 169807-38-9 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(4,6-dimethyl-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 180267-80-5 CAPLUS
CN 4H-Thieno[2,3-c]azepine, 7-acetyl-3-ethyl-2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

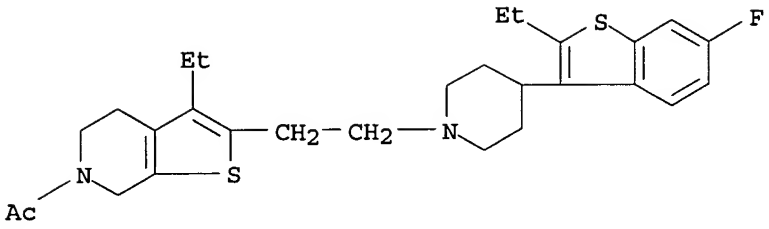


● HCl

RN 180267-87-2 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(2-ethyl-6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

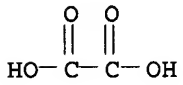
CM 1

CRN 169806-95-5
CMF C28 H35 F N2 O S2

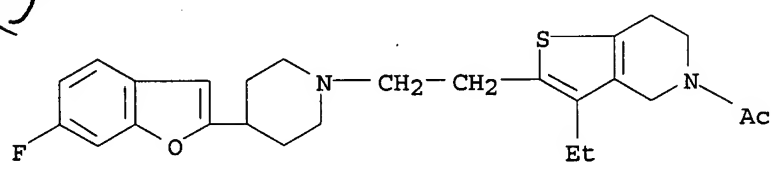


CM 2

CRN 144-62-7
CMF C2 H2 O4

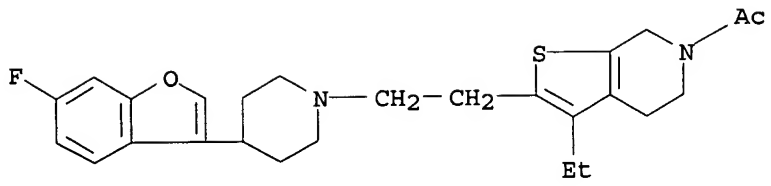


RN 180267-88-3 CAPLUS
CN Thieno[3,2-c]pyridine, 5-acetyl-3-ethyl-2-[2-[4-(6-fluoro-2-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



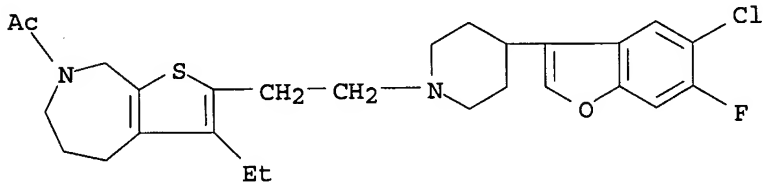
● HCl

RN 180267-89-4 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



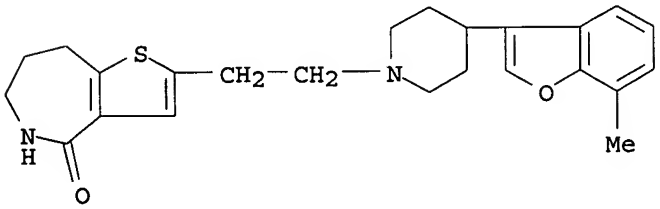
● 2 HCl

RN 180267-92-9 CAPLUS
CN 4H-Thieno[2,3-c]azepine, 7-acetyl-2-[2-[4-(5-chloro-6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

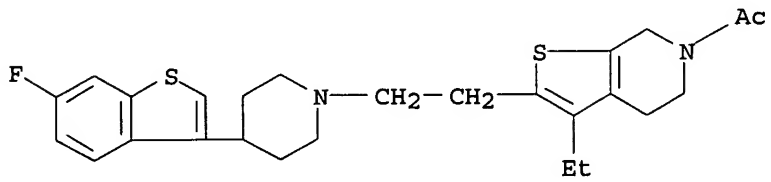


● HCl

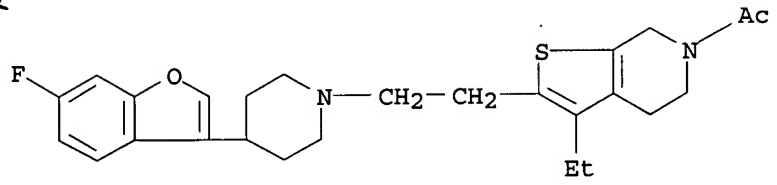
RN 180267-93-0 CAPLUS
CN 4H-Thieno[3,2-c]azepin-4-one, 5,6,7,8-tetrahydro-2-[2-[4-(7-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



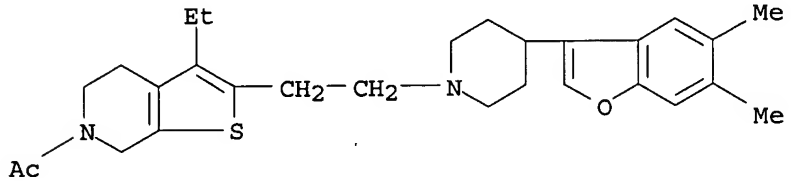
RN 180267-94-1 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



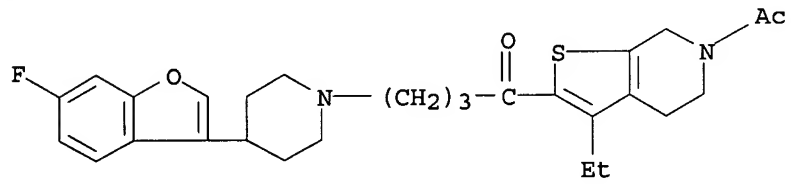
RN 180267-95-2 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



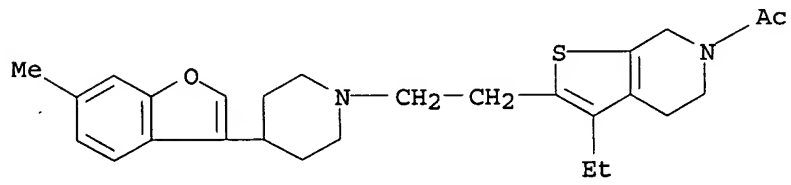
RN 180267-96-3 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(5,6-dimethyl-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



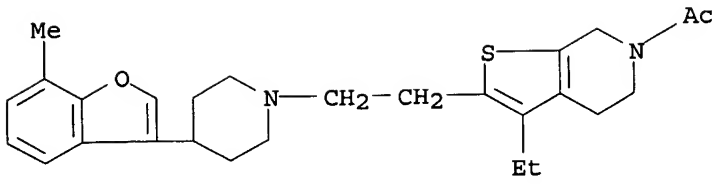
RN 180267-97-4 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[4-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]-1-oxobutyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



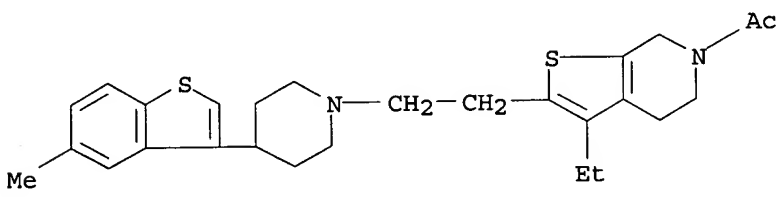
RN 180267-98-5 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(6-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



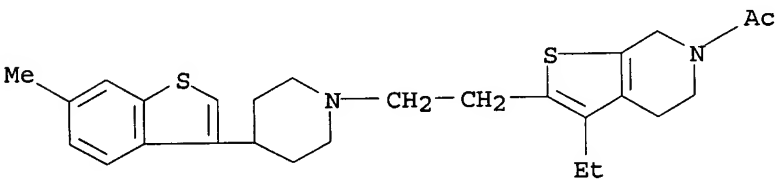
RN 180267-99-6 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(7-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 180268-00-2 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(5-methylbenzo[b]thien-3-yl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 180268-01-3 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(6-methylbenzo[b]thien-3-yl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 9 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:294880 CAPLUS
DN 124:343322
TI Preparation of quinazolinone derivatives as antipsychotics with weak extrapyramidal effects
IN Fukuda, Yoshimasa; Nakatani, Juko; Hasegawa, Toshibumi; Myashiro, Mio; Yamashita, Noryuki
PA Meiji Seika Co, Japan
SO Jpn. Kokai Tokkyo Koho, 10 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 08027149 | A2 | 19960130 | JP 1994-157624 | 19940708 <-- |
| PRAI | JP 1994-157624 | | 19940708 | | |

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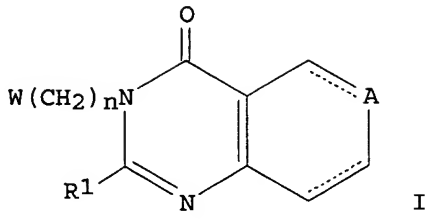

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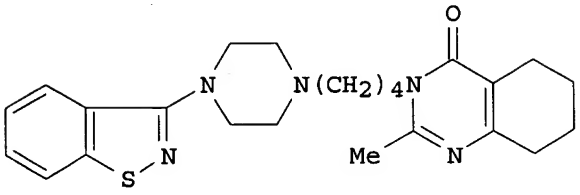


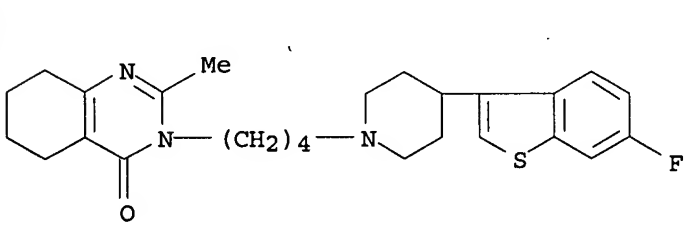
II

AB The title compds. I [n = 1 - 5; R1 = H, methyl; dotted line indicates single or double bond; A = CH2, NR3 (R3 = H, etc.), CH, N; W = heterocyclic moiety (structures given)] are prepd. In a test for antipsychotic effect using mice, the title compd. II (prepn. given) showed ED50 of 0.38 mg/Kg i.p., vs. ED50 of 0.16 mg/Kg i.p for haloperidol, and ED50 of 1.05 mg/Kg i.p for chlorpromazine. In a test for cataleptogenic effects using mice, II showed ED50 of 38.4 mg/Kg i.p., vs. ED50 of 1.3 mg/Kg i.p for haloperidol, and ED50 of 6.2 mg/Kg i.p for chlorpromazine.

IT **176493-71-3P 176493-72-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of quinazolinone derivs. as antipsychotics with weak extrapyramidal effects)

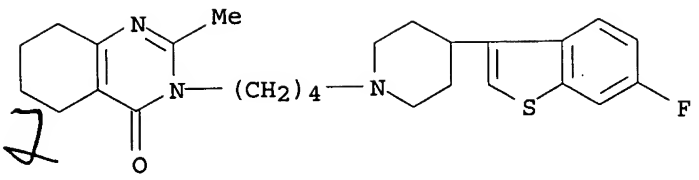
RN 176493-71-3 CAPLUS

CN 4(3H)-Quinazolinone, 3-[4-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]butyl]-5,6,7,8-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



RN 176493-72-4 CAPLUS

CN 4(3H)-Quinazolinone, 3-[4-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]butyl]-5,6,7,8-tetrahydro-2-methyl-, monohydrochloride (9CI) (CA INDEX NAME)

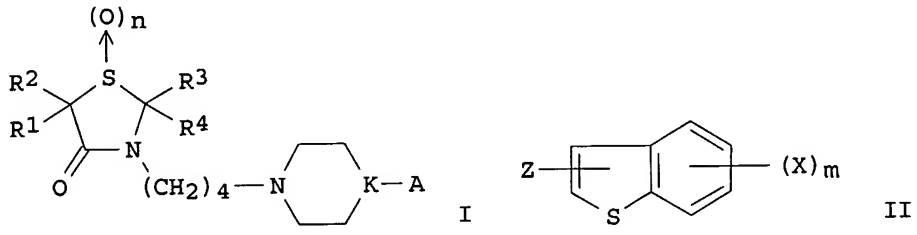


● HCl

L10 ANSWER 10 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:271513 CAPLUS
DN 124:317151
TI 3-(4-(1-substituted-4-piperazinyl)butyl)-4-thiazolidinone and related compounds
IN Hrib, Nicolas Joseph; Jurcak, John Gerard
PA Hoechst-Roussel Pharmaceuticals Incorporated, USA
SO Eur. Pat. Appl., 15 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 4

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI EP 699675 | A2 | 19960306 | EP 1995-113757 | 19950901 <-- |
| EP 699675 | A3 | 19970115 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | |
| JP 08176151 | A2 | 19960709 | JP 1995-259162 | 19950901 <-- |
| PRAI US 1994-299880 | A | 19940901 | | |

OS MARPAT 124:317151
GI

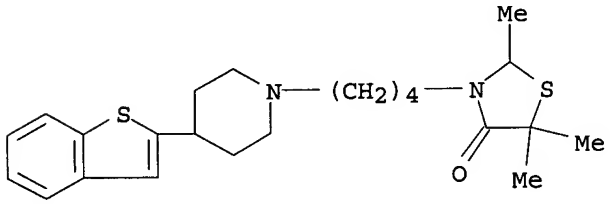


AB There are disclosed compds. of the formula I (n = 0, 1, 2; A = II; X = H, halo, loweralkyl, OH, NO2, loweralkoxy, amino, CN, CF3, methylthio; Z = connecting site; K = N, CH; m = 1, 2; R1, R2 = H, loweralkyl, COHMe2, CFMe2, aryl except what when R1 is COHMe2, CFMe2 or aryl, R2 is H, or alternatively R1 + R2 taken together with the carbon atom to which they are attached form a cyclopentane, cyclopentane, cyclohexane, cycloheptane, pyran, thiopyran, indan or piperidine ring; R3, R4 = H, loweralkyl, or alternatively R3 + R4 taken together with the carbon atom to which they are attached form a cyclopentane, cyclohexane, cycloheptane, pyran, thiopyran, pyrrolidine or piperidine ring, the term aryl signifying an unsubstituted Ph group or a Ph group substituted with 1, 2 or 3 substituents each of which being independently loweralkyl, loweralkoxy,

OH, halo, loweralkylthio, CN, amino or CF3) which are useful as antipsychotic, analgesic, anticonvulsant and anxiolytic agents.

IT **176107-11-2P 176107-13-4P 176107-14-5P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of 3-(4-(1-substituted-4-piperazinyl)butyl)-4-thiazolidinone and related compds.)

RN 176107-11-2 CAPLUS
CN 4-Thiazolidinone, 3-[4-(4-benzo[b]thien-2-yl-1-piperidinyl)butyl]-2,5,5-trimethyl-, monohydrochloride (9CI) (CA INDEX NAME)

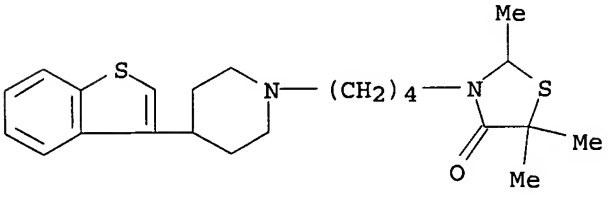


● HCl

RN 176107-13-4 CAPLUS
CN 4-Thiazolidinone, 3-[4-(4-benzo[b]thien-3-yl-1-piperidinyl)butyl]-2,5,5-trimethyl-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

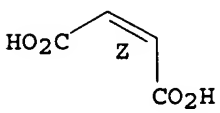
CRN 176107-12-3
CMF C23 H32 N2 O S2



CM 2

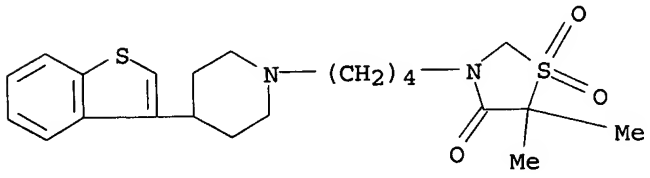
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 176107-14-5 CAPLUS

CN 4-Thiazolidinone, 3-[4-(4-benzo[b]thien-3-yl-1-piperidinyl)butyl]-5,5-dimethyl-, 1,1-dioxide (9CI) (CA INDEX NAME)



L10 ANSWER 11 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1996:126572 CAPLUS
DN 124:176145
TI Preparation of 5-(pyridylalkyl)- or 5-(piperazinylalkyl)thiazole derivatives as psychotropics and cardiovascular agents
IN Hasegawa, Toshifumi; Nakatani, Juko; Egawa, Takashi; Murase, Takeshi; Fukuda, Yoshimasa
PA Meiji Seika Co, Japan
SO Jpn. Kokai Tokkyo Koho, 8 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI JP 07258252 | A2 | 19951009 | JP 1994-45781 | 19940316 <-- |
| PRAI JP 1994-45781 | | 19940316 | | |

OS MARPAT 124:176145
GI

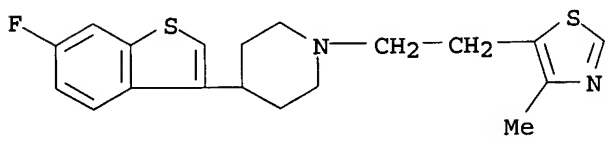
* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB The title compds. [I; n = 1-4; R1 = H, NR10R11; wherein R10 = H, acyl, (un)substituted alkyl, cycloalkyl; R2 = H, alkyl; W = Q, Q1, Q2; X = H, halo; Y = O, S; Z = CH, N], which have potent psychotropic activity and high affinity to receptors (e.g. D2, 5HT2, and .alpha.1 receptors) related to cardiovascular systems and are useful as anti-schizophrenic, anxiolytic, and antidepressant medicaments, are prepd. Thus, 1-(1,2-benzisothiazol-3-yl)piperazine 100, 4-methyl-5-(2-p-toluenesulfonyloxyethyl)thiazole 128, and K2CO3 95 mg were suspended in DMSO and stirred at room temp. for 12 h to give the title compd. (II). II showed ED50 of 1.2 mg/kg i.p. for suppressing the methamphetamine-induced increase in spontaneous movements in mice as compared to 0.2 and 1.1. mg/kg i.p. for haloperidol and chlorpromazine, resp., and induced catalepsy with ED50 of 101.6 mg/kg i.p. as compared to 1.3 and 6.2 mg/kg i.p. for haloperidol and chlorpromazine, resp., indicating that I had the reduced extrapyramidal activity.

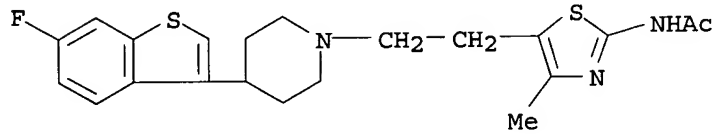
IT **173772-70-8P 173772-73-1P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of (pyridylalkyl)- or (piperazinylalkyl)thiazole derivs. as psychotropics and cardiovascular agents)

RN 173772-70-8 CAPLUS

CN Piperidine, 4-(6-fluorobenzo[b]thien-3-yl)-1-[2-(4-methyl-5-thiazolyl)ethyl]- (9CI) (CA INDEX NAME)



RN 173772-73-1 CAPLUS
CN Acetamide, N-[5-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4-methyl-2-thiazolyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 12 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:896104 CAPLUS
DN 123:314031
TI Preparation of fused thiophene derivatives with high affinity to dopamine D2 and serotonin 2 (5-HT2) receptors
IN Nakao, Tatsu; Ono, Juji; Bogauchi, Masahiro; Morimoto, Yasuto
PA Yoshitomi Pharmaceutical, Japan
SO Jpn. Kokai Tokkyo Koho, 78 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

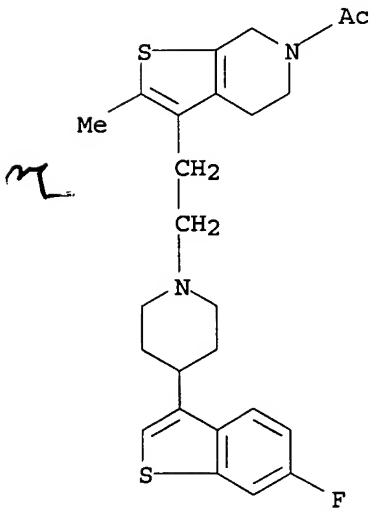
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 07070135 | A2 | 19950314 | JP 1994-143144 | 19940624 <-- |
| | JP 2959615 | B2 | 19991006 | | |
| PRAI | JP 1993-179837 | | 19930624 | | |

OS MARPAT 123:314031
GI For diagram(s), see printed CA Issue.
AB Thieno[3,2-b]azepin-5-one derivs. and analogs [I; ring S = fused thiophene Q1 - Q4; R1 = H, halo, alkyl, acyl, hydroxyalkyl; R2 = H, alkyl, acyl, aryl, arylalkyl; wherein G = CH2, CH(OR3) (wherein R3 = H, alkyl, acyl), CO, S(O)t (wherein t = 0-2); Q = linear or branched alkylene; T = tert-amino; D = CH2, S(O)u (u = 0-2); when m = 0 or 1 and n = 0-2, one of A and B is absent and the other represents CO or C(S); or when m, n = 0-4, both A and B is absent; provided that m + n .ltoreq.4], which are both antagonists of dopamine D2 receptors and blockers of serotonin 2 (5-HT2) receptor, are useful as psychotropic agents with reduced side effects such hormonal and extrapyramidal side effects and excellent stability in blood, and effective for improving both pos. and neg. symptoms of schizophrenia, are prepd. Thus, 2,3-dihydrothieno[3,2-f][1,4]thiazepin-5(4H)-one (II; R1 = H) (prepn. given) was acylated by chlorobutyryl chloride in the presence of AlCl3 in CH2Cl2 under ice-cooling to give, after recrystn. from EtOH, II [R1 = CO(CH2)3Cl] which was condensed with 4-(1,2-benzisothiazol-3-yl)piperazine hydrochloride in the presence of K2CO3 and KI in DMF/toluene at 100.degree. for 24 h to give, after silica gel chromatog. and salt

formation with oxalic acid, II (R1 = Q5) oxalate. A thieno[2,3-c]pyridine deriv. (III) showed binding affinity to dopamine D2 receptor prepn. from synaptosome of Wister rat corpus striatum with Ki value of 0.15 nM and binding affinity to serotonin 2 (5-HT2) receptor and serotonin 1A (5-HT1A) receptor prepn. from synaptosome of Wister rat hippocampus with Ki value of 0.043 and 3.7 nM, resp. These title compds. I in vivo also antagonized the effects of apomorphine and ergometrine in rats.

IT **153024-76-1P 153024-77-2P 153025-12-8P**
**169806-64-8P 169806-65-9P 169806-74-0P**
**169806-94-4P 169806-95-5P 169806-97-7P**
**169806-98-8P 169807-01-6P 169807-04-9P**
**169807-05-0P 169807-06-1P 169807-07-2P**
**169807-08-3P 169807-09-4P 169807-10-7P**
**169807-11-8P 169807-13-0P 169807-15-2P**
**169807-17-4P 169807-18-5P 169807-23-2P**
**169807-24-3P 169807-25-4P 169807-26-5P**
**169807-27-6P 169807-28-7P 169807-29-8P**
**169807-30-1P 169807-32-3P 169807-33-4P**
**169807-36-7P 169807-37-8P 169807-38-9P**
**169807-41-4P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of fused thiophene derivs. with high affinity to dopamine D2 and serotonin 2 (5-HT2) receptors as psychotropic agents)

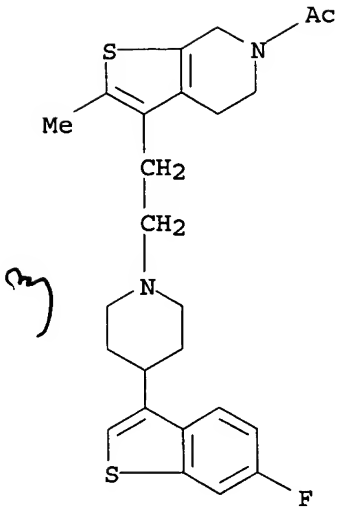
RN 153024-76-1 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)




RN 153024-77-2 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-2-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

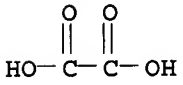
CM 1

CRN 153024-76-1
CMF C25 H29 F N2 O S2

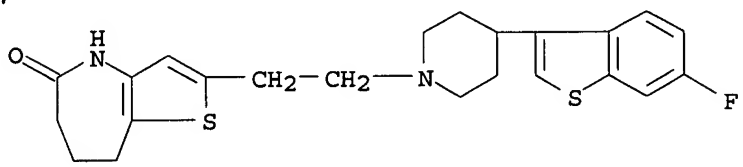


CM 2

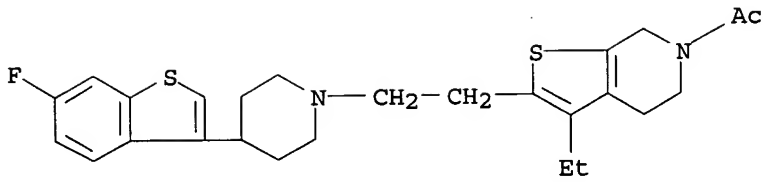
CRN 144-62-7
CMF C2 H2 O4



RN 153025-12-8 CAPLUS
CN 5H-Thieno[3,2-b]azepin-5-one, 2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)

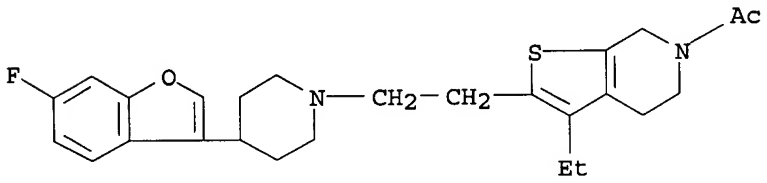


RN 169806-64-8 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 169806-65-9 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

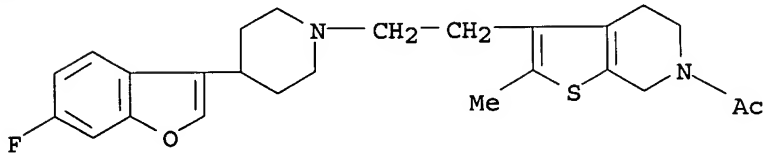


● HCl

RN 169806-74-0 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-2-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

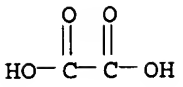
CM 1

CRN 169806-73-9
CMF C25 H29 F N2 O2 S



CM 2

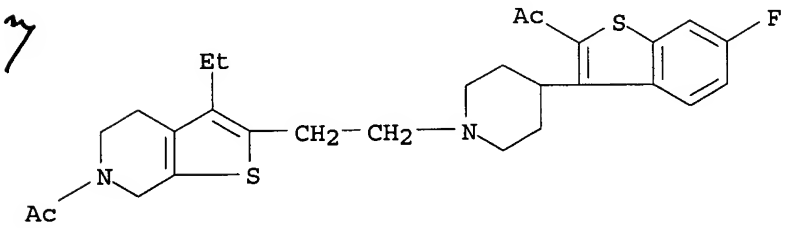
CRN 144-62-7
CMF C2 H2 O4



RN 169806-94-4 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(2-acetyl-6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

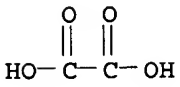
CM 1

CRN 169806-93-3
CMF C28 H33 F N2 O2 S2

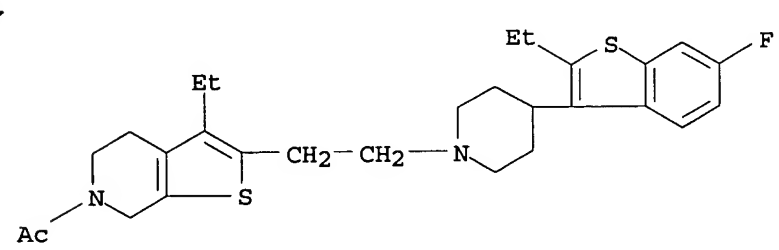


CM 2

CRN 144-62-7
CMF C2 H2 O4



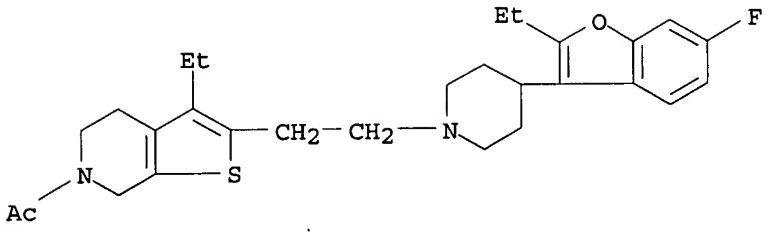
RN 169806-95-5 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(2-ethyl-6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro- (9CI) (CA INDEX NAME)



RN 169806-97-7 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(2-ethyl-6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

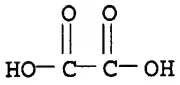
CM 1

CRN 169806-96-6
CMF C28 H35 F N2 O2 S

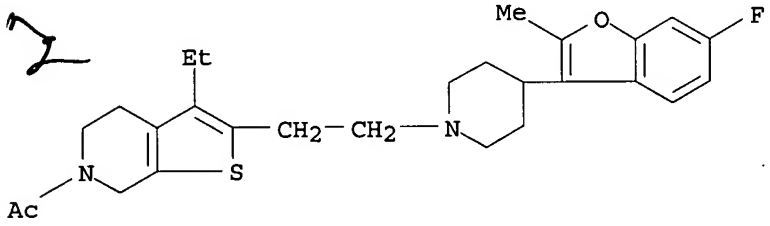


CM 2

CRN 144-62-7
CMF C2 H2 O4

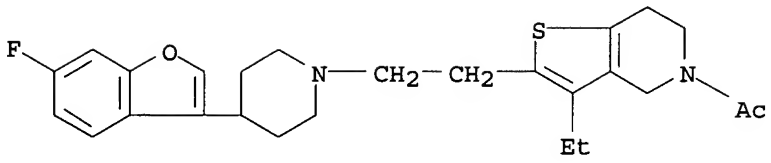


RN 169806-98-8 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(6-fluoro-2-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



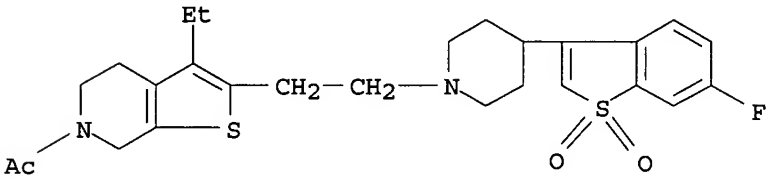
● HCl

RN 169807-01-6 CAPLUS
CN Thieno[3,2-c]pyridine, 5-acetyl-3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



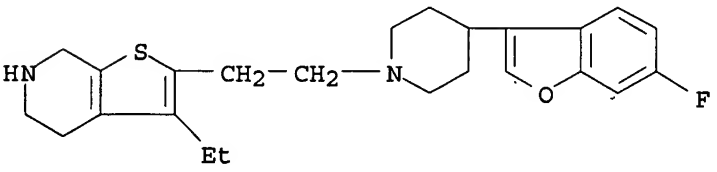
● HCl

RN 169807-04-9 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(6-fluoro-1,1-dioxidobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



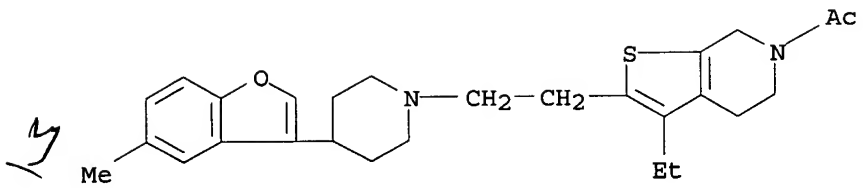
● HCl

RN 169807-05-0 CAPLUS
CN Thieno[2,3-c]pyridine, 3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, dihydrochloride (9CI) (CA INDEX NAME)



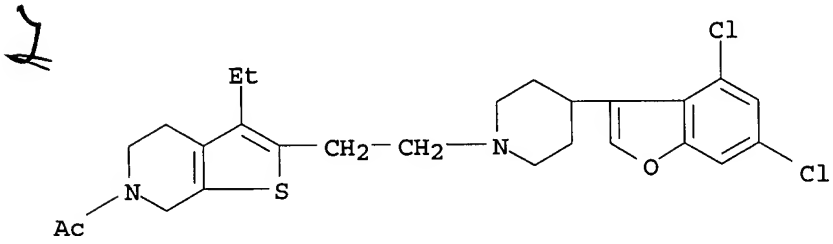
●2 HCl

RN 169807-06-1 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(5-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



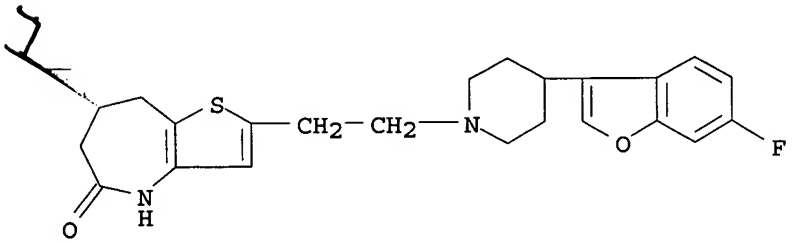
● HCl

RN 169807-07-2 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(4,6-dichloro-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

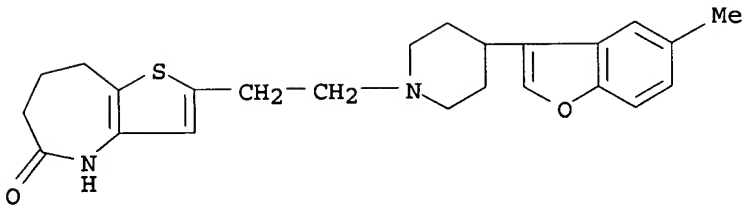


● HCl

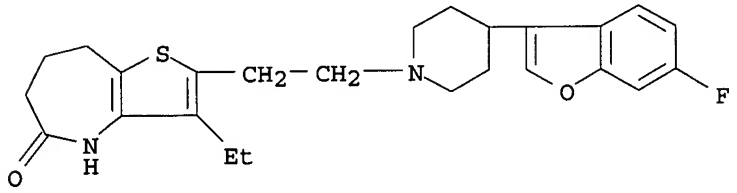
RN 169807-08-3 CAPLUS
CN 5H-Thieno[3,2-b]azepin-5-one, 2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



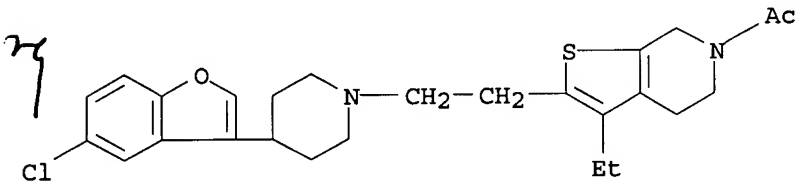
RN 169807-09-4 CAPLUS
CN 5H-Thieno[3,2-b]azepin-5-one, 4,6,7,8-tetrahydro-2-[2-[4-(5-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



RN 169807-10-7 CAPLUS
CN 5H-Thieno[3,2-b]azepin-5-one, 3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 169807-11-8 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(5-chloro-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

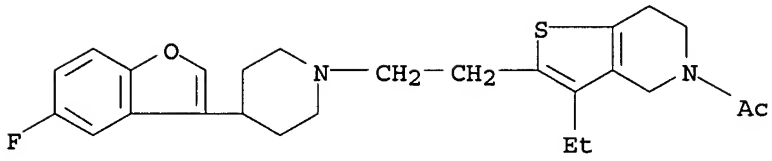


● HCl

RN 169807-13-0 CAPLUS
CN Thieno[3,2-c]pyridine, 5-acetyl-3-ethyl-2-[2-[4-(5-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

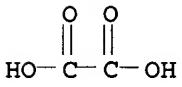
CM 1

CRN 169807-12-9
CMF C26 H31 F N2 O2 S



CM 2

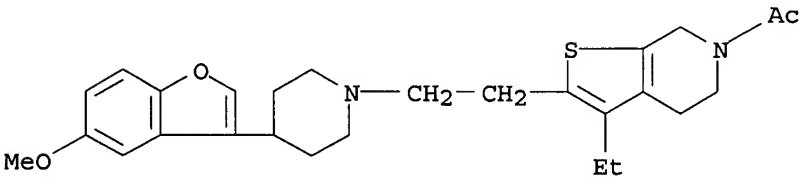
CRN 144-62-7
CMF C2 H2 O4



RN 169807-15-2 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(5-methoxy-3-benzofuranyl)-1-piperidinyl]ethyl]-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

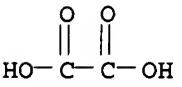
CM 1

CRN 169807-14-1
CMF C27 H34 N2 O3 S



CM 2

CRN 144-62-7
CMF C2 H2 O4

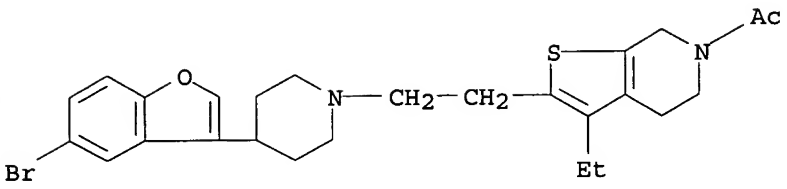


RN 169807-17-4 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(5-bromo-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

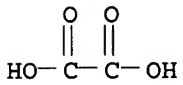
CRN 169807-16-3

CMF C26 H31 Br N2 O2 S

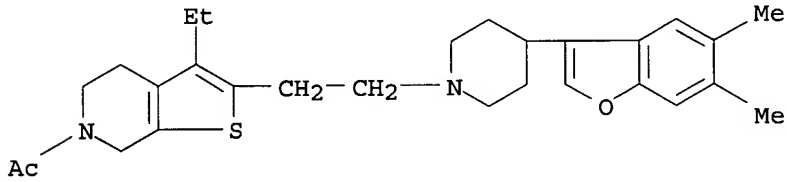


CM 2

CRN 144-62-7
CMF C2 H2 O4

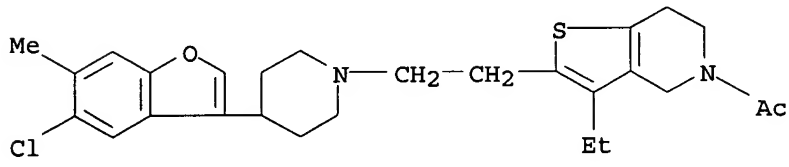


RN 169807-18-5 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(5,6-dimethyl-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



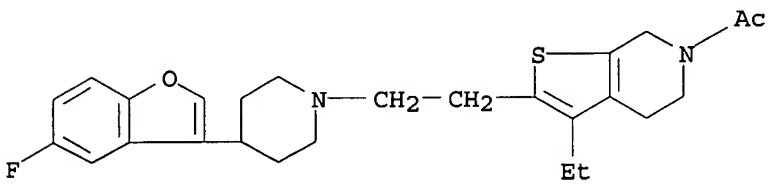
● HCl

RN 169807-23-2 CAPLUS
CN Thieno[3,2-c]pyridine, 5-acetyl-2-[2-[4-(5-chloro-6-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



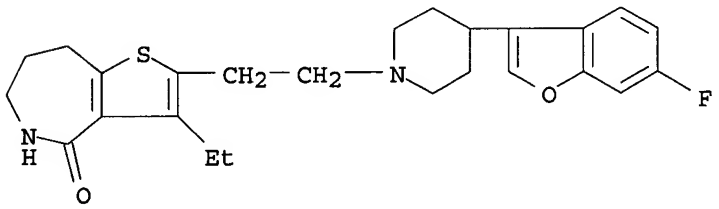
HCl

RN 169807-24-3 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[2-[4-(5-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



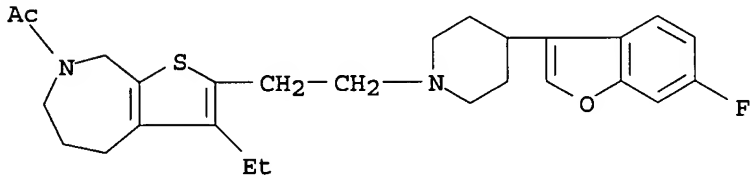
● HCl

RN 169807-25-4 CAPLUS
CN 4H-Thieno[3,2-c]azepin-4-one, 3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

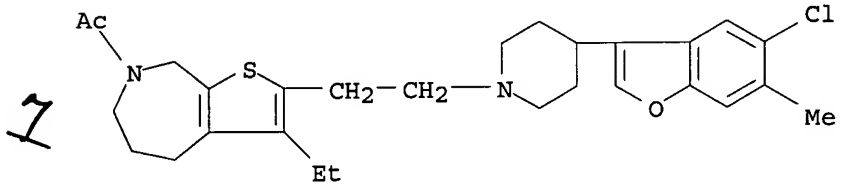
RN 169807-26-5 CAPLUS
CN 4H-Thieno[2,3-c]azepine, 7-acetyl-3-ethyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



HCl

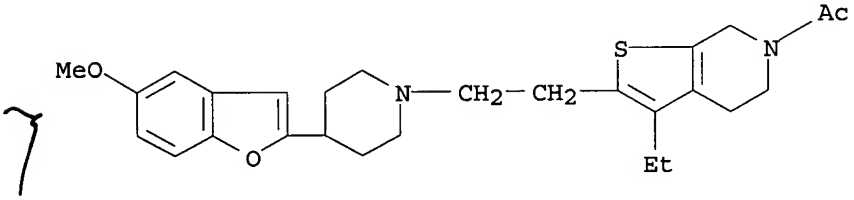
RN 169807-27-6 CAPLUS
CN 4H-Thieno[2,3-c]azepine, 7-acetyl-2-[2-[4-(5-chloro-6-methyl-3-

benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



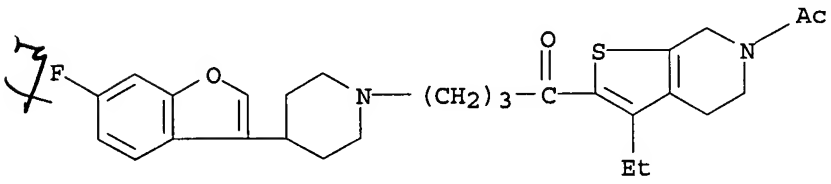
● HCl

RN 169807-28-7 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(5-methoxy-2-benzofuranyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



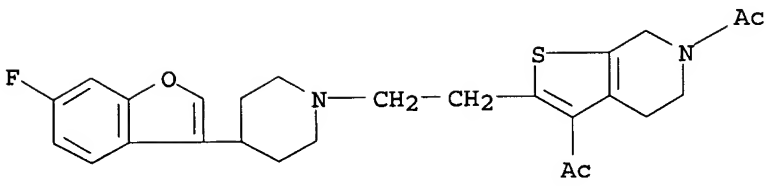
● HCl

RN 169807-29-8 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-2-[4-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]-1-oxobutyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 169807-30-1 CAPLUS
CN Thieno[2,3-c]pyridine, 3,6-diacetyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

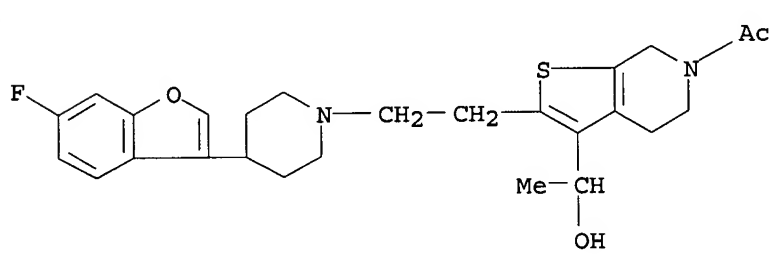


● HCl

RN 169807-32-3 CAPLUS
CN Thieno[2,3-c]pyridine-3-methanol, 6-acetyl-2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-.alpha.-methyl-, ethanedioate (1:1) (salt) (9CI) (CA INDEX NAME)

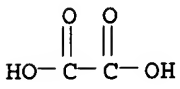
CM 1

CRN 169807-31-2
CMF C26 H31 F N2 O3 S

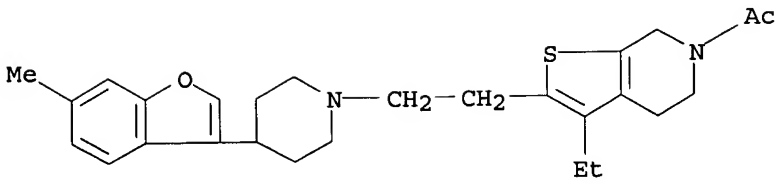


CM 2

CRN 144-62-7
CMF C2 H2 O4

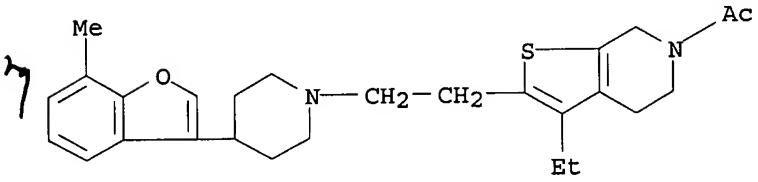


RN 169807-33-4 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(6-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



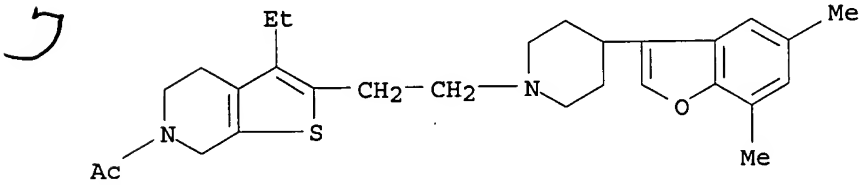

● HCl

RN 169807-36-7 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-3-ethyl-4,5,6,7-tetrahydro-2-[2-[4-(7-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



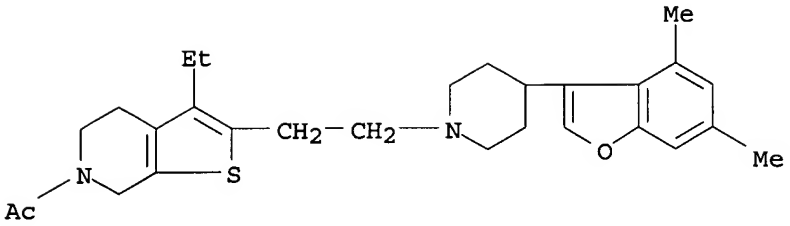

● HCl

RN 169807-37-8 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(5,7-dimethyl-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



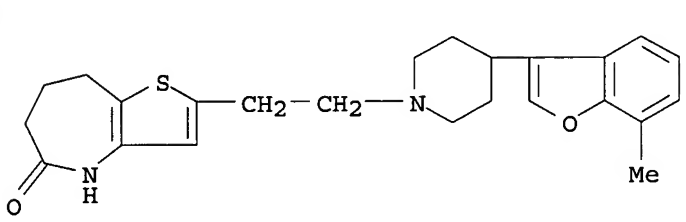

● HCl

RN 169807-38-9 CAPLUS
CN Thieno[2,3-c]pyridine, 6-acetyl-2-[2-[4-(4,6-dimethyl-3-benzofuranyl)-1-piperidinyl]ethyl]-3-ethyl-4,5,6,7-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

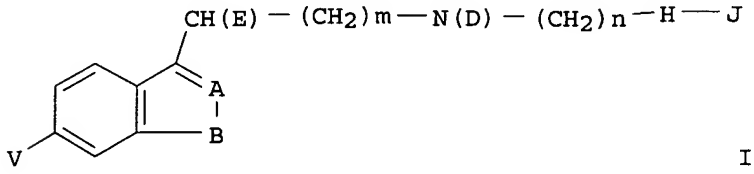
RN 169807-41-4 CAPLUS
CN 5H-Thieno[3,2-b]azepin-5-one, 4,6,7,8-tetrahydro-2-[2-[4-(7-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 13 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:234812 CAPLUS
DN 122:1086
TI preparation of amine derivatives as blood platelet aggregation inhibitors
IN Sakurai, Kunya; Niwa, Seiji; Shoji, Masataka; Ueda, Takeo; Yamamoto, Hiroshi; Yoshimoto, Ryota
PA Ajinomoto Kk, Japan
SO Jpn. Kokai Tokkyo Koho, 30 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| JP 06234633 | A2 | 19940823 | JP 1993-22904 | 19930210 <-- |
| PRAI JP 1993-22904 | | 19930210 | | |

OS MARPAT 122:1086
GI



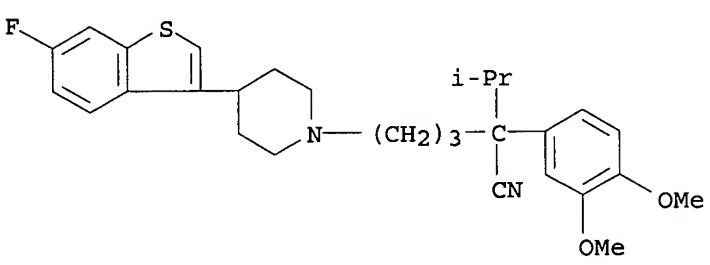
I

AB The amine derivs. (I) [A = N or C(G) ( G = H C6-12 aryl, carboxy, etc.); B = NH, S, O; D = C1-6 alkyl; E = hydrogen or may link with D; V = hydrogen, F; H = CH:CH, S, CH2; J = naphthyl; m = 2-3; n = 0-6] are blood platelet aggregation inhibitors. Thus, 1-formyl-4-(2,4-difluorobenzoyl)piperazine was treated with hydroxylamine sulfate to give 4-(6-fluoroisobenzisooxazol-3-yl)piperazine, which was further treated with 1-bromo-3-(4-sulfamoylphenyl)propane to give II. II inhibited exptl. induced blood platelet aggregation with pIC50 = 6.3.

IT **153117-15-8P 153117-32-9P 153117-40-9P**
**153117-41-0P 153117-42-1P 153117-45-4P**
**153117-46-5P 153117-47-6P 153117-48-7P**
**153117-49-8P 153117-53-4P 153117-54-5P**
**159534-74-4P 159534-76-6P 159534-77-7P**
**159534-80-2P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of amine derivs. as blood platelet aggregation inhibitors)

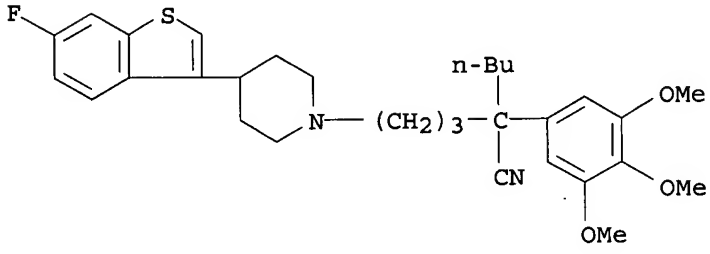
RN 153117-15-8 CAPLUS

CN 1-Piperidinepentanenitrile, .alpha.-(3,4-dimethoxyphenyl)-4-(6-fluorobenzo[b]thien-3-yl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)



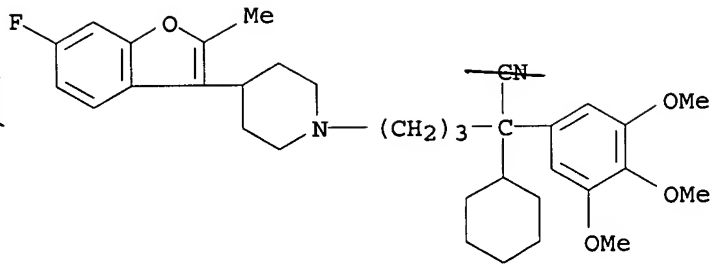

RN 153117-32-9 CAPLUS

CN 1-Piperidinepentanenitrile, .alpha.-butyl-4-(6-fluorobenzo[b]thien-3-yl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)

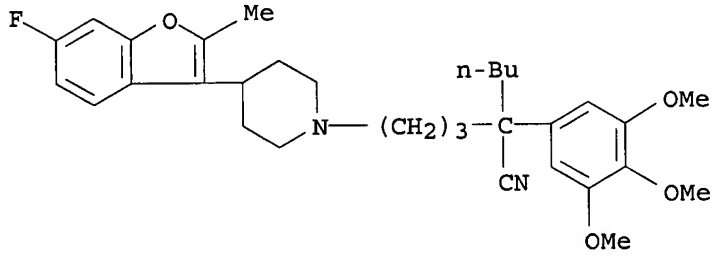



RN 153117-40-9 CAPLUS

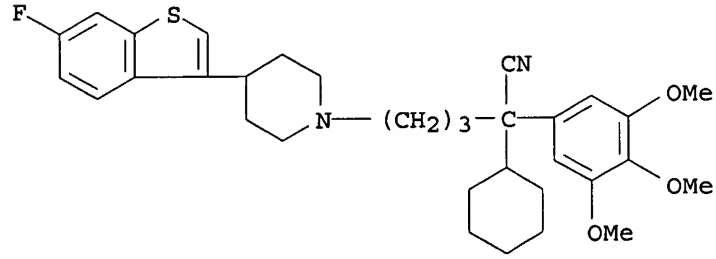
CN 1-Piperidinepentanenitrile, .alpha.-cyclohexyl-4-(6-fluoro-2-methyl-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



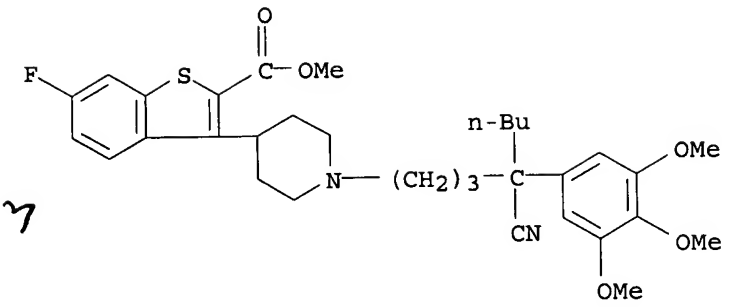
RN 153117-41-0 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-butyl-4-(6-fluoro-2-methyl-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



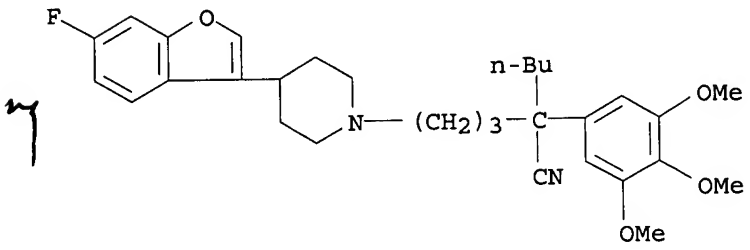
RN 153117-42-1 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-cyclohexyl-4-(6-fluorobenzo[b]thien-3-yl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



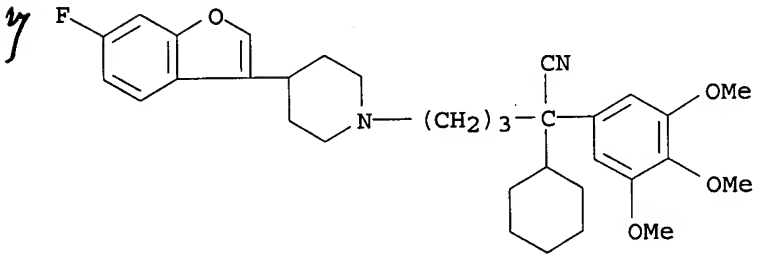
RN 153117-45-4 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-[1-[4-cyano-4-(3,4,5-trimethoxyphenyl)octyl]-4-piperidinyl]-6-fluoro-, methyl ester (9CI) (CA INDEX NAME)



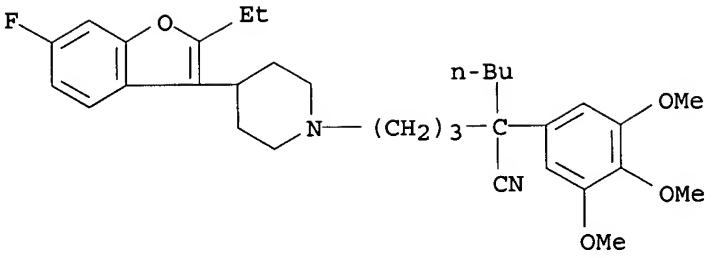
RN 153117-46-5 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-butyl-4-(6-fluoro-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



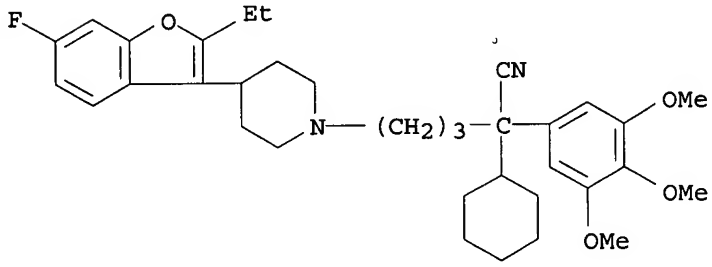
RN 153117-47-6 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-cyclohexyl-4-(6-fluoro-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



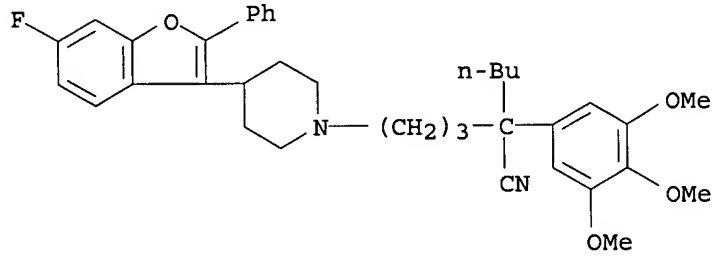
RN 153117-48-7 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-butyl-4-(2-ethyl-6-fluoro-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



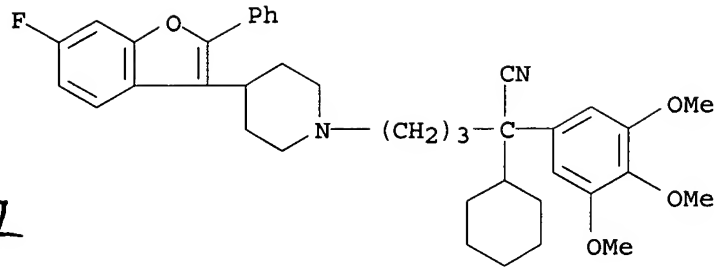
RN 153117-49-8 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-cyclohexyl-4-(2-ethyl-6-fluoro-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



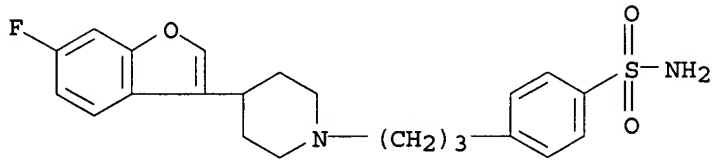
RN 153117-53-4 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-butyl-4-(6-fluoro-2-phenyl-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



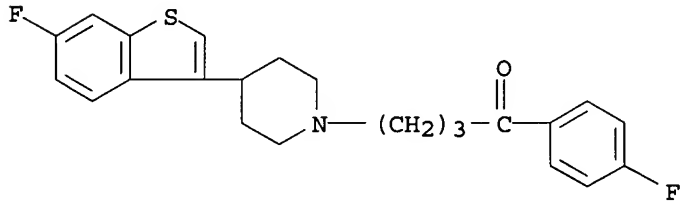
RN 153117-54-5 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-cyclohexyl-4-(6-fluoro-2-phenyl-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



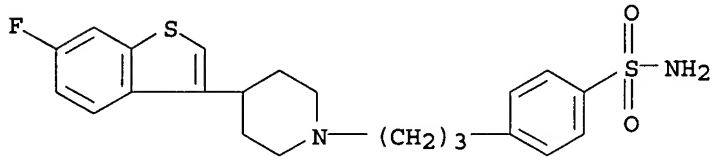
RN 159534-74-4 CAPLUS
CN Benzenesulfonamide, 4-[3-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



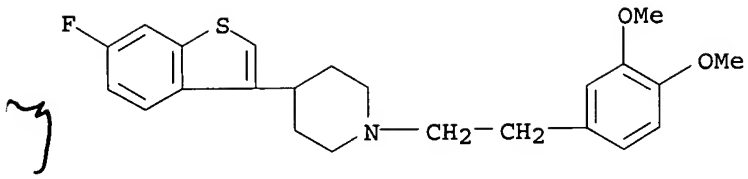
RN 159534-76-6 CAPLUS
CN 1-Butanone, 4-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



RN 159534-77-7 CAPLUS
CN Benzenesulfonamide, 4-[3-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]propyl]- (9CI) (CA INDEX NAME)



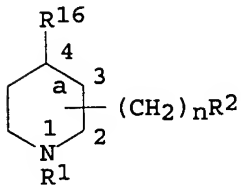
RN 159534-80-2 CAPLUS
CN Piperidine, 1-[2-(3,4-dimethoxyphenyl)ethyl]-4-(6-fluorobenzo[b]thien-3-yl)- (9CI) (CA INDEX NAME)



L10 ANSWER 14 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1995:227442 CAPLUS
DN 122:132986
TI (N-phthalimidoalkyl) piperidines useful as treatments for psychosis
IN Ciganek, Engelbert; Tam, Sang W.; Wright, Ann S.
PA Du Pont Merck Pharmaceutical Co., USA
SO U.S., 41 pp. Cont.-in-part of U.S. Ser. No. 602,024, abandoned.
CODEN: USXXAM
DT Patent
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 5356906 | A | 19941018 | US 1992-876542 | 19920430 <-- |
| | IL 96144 | A1 | 19940624 | IL 1990-96144 | 19901028 <-- |
| | ZA 9008641 | A | 19920624 | ZA 1990-8641 | 19901029 <-- |
| | WO 9322310 | A1 | 19931111 | WO 1993-US3984 | 19930428 <-- |
| | W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, VN | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| | AU 9340345 | A1 | 19931129 | AU 1993-40345 | 19930428 <-- |
| | US 5480892 | A | 19960102 | US 1994-298268 | 19940831 <-- |
| PRAI | US 1989-428097 | | 19891027 | | |
| | US 1990-602024 | | 19901023 | | |
| | US 1992-876542 | | 19920430 | | |
| | WO 1993-US3984 | | 19930428 | | |

OS MARPAT 122:132986
GI



I

AB There is described novel (N-phthalimidoalkyl)piperidine compds. I or a pharmaceutically acceptable salt or an N-oxide thereof [a is a single or double bond, provided that when a is a double bond, R2(CH2)n is attached at C-4 and R16 is not present; n is 1-4, provided that when (CH2)n is attached to the 2-position of the piperidine ring then n is 2-4; R1 is (CH2)mR3 or (CH2)pAr, where m is 1-4 and p is 1-4; R2 is N-phthalimido] which exhibit selective .sigma.-receptor antagonism and therefore are useful in the treatment of physiol. or drug induced psychosis and dyskinesia in a mammal. Also described are pharmaceutical compns. contg.

.sigma. selective compds. and methods of using these compns. for treating physiol. or drug induced psychosis or dyskinesia in a mammal. Further provided are methods for prepg. the compds. of this invention. Kis in the 1-30 nM range were measured in the in vitro .sigma.-receptor binding assay.

IT **135903-63-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation)
((N-phthalimidoalkyl)piperidines as selective .sigma.-receptor antagonists useful as treatments for psychosis)

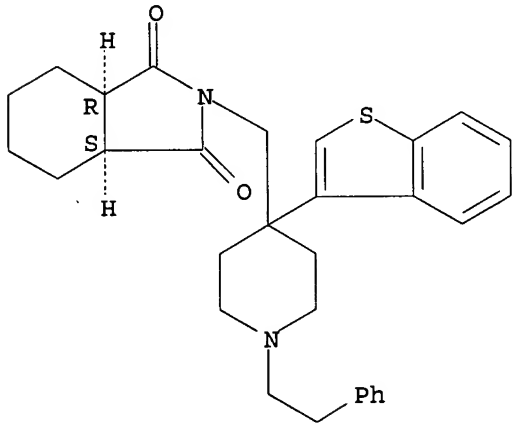
RN 135903-63-8 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[4-benzo[b]thien-3-yl-1-(2-phenylethyl)-4-piperidinyl]methyl]hexahydro-, (3aR,7aS)-rel-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 135903-62-7
CMF C30 H34 N2 O2 S

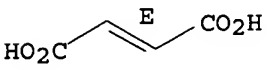
Relative stereochemistry.




CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

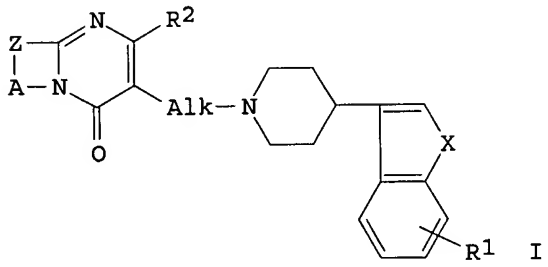



L10 ANSWER 15 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:270442 CAPLUS
DN 120:270442
TI 4-(3-Benzofuranyl)piperidinyl and 4-(3-benzothienyl)piperidinyl derivatives
IN Vandenberk, Jan; Kennis, Ludo Edmond Josephine; Van Heertum, Albertus Henricus

PA Janssen Pharmaceutica N.V., Belg.
SO PCT Int. Appl., 22 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|---|---|---|---|---|---|---|
| PI | WO 9401437 | A1 | 19940120 | WO 1993-EP1776 | 19930706 | <-- |
| | W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, US | | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | | |
| | AU 9345659 | A1 | 19940131 | AU 1993-45659 | 19930706 | <-- |
| | AU 669196 | B2 | 19960530 | | | |
| | EP 672043 | A1 | 19950920 | EP 1993-915840 | 19930706 | <-- |
| | EP 672043 | B1 | 20010530 | | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, NL, PT, SE | | | | | |
| | JP 07508741 | T2 | 19950928 | JP 1993-502963 | 19930706 | <-- |
| | ES 2159526 | T3 | 20011016 | ES 1993-915840 | 19930706 | |
| | ZA 9305017 | A | 19950112 | ZA 1993-5017 | 19930712 | <-- |
| | US 6348457 | B1 | 20020219 | US 1995-362529 | 19950105 | |
| | FI 9500138 | A | 19950112 | FI 1995-138 | 19950112 | <-- |
| | NO 9500124 | A | 19950112 | NO 1995-124 | 19950112 | <-- |
| PRAI | US 1992-912396 | A2 | 19920713 | | | |
| | US 1992-912936 | B1 | 19920713 | | | |
| | WO 1993-EP1776 | A | 19930706 | | | |

OS MARPAT 120:270442
GI



I

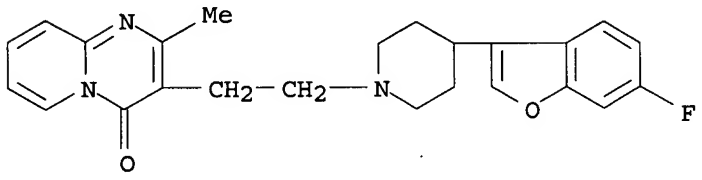
AB Novel title compds. I [X = O, S; R1 = hydrogen or halo; R2 = hydrogen, C1-4 alkyl, phenylmethyl, halophenylmethyl; Alk = C1-4 alkanediyl; Z-A is a bivalent radical selected from the group consisting of SCH2CH2, SCH2CH2CH2, SCH:CH, CH:CH, C(:CHR3)CH2CH2CH2, CH:CHO, CHR4CH2CH2, CHR4CH2CH2CH2, CHR4CH2CH2CH2CH2CH2; in said bivalent radicals one hydrogen may be replaced by C1-4 alkyl; R3 = Ph, halophenyl; each R4 independently represents hydrogen, hydroxy, phenylmethyl or halophenylmethyl], the pharmaceutically acceptable acid addn. salts thereof and the stereochem. isomeric forms thereof are claimed, as well as pharmaceutical compns. of said compds. and use as a medicine. I are prepd. by alkylation of 4-(3-benzofuranyl)piperidines and 4-(3-benzothienyl)piperidines with alkyl derivs. having a reactive leaving group, e.g., 3-(2-chloroethyl)-2-methyl-4H-pyrido[1,2-a]pyrimidin-4-one.

IT **154467-91-1P 154467-92-2P 154467-93-3P**
**154467-94-4P 154467-95-5P 154467-96-6P**
**154467-97-7P 154467-98-8P 154468-00-5P**

**154468-02-7P 154468-04-9P 154468-05-0P**
**154468-07-2P 154468-08-3P 154468-09-4P**
**154468-10-7P 154468-11-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antipsychotic)

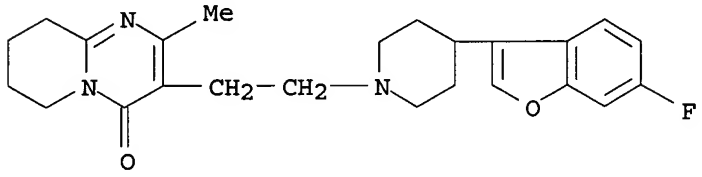
RN 154467-91-1 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-2-methyl- (9CI) (CA INDEX NAME)

7

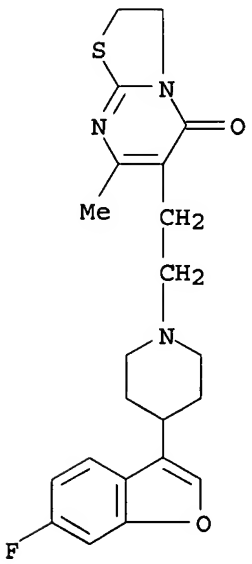


RN 154467-92-2 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

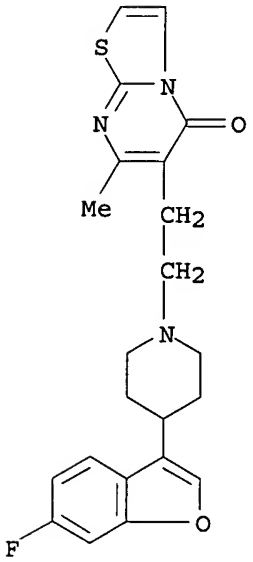
8



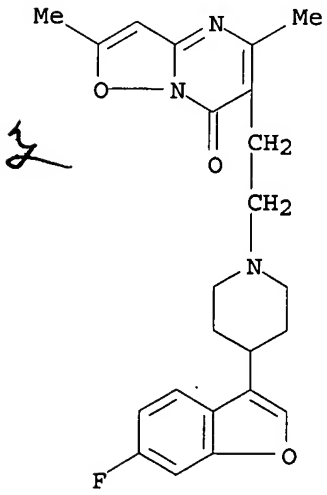
RN 154467-93-3 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-2,3-dihydro-7-methyl- (9CI) (CA INDEX NAME)



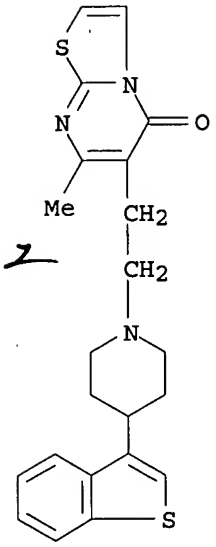
RN 154467-94-4 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-7-methyl- (9CI) (CA INDEX NAME)



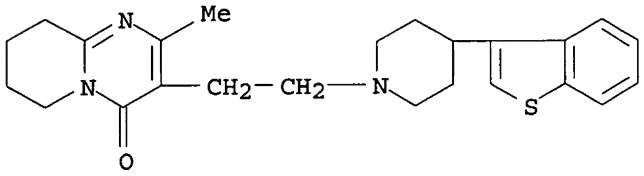
RN 154467-95-5 CAPLUS
CN 7H-Isoxazolo[2,3-a]pyrimidin-7-one, 6-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-2,5-dimethyl- (9CI) (CA INDEX NAME)



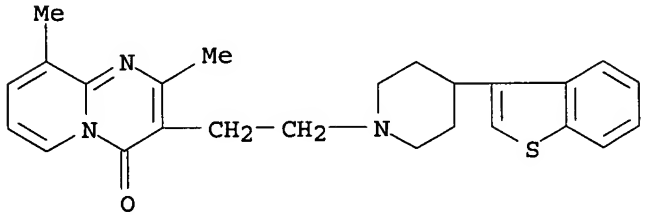
RN 154467-96-6 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[2-(4-benzo[b]thien-3-yl-1-piperidinyl)ethyl]-7-methyl- (9CI) (CA INDEX NAME)



RN 154467-97-7 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-(4-benzo[b]thien-3-yl-1-piperidinyl)ethyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



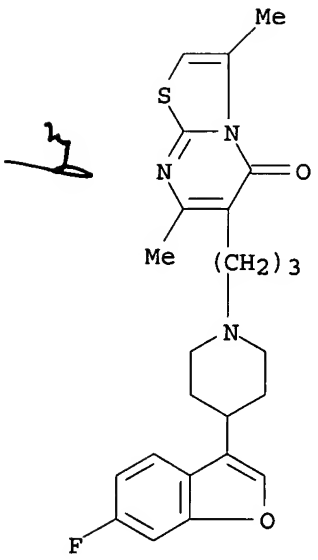
RN 154467-98-8 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-(4-benzo[b]thien-3-yl-1-piperidinyl)ethyl]-2,9-dimethyl- (9CI) (CA INDEX NAME)



RN 154468-00-5 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[3-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]propyl]-3,7-dimethyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

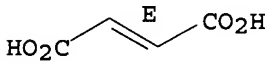
CRN 154467-99-9
CMF C24 H26 F N3 O2 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

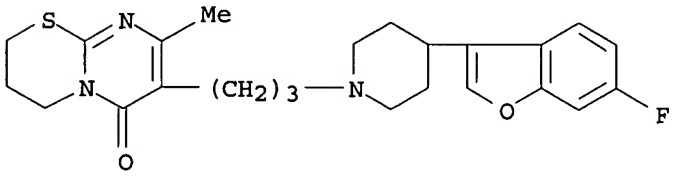
Double bond geometry as shown.



RN 154468-02-7 CAPLUS
CN 2H,6H-Pyrimido[2,1-b][1,3]thiazin-6-one, 7-[3-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]propyl]-3,4-dihydro-8-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

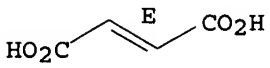
CRN 154468-01-6
CMF C24 H28 F N3 O2 S



CM 2

CRN 110-17-8
CMF C4 H4 O4

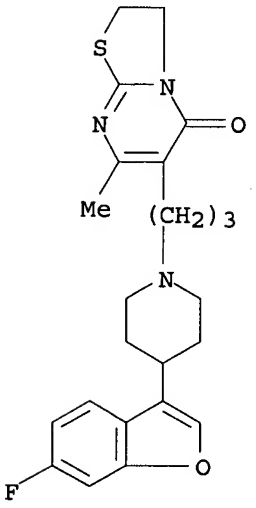
Double bond geometry as shown.



RN 154468-04-9 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[3-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]propyl]-2,3-dihydro-7-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 154468-03-8
CMF C23 H26 F N3 O2 S

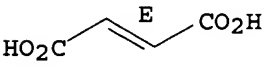


2

CM 2

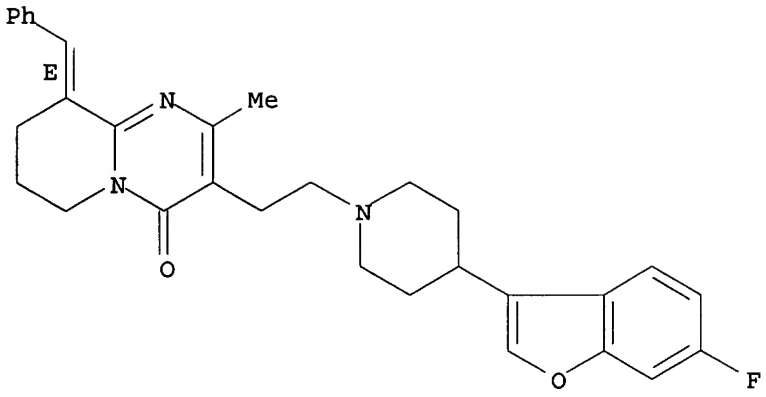
CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



RN 154468-05-0 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-methyl-9-(phenylmethylene)-, (E)- (9CI) (CA INDEX NAME)

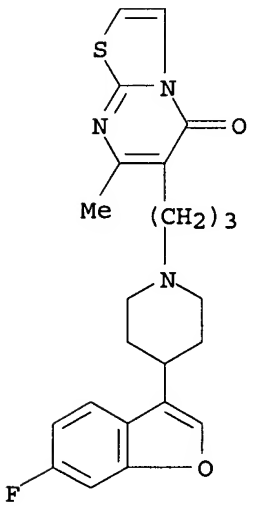
Double bond geometry as shown.



RN 154468-07-2 CAPLUS
CN 5H-Thiazolo[3,2-a]pyrimidin-5-one, 6-[3-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]propyl]-7-methyl-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

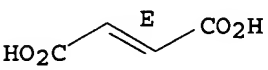
CRN 154468-06-1
CMF C23 H24 F N3 O2 S



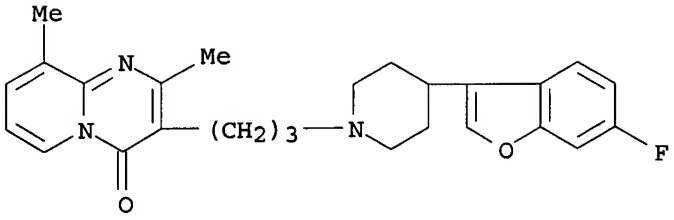
CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.

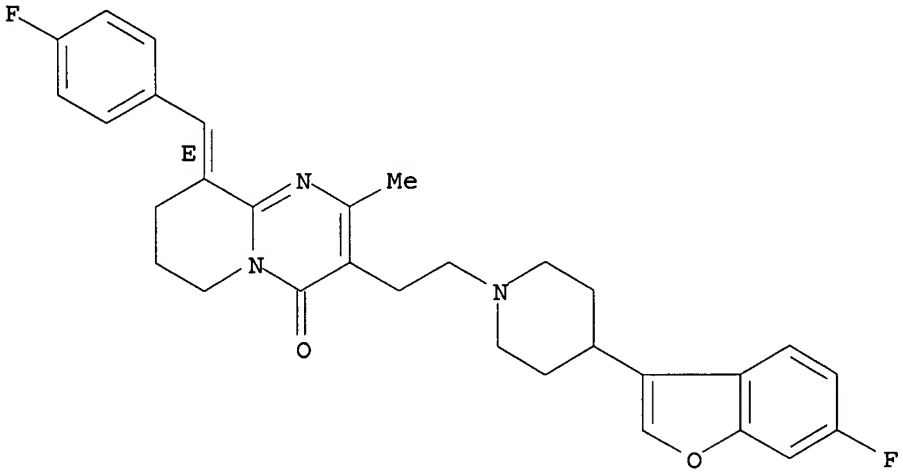


RN 154468-08-3 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[3-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]propyl]-2,9-dimethyl- (9CI) (CA INDEX NAME)

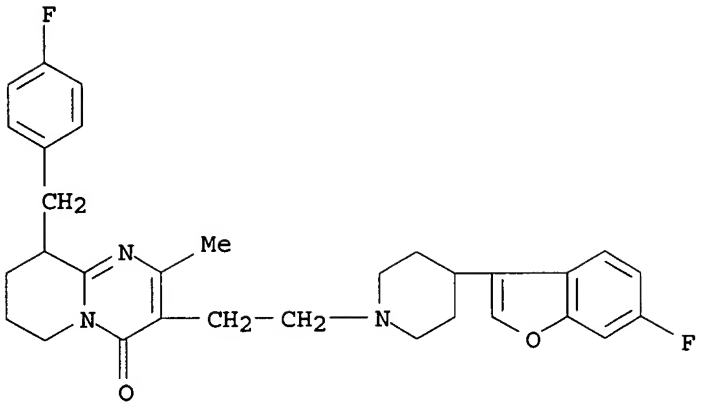


RN 154468-09-4 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-9-[(4-fluorophenyl)methylene]-6,7,8,9-tetrahydro-2-methyl-, (E)- (9CI) (CA INDEX NAME)

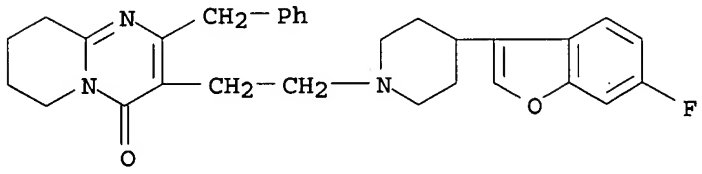
Double bond geometry as shown.



RN 154468-10-7 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-9-[(4-fluorophenyl)methyl]-6,7,8,9-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)



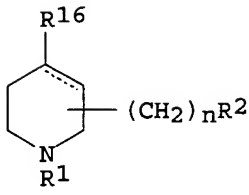
RN 154468-11-8 CAPLUS
CN 4H-Pyrido[1,2-a]pyrimidin-4-one, 3-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-6,7,8,9-tetrahydro-2-(phenylmethyl)- (9CI) (CA INDEX NAME)



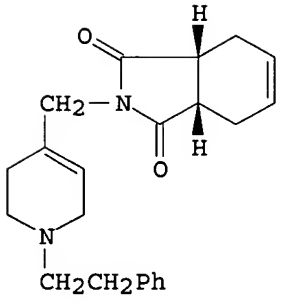
L10 ANSWER 16 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:270118 CAPLUS
DN 120:270118
TI (N-phthalimodoalkyl)piperidine sigma receptor antagonists for the treatment of psychoses
IN Ciganek, Engelbert; Tam, Sang William; Wright, Ann Sorrentino
PA Du Pont Merck Pharmaceutical Co., USA
SO PCT Int. Appl., 129 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
|---|---|---|---|---|---|---|
| PI | WO 9322310 | A1 | 19931111 | WO 1993-US3984 | 19930428 | <-- |
| | W: AU, BB, BG, BR, CA, CZ, FI, HU, JP, KP, KR, KZ, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, SK, UA, VN | | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | | |
| | US 5356906 | A | 19941018 | US 1992-876542 | 19920430 | <-- |
| | AU 9340345 | A1 | 19931129 | AU 1993-40345 | 19930428 | <-- |
| PRAI | US 1992-876542 | | 19920430 | | | |
| | US 1989-428097 | | 19891027 | | | |
| | US 1990-602024 | | 19901023 | | | |
| | WO 1993-US3984 | | 19930428 | | | |

OS MARPAT 120:270118
GI



I



II

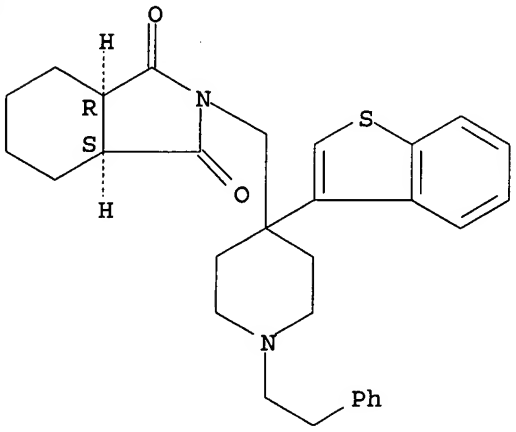
AB The title compds. I [R1 = cycloalkyl- or aryl-substituted alkyl; R2 = (un)substituted phthalimido, etc.; R16 = OH, alkoxy, alkyl, (un)substituted Ph or naphthyl, etc.; n = 0-4; the dotted line is an optional double bond], which are selective sigma receptor antagonists useful for the treatment of physiol. or drug-induced psychosis and dyskinesia, are prepd. and I-contg. formulations presented. Thus, 1-(2-phenylethyl)-4-piperidinemethylamine was condensed with cis-1,2,3,6-tetrahydrophthalic anhydride, and the free base salified with fumaric acid, producing fumarate II (m.p. 179-181.degree.). II demonstrated guinea pig brain membrane-derived sigma receptor Ki of 31-100 nM and dopamine D-2 receptor of Ki >500 nM, vs. 1-30 and 1-30, resp., for haloperidol.

IT **135903-62-7 135903-63-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(prepn. as antipsychotic sigma receptor antagonist)

RN 135903-62-7 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[4-benzo[b]thien-3-yl-1-(2-phenylethyl)-4-piperidinyl]methyl]hexahydro-, cis- (9CI) (CA INDEX NAME)

Relative stereochemistry.



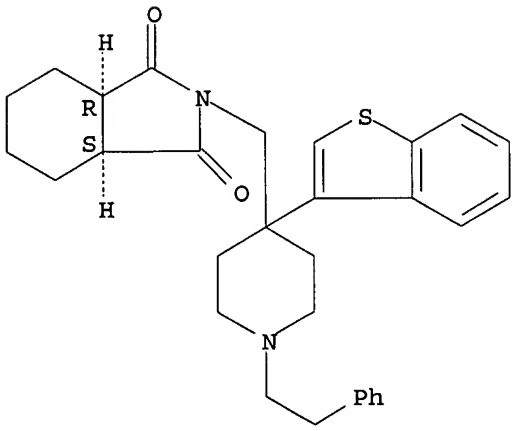
RN 135903-63-8 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[4-benzo[b]thien-3-yl-1-(2-phenylethyl)-4-piperidinyl]methyl]hexahydro-, (3aR,7aS)-rel-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 135903-62-7
CMF C30 H34 N2 O2 S

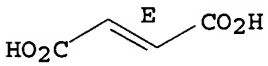
Relative stereochemistry.




CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.




L10 ANSWER 17 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:164148 CAPLUS
DN 120:164148
TI Preparation of condensed thiophene compounds with high affinity for serotonin and dopamine receptors and pharmaceutical use thereof
IN Nakao, Tohru; Ono, Yuji; Bougauchi, Masahiro; Morimoto, Yasuto
PA Yoshitomi Pharmaceutical Industries, Ltd., Japan
SO PCT Int. Appl., 144 pp.
CODEN: PIXXD2
DT Patent
LA Japanese
FAN.CNT 2

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | WO 9313105 | A1 | 19930708 | WO 1992-JP1695 | 19921224 <-- |
| | W: CA, HU, JP, KR, US | | | | |
| | RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE | | | | |
| | CA 2104371 | AA | 19930627 | CA 1992-2104371 | 19921224 <-- |
| | EP 596125 | A1 | 19940511 | EP 1993-900390 | 19921224 <-- |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| PRAI | JP 1991-359547 | | 19911226 | | |
| | JP 1992-309388 | | 19921023 | | |
| | WO 1992-JP1695 | | 19921224 | | |

OS MARPAT 120:164148

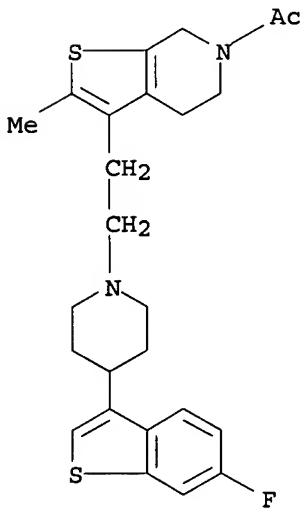
GI For diagram(s), see printed CA Issue.

AB The title compds. [I; ring S = Q1 - Q3, etc.; wherein R1 = H, halo, NO2, NH2, cyano, OH, CHO, alkyl, alkoxy, haloalkyl, arylalkyl, acyl, acyloxy, alkoxyalkyl, acyloxyalkyl, hydroxyalkyl, acyloxyalkanoyl, alkylthio, alkoxyalkanoyl, hydroxyalkanoyl, aryloxyalkanoyl, haloalkanoyl, alkylthio, alkylsulfinyl, alkylsulfonyl, arylthio, arylsulfinyl, arylsulfonyl, SO3H, halosulfonyl, (un)substituted SO2NH2, CONH2, or NH2, CO2H, alkoxycarbonyl, acylamino; R2 = H, alkyl, acyl, aryl, arylalkyl; G = CH2, CH(OR3) (wherein R3 = H, alkyl, acyl), CO, C(:NOR4) (wherein R4 = R3 = H, alkyl, acyl), CHNH2, S(O)t (wherein t = 0,1,2); Q = linear or branched alkylene; T = 1.degree., 2.degree., or 3.degree. amino; D = CH2, S(O)u (wherein u = 0,1,2); A, B = absent, CO, SO], useful as antipsychotic drugs having a reduced extrapyramidal effect or as antianxiety drugs, are prepd. Thus, Friedel-Crafts acylation of 2,3-dihydrothieno[3,2-f][1,4]thiazepin-5(H)-one by Cl(CH2)3COCl in the presence of AlCl3 in CHCl3 and condensation of the resulting 7-(4-chlorobutyryl)-2,3-dihydrothieno[3,2-f][1,4]thiazepin-5(H)-one with 4-(1,2-benzisothiazol-3-yl)piperazine hydrochloride in the presence of K2CO3 and KI in DMF at 100.degree. gave, after salt formation, 7-[4-[4-(1,2-benzisothiazol-3-yl)piperazin-3-yl]butyryl]-2,3-dihydrothieno[3,2-f][1,4]thiazepin-5(H)-one oxalate. 2-[2-[4-(6-Fluoro-1,2-benzisoxazol-3-yl)piperidin-1-l]ethyl]-4,6,7,8-tetrahydro-5H-thieno[3,2-b]azepin-5-one showed the affinity for dopamine D2, serotonin 2, and serotonin 1A receptors with Ki of 0.065, 0.32, and 1.6 nM, resp. 6-Acetyl-3-[2-[4-(6-fluoro-1,2-benzisoxazol-3-yl)piperidin-1-yl]ethyl]-4,5,6,7-tetrahydro-2-methylthieno[2,3-c]pyridine showed the affinity for dopamine D2, serotonin 2, and serotonin 1A receptors with Ki of 0.46, 0.062, and 32 nM, resp. A total of 107 I including oxalate or hydrochloride salts were prepd.

IT **153024-76-1P 153024-77-2P 153025-12-8P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as antipsychotic and antianxiety agent)

RN 153024-76-1 CAPLUS

CN Thieno[2,3-c]pyridine, 6-acetyl-3-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-2-methyl- (9CI) (CA INDEX NAME)

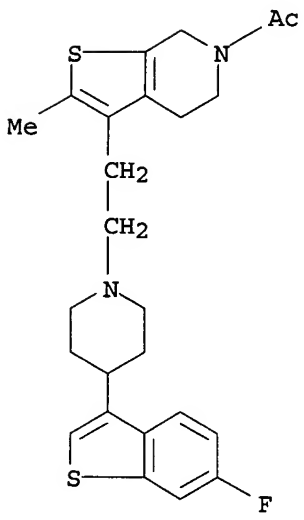



RN 153024-77-2 CAPLUS

CN Thieno[2,3-c]pyridine, 6-acetyl-3-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,5,6,7-tetrahydro-2-methyl-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

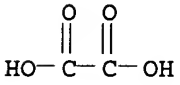
CM 1

CRN 153024-76-1
CMF C25 H29 F N2 O S2

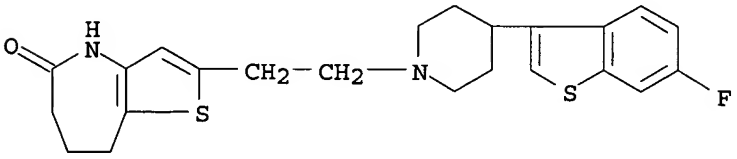


CM 2

CRN 144-62-7
CMF C2 H2 O4

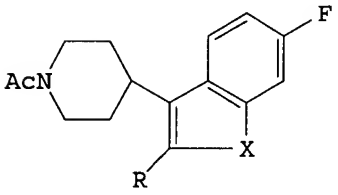


RN 153025-12-8 CAPLUS
CN 5H-Thieno[3,2-b]azepin-5-one, 2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-4,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



L10 ANSWER 18 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:163918 CAPLUS
DN 120:163918
TI Syntheses of 4-(benzo[b]furan-2 or 3-yl)- and 4-(benzo[b]thiophen-3-yl)piperidines with 5-HT2 antagonist activity
AU Watanabe, Yoshifumi; Yoshiwara, Hirotaka; Kanao, Munefumi
CS Explor. Res. Lab. II, Daiichi Pharm. Co. Ltd., Tokyo, 134, Japan

SO Journal of Heterocyclic Chemistry (**1993**), 30(2), 445-51
CODEN: JHTCAD; ISSN: 0022-152X
DT Journal
LA English
GI

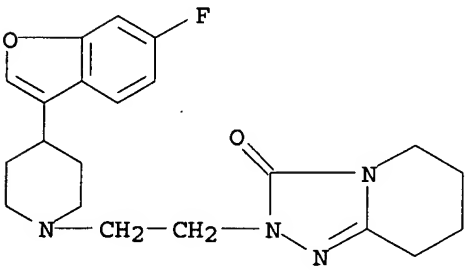



I

AB The syntheses of title compds., e.g. I (R = H, CO2Me, X = O, S) with 5-HT2 antagonist activity are described.

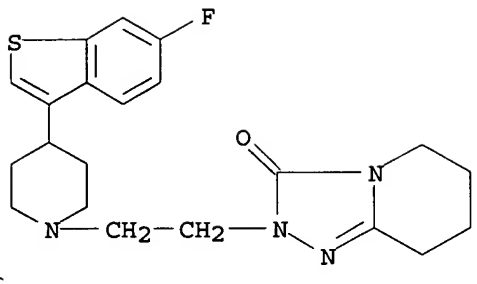
IT **140213-66-7P 140213-72-5P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in synthesis of antagonists benzofuranylpiperidines and benzothiophenylpiperidines)

RN 140213-66-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



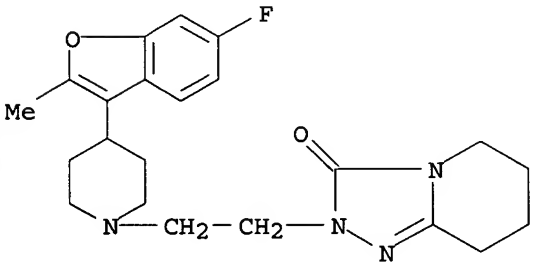

● HCl

RN 140213-72-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



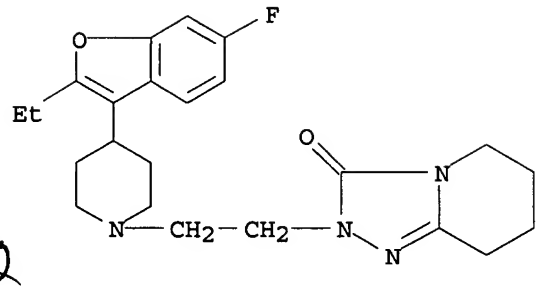
● HCl

IT **140213-67-8P 140213-68-9P 140213-70-3P**
**140213-71-4P 140232-68-4P 153411-27-9P**
**153411-28-0P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)
RN 140213-67-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-2-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



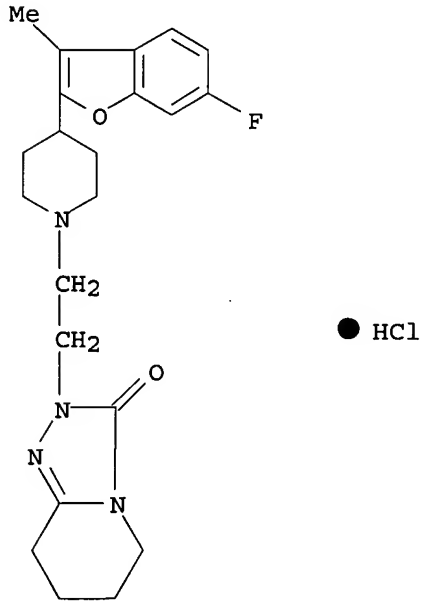
● HCl

RN 140213-68-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(2-ethyl-6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



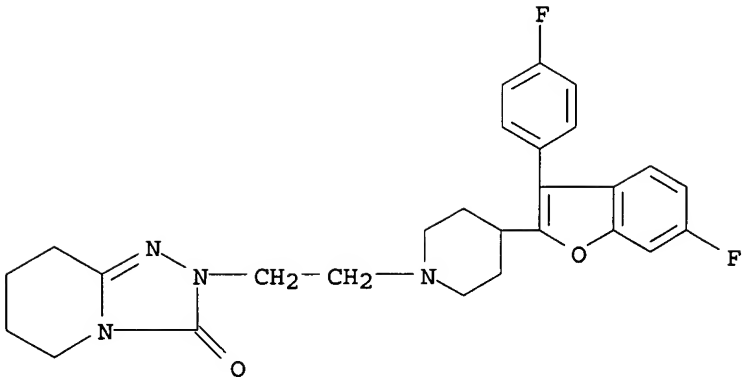
● HCl

RN 140213-70-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-3-methyl-2-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



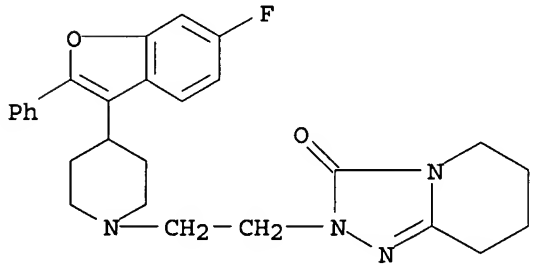
● HCl

RN 140213-71-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-[6-fluoro-3-(4-fluorophenyl)-2-benzofuranyl]-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



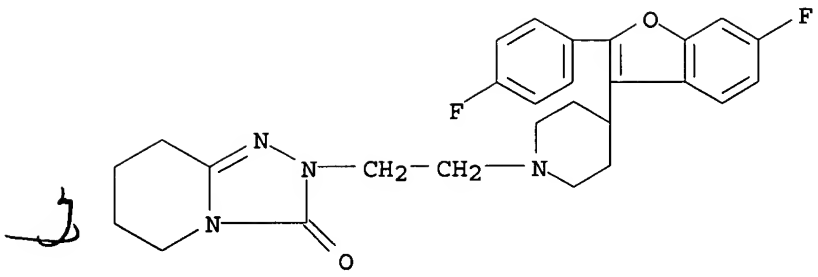
● HCl

RN 140232-68-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-2-phenyl-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



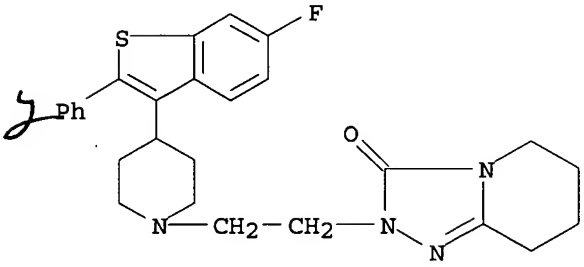
● HCl

RN 153411-27-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-[6-fluoro-2-(4-fluorophenyl)-3-benzofuranyl]-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 153411-28-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-2-phenylbenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

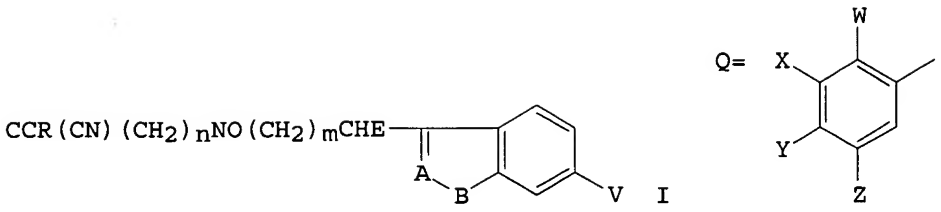


● HCl

L10 ANSWER 19 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1994:153724 CAPLUS
DN 120:153724
TI Amines and blood pressure-lowering agents containing them
IN Sakurai, Kunya; Niwa, Seiji; Shoji, Masataka; Domoto, Hideki; Uchida, Hirohisa; Yoshimoto, Ryota
PA Ajinomoto Kk, Japan
SO Jpn. Kokai Tokkyo Koho, 21 pp.
CODEN: JKXXAF
DT Patent
LA Japanese
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 05271220 | A2 | 19931019 | JP 1992-331710 | 19921211 <-- |
| PRAI | JP 1991-329134 | | 19911212 | | |

OS MARPAT 120:153724
GI

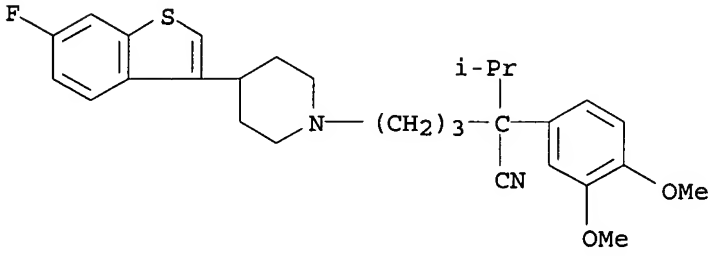



AB Amines I [A = N, CG (G = H, C1-6 alkyl, C6-12 aryl, CO2H, C2-6 alkoxycarbonyl); B = S, O, NH; C (at the terminal) = naphthalene ring, heterocyclyl, Q (W, X, Y, Z = H, halo, C1-3 alkyl, C1-3 alkoxy; OH, NO2, NH2, C1-3 acylamino, C1-3 alkanesulfonylamino, C2-4 alkoxycarbonylamino, C1-3 acyloxy, C2-4 alkoxycarbonyl, aminocarbonyl, aminosulfonyl, C1-3 perfluoroalkyl, C1-3 perfluoroacylamino, C1-3 perfluoroalkanesulfonylamino, CN); R = H, C6-10 aralkyl, C6-12 aryl, C1-8 alkyl (partially substituted with alkenyl, alkynyl, alkylthioalkyl, alkoxyalkyl); m = 1-3; D = C1-6 alkyl; E = H; DE may form (CH2)2 (when m = 2); V = H, F; n = 2-6] or their pharmacol. acceptable salts are useful as blood pressure-lowering agents. 3,4,5-Trimethoxyphenylacetonitrile was treated with bromoethane, 1-bromo-3-chloropropane, and NaNH2 to give 86.1% 2-ethyl-5-chloro-2-(3,4,5-trimethoxyphenyl)valeronitrile, which was treated with 4-(6-fluoro-1H-indazol-3-yl)piperidine-HCl, K2CO3, and NaI in Me iso-Bu ketone at 100.degree. overnight to give 85.4% 2-ethyl-5-[4-(6-fluoro-1H-indazol-3-yl)piperidin-1-yl]-2-(3,4,5-trimethoxyphenyl)valeronitrile (II). II showed serotonin inhibitory activity with pIC50 of 6.6 in plasma from rabbits.

IT **153117-15-8P 153117-32-9P 153117-40-9P**
**153117-41-0P 153117-42-1P 153117-45-4P**
**153117-46-5P 153117-47-6P 153117-48-7P**
**153117-49-8P 153117-53-4P 153117-54-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as antihypertensive, serotonin-inhibiting)

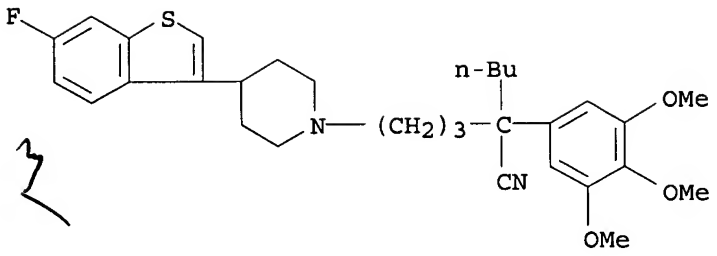
RN 153117-15-8 CAPLUS

CN 1-Piperidinepentanenitrile, .alpha.-(3,4-dimethoxyphenyl)-4-(6-fluorobenzo[b]thien-3-yl)-.alpha.-(1-methylethyl)- (9CI) (CA INDEX NAME)

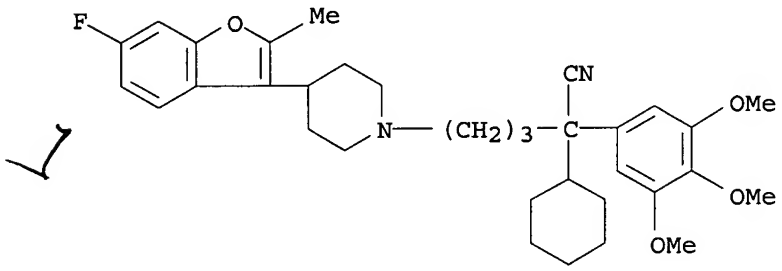



RN 153117-32-9 CAPLUS

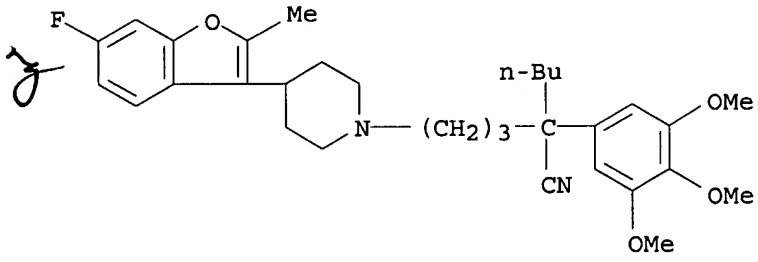
CN 1-Piperidinepentanenitrile, .alpha.-butyl-4-(6-fluorobenzo[b]thien-3-yl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



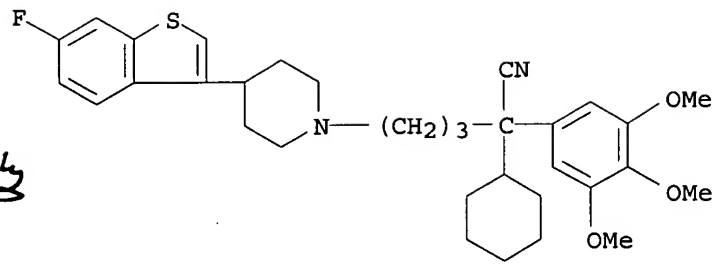
RN 153117-40-9 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-cyclohexyl-4-(6-fluoro-2-methyl-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



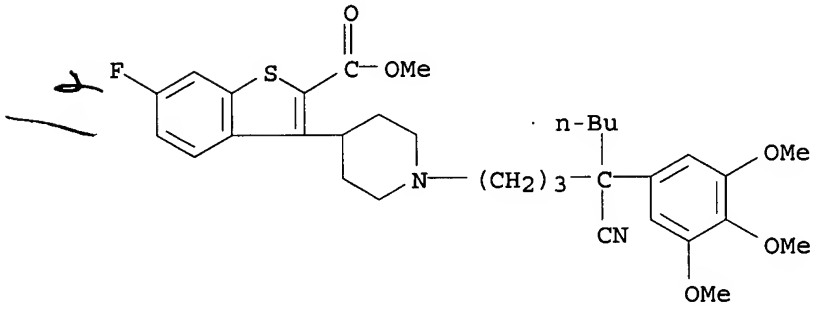
RN 153117-41-0 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-butyl-4-(6-fluoro-2-methyl-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



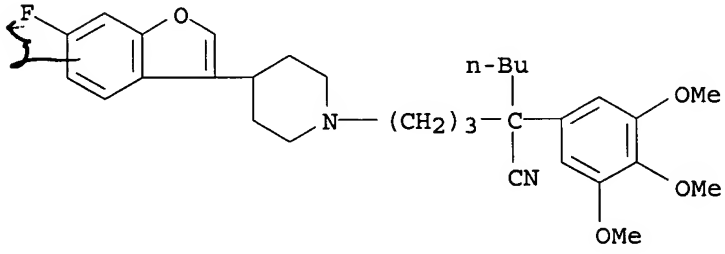
RN 153117-42-1 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-cyclohexyl-4-(6-fluorobenzo[b]thien-3-yl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



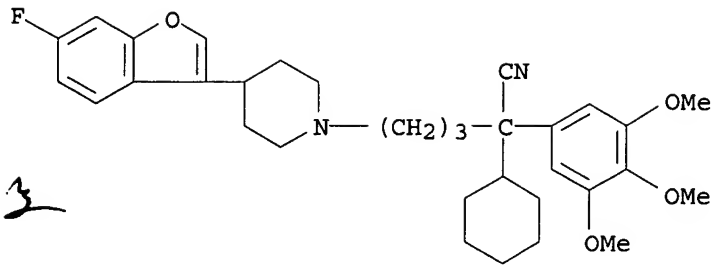
RN 153117-45-4 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 3-[1-[4-cyano-4-(3,4,5-trimethoxyphenyl)octyl]-4-piperidinyl]-6-fluoro-, methyl ester (9CI) (CA INDEX NAME)



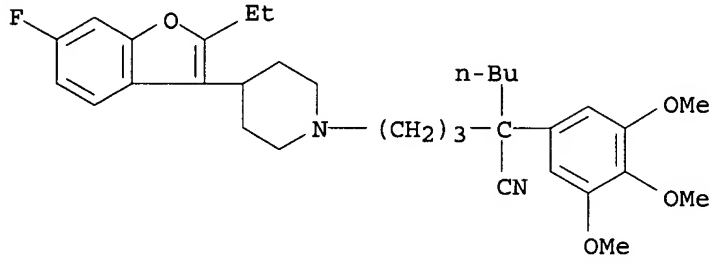
RN 153117-46-5 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-butyl-4-(6-fluoro-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



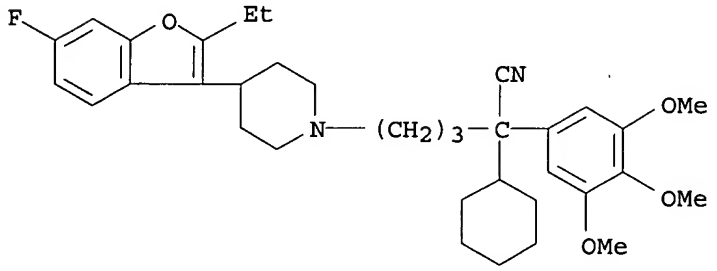
RN 153117-47-6 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-cyclohexyl-4-(6-fluoro-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



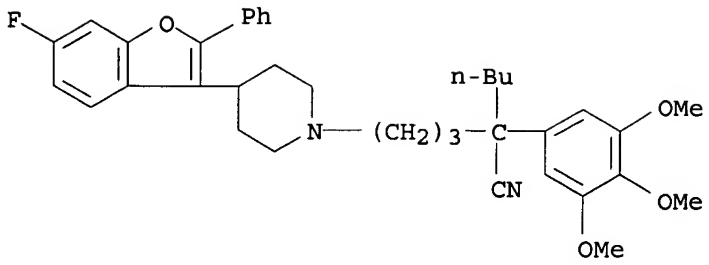
RN 153117-48-7 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-butyl-4-(2-ethyl-6-fluoro-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



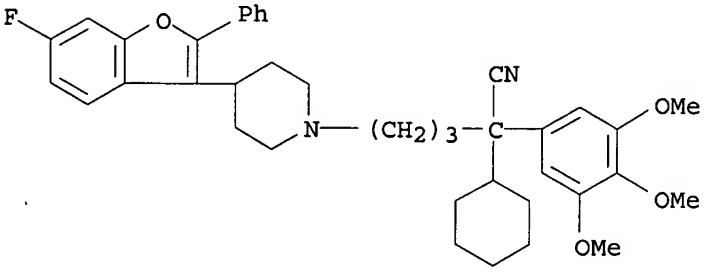
RN 153117-49-8 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-cyclohexyl-4-(2-ethyl-6-fluoro-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 153117-53-4 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-butyl-4-(6-fluoro-2-phenyl-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



RN 153117-54-5 CAPLUS
CN 1-Piperidinepentanenitrile, .alpha.-cyclohexyl-4-(6-fluoro-2-phenyl-3-benzofuranyl)-.alpha.-(3,4,5-trimethoxyphenyl)- (9CI) (CA INDEX NAME)



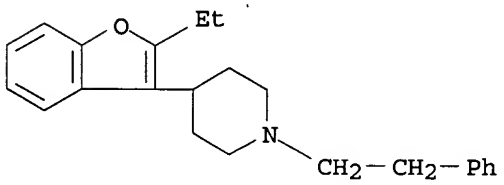
L10 ANSWER 20 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1992:625748 CAPLUS
DN 117:225748
TI Molecular determinants of .mu. receptor recognition for the fentanyl class of compounds
AU Cometta-Morini, Chiara; Maguire, Patricia A.; Loew, Gilda H.
CS Mol. Res. Inst., Palo Alto, CA, 94304, USA
SO Molecular Pharmacology (**1992**), 41(1), 185-96
CODEN: MOPMA3; ISSN: 0026-895X
DT Journal
LA English
AB The authors report on a theor. study of a series of fentanyl analogs with a wide range of affinities and selectivities at the .mu. receptor, designed to identify and characterize the mol. determinants of .mu. receptor recognition. In this work, a complete conformational search combining nested rotations and mol. dyn. simulations has been made, leading to identification of accessible conformers for all analogs and to the selection of a candidate bioactive form. In addn., electronic properties have been calcd. and examd. as possible modulators of recognition at the .mu. receptor. The results of these studies have led to a distinct pharmacophore for interaction at the .mu. receptor for this class of compds., with the piperidine ring in a chair conformation and the N-phenethyl and 4-phenylpropanamide substituents both equatorial. Moreover, four key moieties necessary for optimum receptor recognition and a postulated role for each of them in this recognition have been identified. These are (i) a protonated amine nitrogen, assumed to be involved in an initial electrostatic interaction with a neg. charged site on the receptor; (ii) a polar function capable of hydrogen-bonding with an electrophilic site; (iii) an arom. ring involved in lipophilic interaction with a similar moiety; and (i.v.) a second arom. ring, most probably

involved in electron transfer interaction with the receptor. These requirements, taken together, form the basis of this proposed mechanism for .mu. receptor recognition. Not only is the presence of these components required for recognition, but specific steric relationships between them have been detd., implying the appropriate arrangement for interaction with complementary receptor sites. These steric parameters are pseudobond angles and one torsion angle that det. the relative spatial arrangement of these four moieties. They are the angles .theta.1 and .theta.3, defining the relative position of the protonated nitrogen and the polar function with each of the two arom. rings, and the torsion angle .eta.1, defining the orientation of the lone pair(s) on the polar proton-accepting function with respect to the lone pair on the piperidine nitrogen. This postulated mechanism of recognition provides a conceptual framework to understand why some compds. do and some do not recognize the .mu. receptor.

IT **144486-17-9**
RL: BIOL (Biological study)
(.mu.-receptor binding of, pharmacophore and conformation for)

RN 144486-17-9 CAPLUS

CN Piperidine, 4-(2-ethyl-3-benzofuranyl)-1-(2-phenylethyl)- (9CI) (CA INDEX NAME)




L10 ANSWER 21 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1992:214507 CAPLUS

DN 116:214507

TI Preparation of triazolopyridine compounds as serotonin-2 antagonists

IN Watanabe, Yoshifumi; Yoshihara, Hirotaka; Shibano, Toshiro

PA Daiichi Seiyaku Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 27 pp.
CODEN: JKXXAF

DT Patent

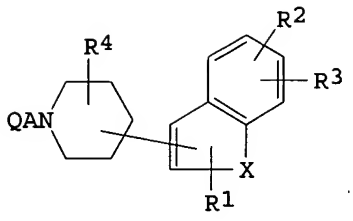
LA Japanese

FAN.CNT 1

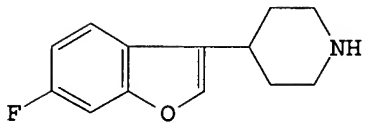
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | JP 03264583 | A2 | 19911125 | JP 1990-63911 | 19900314 <-- |
| | JP 2883995 | B2 | 19990419 | | |
| PRAI | JP 1990-63911 | | 19900314 | | |

OS MARPAT 116:214507

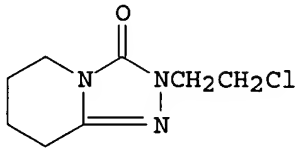
GI



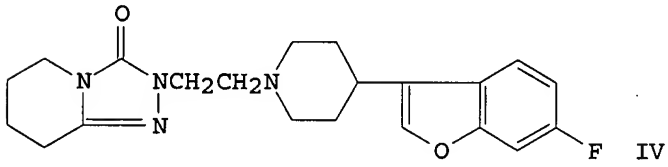
I



II



III



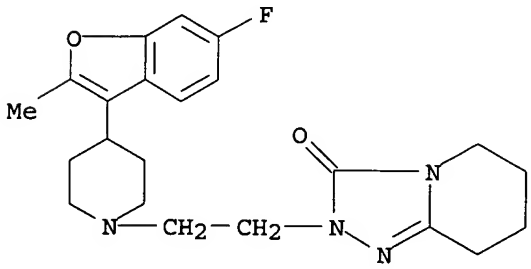
IV

AB The title compds. [I; X = O, S, SO2; A = C1-8 linear or branched alkylene; Q = 5- to 7-membered monocyclic or 5-6-membered-condensed 5-7-membered heterocycle; R1 = H, OH, alkoxy, etc.; R2, R3 = H, alkyl, halo, OH, alkoxy, etc.; R4 = H, alkyl, OH, aryl], useful in treating circulation system disorders, are prepd. Heating a mixt. of piperidine deriv. II, triazolopyridine deriv. III, NaI, and K2CO3 in DMF at 100.degree. gave 88% IV; isolated as the HCl salt. IV.HCl showed serotonin-2 antagonist activity with pA2 = 8.5.

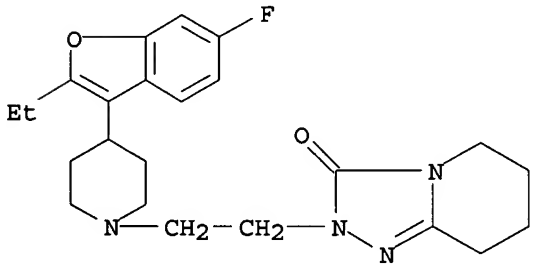
IT **140213-17-8P 140213-20-3P 140213-23-6P**
**140213-24-7P 140213-28-1P 140213-29-2P**
**140213-31-6P 140213-38-3P 140213-39-4P**
**140213-44-1P 140213-48-5P 140213-49-6P**
**140213-53-2P 140213-55-4P 140213-58-7P**
**140213-63-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(prepn. and reaction of, in prepn. of serotonin antagonist)

RN 140213-17-8 CAPLUS

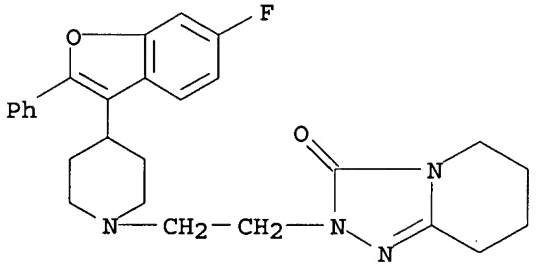
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-2-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



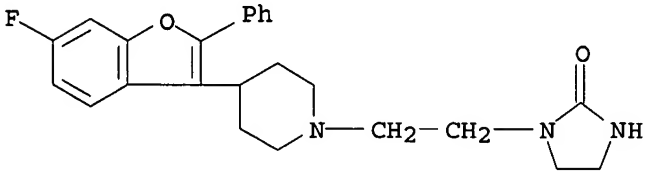
RN 140213-20-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(2-ethyl-6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



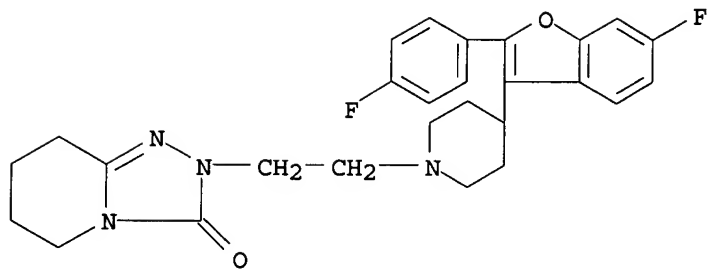
RN 140213-23-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-2-phenyl-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



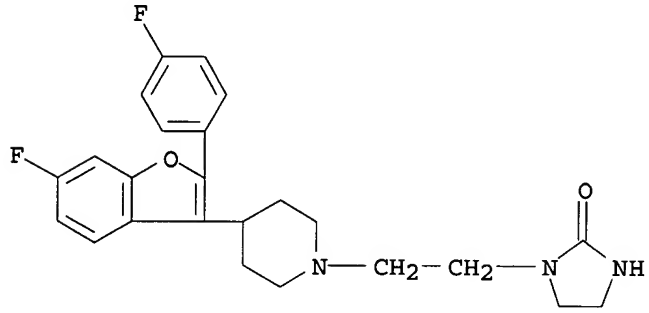
RN 140213-24-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[4-(6-fluoro-2-phenyl-3-benzofuranyl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



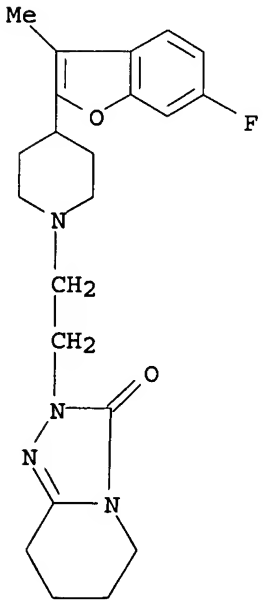
RN 140213-28-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-[6-fluoro-2-(4-fluorophenyl)-3-benzofuranyl]-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



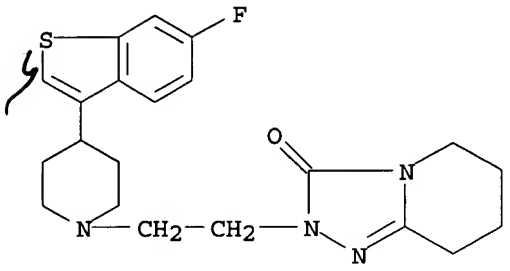
RN 140213-29-2 CAPLUS
CN 2-Imidazolidinone, 1-[2-[4-[6-fluoro-2-(4-fluorophenyl)-3-benzofuranyl]-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



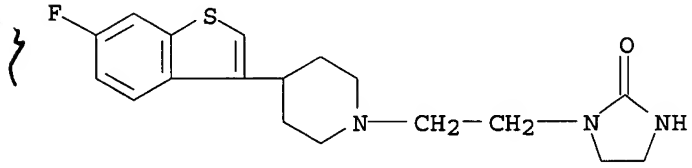
RN 140213-31-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-3-methyl-2-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



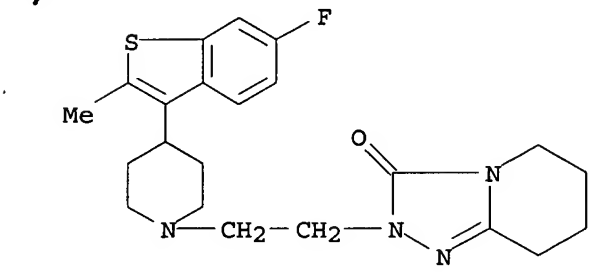
RN 140213-38-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



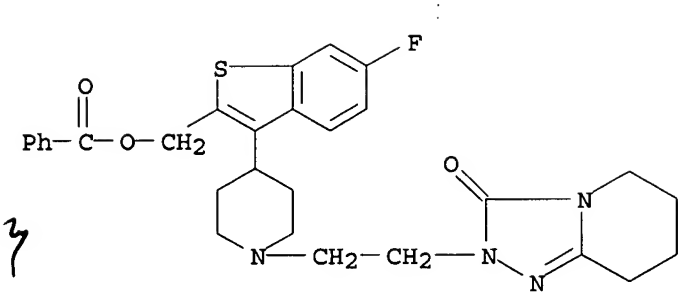
RN 140213-39-4 CAPLUS
CN 2-Imidazolidinone, 1-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]- (9CI) (CA INDEX NAME)



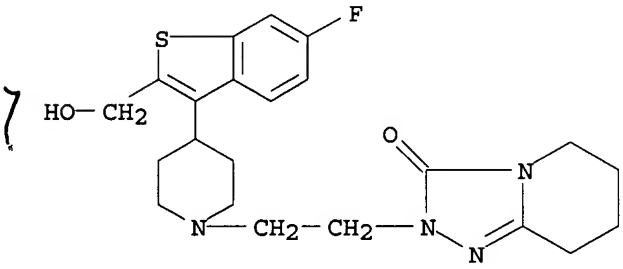
RN 140213-44-1 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-2-methylbenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



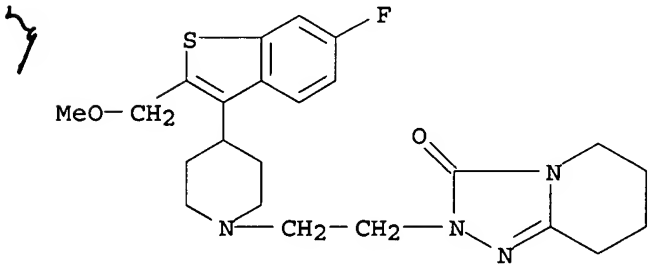
RN 140213-48-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-[2-[(benzoyloxy)methyl]-6-fluorobenzo[b]thien-3-yl]-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



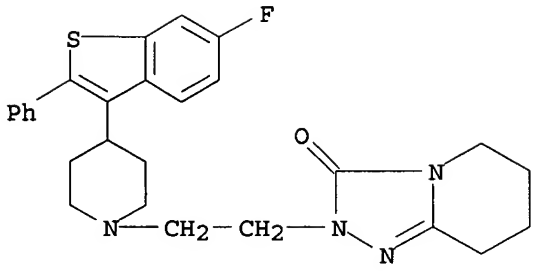
RN 140213-49-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-[6-fluoro-2-(hydroxymethyl)benzo[b]thien-3-yl]-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



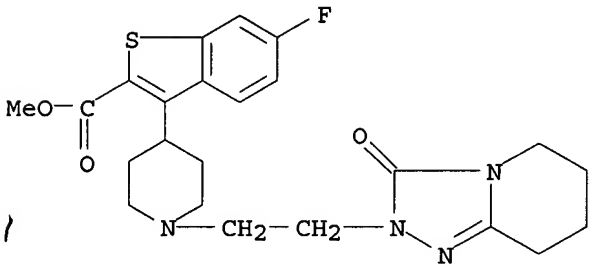
RN 140213-53-2 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-[6-fluoro-2-(methoxymethyl)benzo[b]thien-3-yl]-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



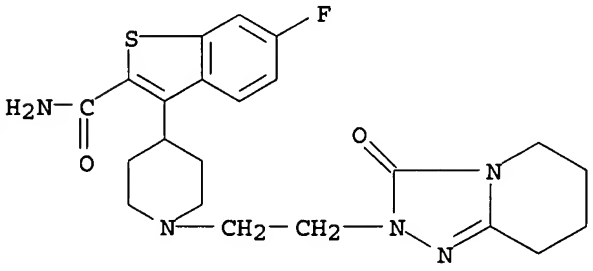
RN 140213-55-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-2-phenylbenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro- (9CI) (CA INDEX NAME)



RN 140213-58-7 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 6-fluoro-3-[1-[2-(5,6,7,8-tetrahydro-3-oxo-1,2,4-triazolo[4,3-a]pyridin-2(3H)-yl)ethyl]-4-piperidinyl]-, methyl ester (9CI) (CA INDEX NAME)

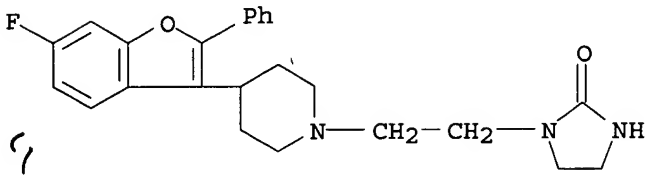


RN 140213-63-4 CAPLUS
CN Benzo[b]thiophene-2-carboxamide, 6-fluoro-3-[1-[2-(5,6,7,8-tetrahydro-3-oxo-1,2,4-triazolo[4,3-a]pyridin-2(3H)-yl)ethyl]-4-piperidinyl]- (9CI) (CA INDEX NAME)



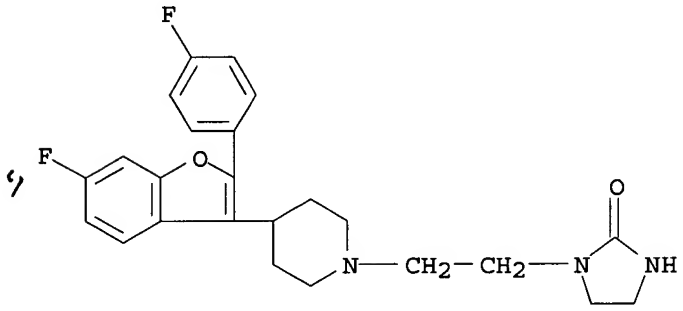
IT **140213-25-8P 140213-30-5P 140213-40-7P**
**140213-66-7P 140213-67-8P 140213-68-9P**
**140213-69-0P 140213-70-3P 140213-71-4P**
**140213-72-5P 140213-73-6P 140213-74-7P**
**140213-75-8P 140213-76-9P 140213-77-0P**
**140213-78-1P 140213-79-2P 140213-80-5P**
**140213-81-6P 140232-68-4P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotonin-2 antagonist)
RN 140213-25-8 CAPLUS
CN 2-Imidazolidinone, 1-[2-[4-(6-fluoro-2-phenyl-3-benzofuranyl)-1-

piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



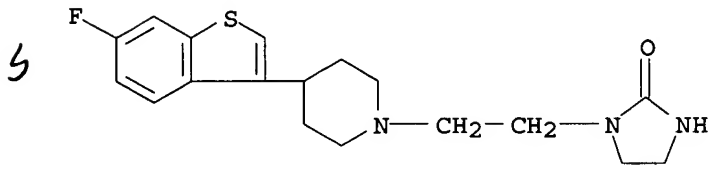
● HCl

RN 140213-30-5 CAPLUS
CN 2-Imidazolidinone, 1-[2-[4-[6-fluoro-2-(4-fluorophenyl)-3-benzofuranyl]-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

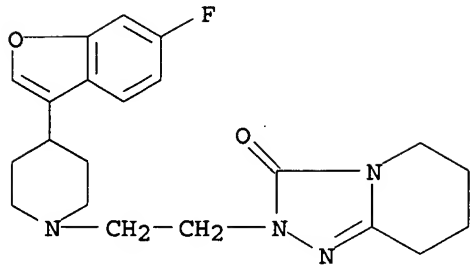
RN 140213-40-7 CAPLUS
CN 2-Imidazolidinone, 1-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

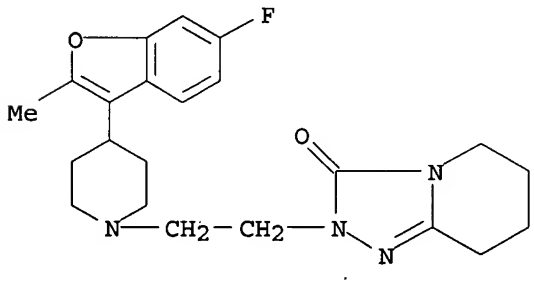
RN 140213-66-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA

INDEX NAME)



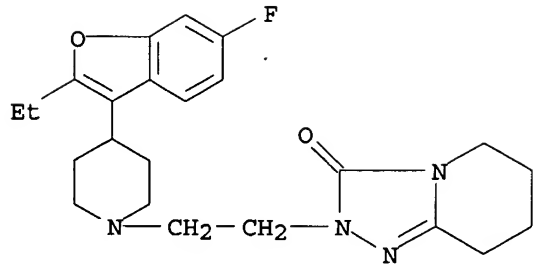
● HCl

RN 140213-67-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-2-methyl-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



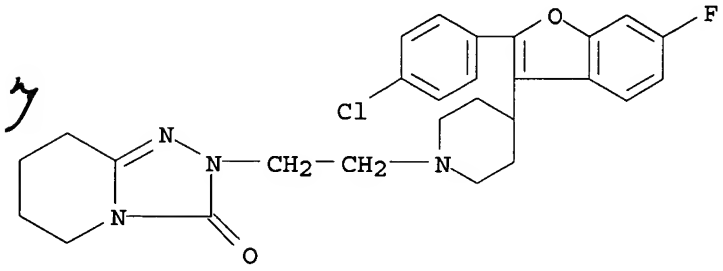
● HCl

RN 140213-68-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(2-ethyl-6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



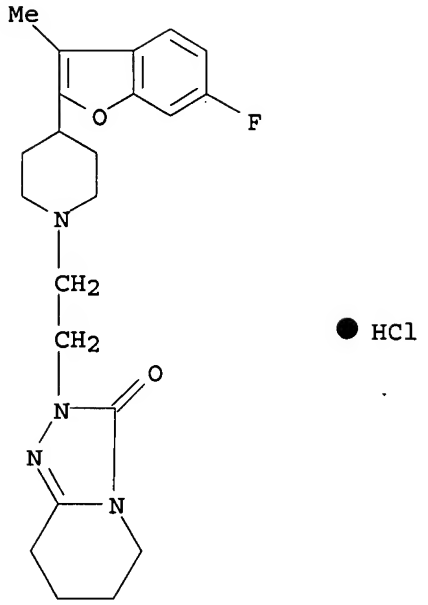
● HCl

RN 140213-69-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-[2-(4-chlorophenyl)-6-fluoro-3-benzofuranyl]-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



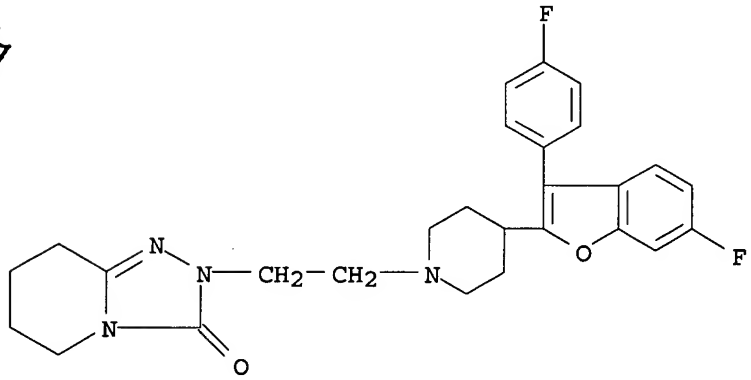
● HCl

RN 140213-70-3 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-3-methyl-2-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



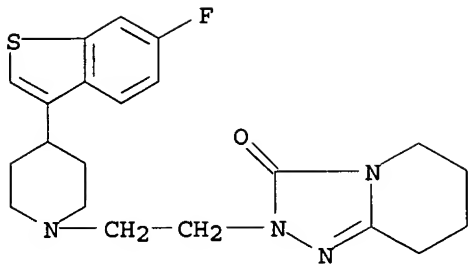
● HCl

RN 140213-71-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-[6-fluoro-3-(4-fluorophenyl)-2-benzofuranyl]-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



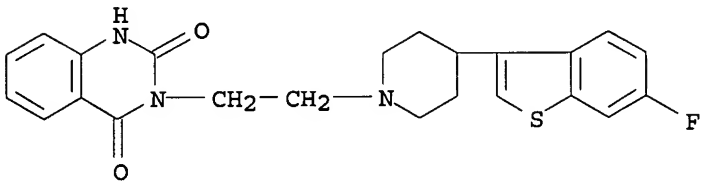
● HCl

RN 140213-72-5 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



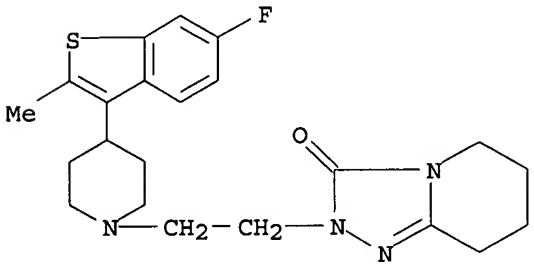
● HCl

RN 140213-73-6 CAPLUS
CN 2,4(1H,3H)-Quinazolinedione, 3-[2-[4-(6-fluorobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



●2 HCl

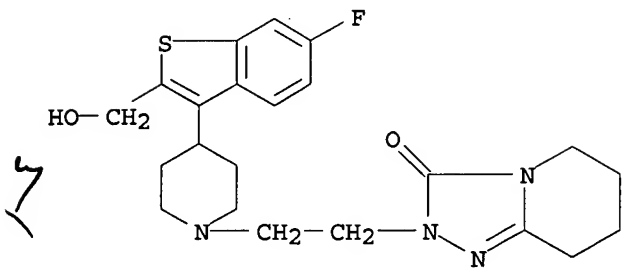
RN 140213-74-7 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-2-methylbenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

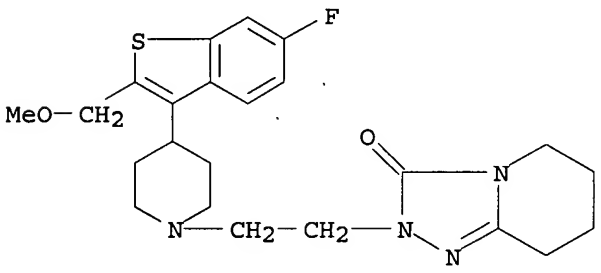
RN 140213-75-8 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-[6-fluoro-2-(hydroxymethyl)benzo[b]thien-3-yl]-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-

, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 140213-76-9 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-[6-fluoro-2-(methoxymethyl)benzo[b]thien-3-yl]-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)

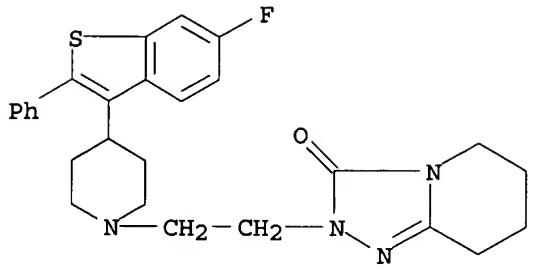


● HCl

RN 140213-77-0 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-2-phenylbenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 140213-55-4
CMF C27 H29 F N4 O S

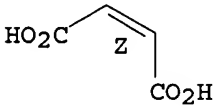


7

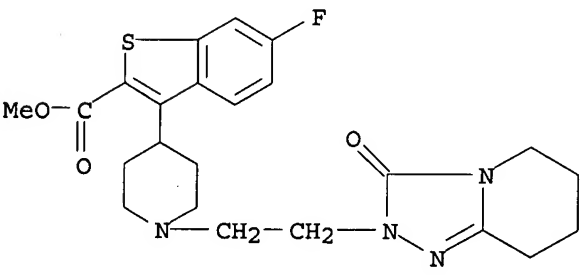
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 140213-78-1 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 6-fluoro-3-[1-[2-(5,6,7,8-tetrahydro-3-oxo-1,2,4-triazolo[4,3-a]pyridin-2(3H)-yl)ethyl]-4-piperidinyl]-, methyl ester, monohydrochloride (9CI) (CA INDEX NAME)

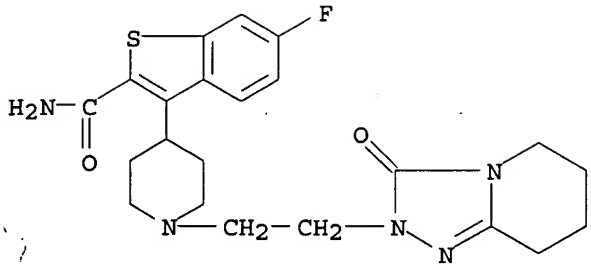


● HCl

RN 140213-79-2 CAPLUS
CN Benzo[b]thiophene-2-carboxamide, 6-fluoro-3-[1-[2-(5,6,7,8-tetrahydro-3-oxo-1,2,4-triazolo[4,3-a]pyridin-2(3H)-yl)ethyl]-4-piperidinyl]-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

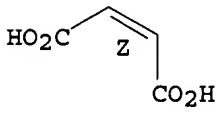
CRN 140213-63-4
CMF C22 H26 F N5 O2 S



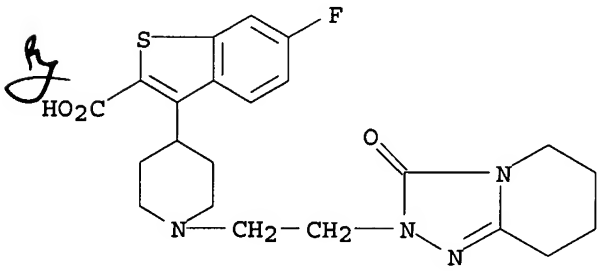
CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

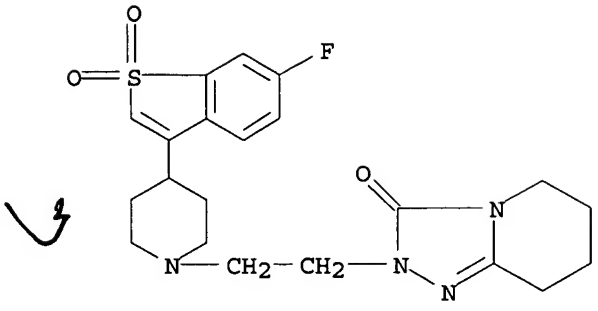


RN 140213-80-5 CAPLUS
CN Benzo[b]thiophene-2-carboxylic acid, 6-fluoro-3-[1-[2-(5,6,7,8-tetrahydro-3-oxo-1,2,4-triazolo[4,3-a]pyridin-2(3H)-yl)ethyl]-4-piperidinyl]-, monohydrochloride (9CI) (CA INDEX NAME)



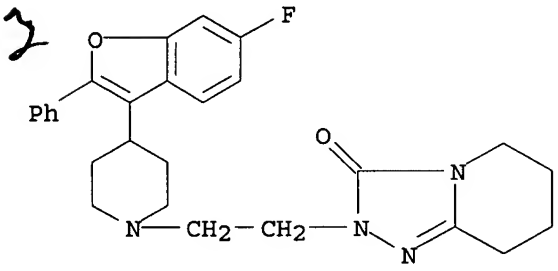
● HCl

RN 140213-81-6 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-1,1-dioxidobenzo[b]thien-3-yl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 140232-68-4 CAPLUS
CN 1,2,4-Triazolo[4,3-a]pyridin-3(2H)-one, 2-[2-[4-(6-fluoro-2-phenyl-3-benzofuranyl)-1-piperidinyl]ethyl]-5,6,7,8-tetrahydro-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

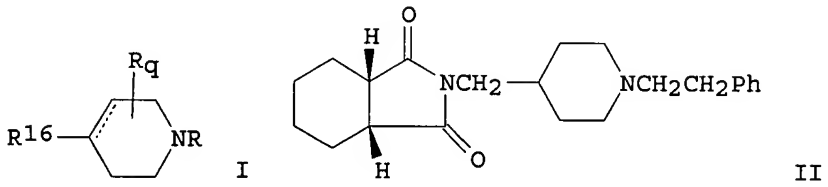
L10 ANSWER 22 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1991:535930 CAPLUS
DN 115:135930
TI Preparation of (phthalimidoalkyl)piperidines and analogs as psychotropic agents
IN Ciganek, Engelbert; Tam, Sang William; Wright, Ann Sorrentino
PA du Pont de Nemours, E. I., and Co., USA
SO PCT Int. Appl., 113 pp.
CODEN: PIXXD2
DT Patent
LA English
FAN.CNT 3

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|
| PI WO 9106297 | A1 | 19910516 | WO 1990-US6102 | 19901029 <-- |
| W: AU, CA, FI, HU, JP, KR, NO, SU | | | | |
| RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LU, NL, SE | | | | |
| IL 96144 | A1 | 19940624 | IL 1990-96144 | 19901028 <-- |
| AU 9066265 | A1 | 19910531 | AU 1990-66265 | 19901029 <-- |

| | | | | | |
|---|---|---|---|---|---|
| AU 655406 | B2 | 19941222 | | | |
| ZA 9008641 | A | 19920624 | ZA 1990-8641 | 19901029 | <-- |
| EP 497843 | A1 | 19920812 | EP 1990-916143 | 19901029 | <-- |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE | | | | | |
| JP 06504980 | T2 | 19940609 | JP 1990-515062 | 19901029 | <-- |
| NO 9201594 | A | 19920424 | NO 1992-1594 | 19920424 | <-- |
| FI 9201856 | A | 19920424 | FI 1992-1856 | 19920424 | <-- |
| PRAI US 1989-428097 | | 19891027 | | | |
| US 1990-602024 | | 19901023 | | | |
| WO 1990-US6102 | | 19901029 | | | |

OS MARPAT 115:135930

GI




AB The title compds. [I; R = (CH2)nR2; R1 = (CH2)mR3, (CH2)pAr; R2 is selected from 39 general benzo-fused phthalimido and analogous groups; R3 = cycloalkyl; Ar = (un)substituted Ph, naphthyl, pyridyl, pyrimidinyl, (iso)quinolyl; R16 = H, OH, alkoxy, acyloxy, alkyl, (un)substituted (hetero)aryl; dashed line = optional bond; when said bond is present R16 = (CH2)nR2 and q = 0, otherwise q = 1; m, p = 1-4; n = 0-4] were prepd. Thus, 4-aminomethylpyridine was cyclocondensed with cis-1,2-cyclohexanedicarboxylic anhydride and the product N-alkylated with BrCH2CH2Ph to give, after hydrogenation over PtO2, title compd. II which inhibited isolation-induced aggressive behavior in mice when administered orally (no dose given).

IT **135903-63-8P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(prepn. of, as psychotropic agent)

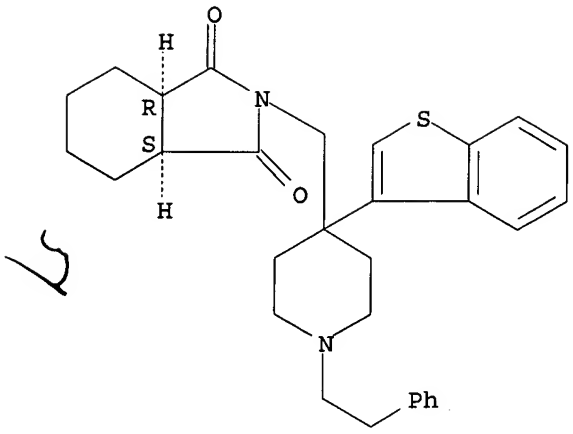
RN 135903-63-8 CAPLUS

CN 1H-Isoindole-1,3(2H)-dione, 2-[[4-benzo[b]thien-3-yl-1-(2-phenylethyl)-4-piperidinyl]methyl]hexahydro-, (3aR,7aS)-rel-, (2E)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 135903-62-7
CMF C30 H34 N2 O2 S

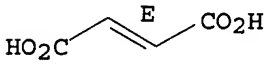
Relative stereochemistry.



CM 2

CRN 110-17-8
CMF C4 H4 O4

Double bond geometry as shown.



L10 ANSWER 23 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1991:102032 CAPLUS
DN 114:102032
TI 2-Aminopyrimidinone derivatives as serotonin, histamine, and dopamine antagonists
IN Kennis, Ludo E. J.; Vandenberk, Jan; Boey, Jozef M.
PA Janssen Pharmaceutica N. V., Belg.
SO Eur. Pat. Appl., 38 pp.
CODEN: EPXXDW
DT Patent
LA English
FAN.CNT 1

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | EP 378255 | A2 | 19900718 | EP 1990-200005 | 19900103 <-- |
| | EP 378255 | A3 | 19910109 | | |
| | EP 378255 | B1 | 19940427 | | |
| | R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL | | | | |
| | IL 92730 | A1 | 19930610 | IL 1989-92730 | 19891215 <-- |
| | AT 104971 | E | 19940515 | AT 1990-200005 | 19900103 <-- |
| | ES 2055860 | T3 | 19940901 | ES 1990-200005 | 19900103 <-- |
| | CA 2007200 | AA | 19900709 | CA 1990-2007200 | 19900105 <-- |
| | NO 9000071 | A | 19900710 | NO 1990-71 | 19900108 <-- |
| | NO 173139 | B | 19930726 | | |
| | NO 173139 | C | 19931103 | | |
| | FI 9000085 | A | 19900710 | FI 1990-85 | 19900108 <-- |
| | FI 94525 | B | 19950615 | | |
| | FI 94525 | C | 19950925 | | |
| | AU 9047779 | A1 | 19900712 | AU 1990-47779 | 19900108 <-- |

| | | | | | |
|---|---|---|---|---|---|
| | AU 617918 | B2 | 19911205 | | |
| | HU 52770 | A2 | 19900828 | HU 1990-64 | 19900108 <-- |
| | HU 203747 | B | 19910930 | | |
| | ZA 9000123 | A | 19910925 | ZA 1990-123 | 19900108 <-- |
| | RU 2028297 | C1 | 19950209 | RU 1990-4742788 | 19900108 <-- |
| | CN 1044094 | A | 19900725 | CN 1990-100075 | 19900109 <-- |
| | CN 1034865 | B | 19970514 | | |
| | JP 02225482 | A2 | 19900907 | JP 1990-2415 | 19900109 <-- |
| | JP 2938492 | B2 | 19990823 | | |
| | US 5140029 | A | 19920818 | US 1991-643867 | 19910118 <-- |
| | US 5256659 | A | 19931026 | US 1992-901465 | 19920619 <-- |
| | US 5284854 | A | 19940208 | US 1993-82225 | 19930624 <-- |
| PRAI | GB 1989-382 | | 19890109 | | |
| | US 1989-456319 | | 19891226 | | |
| | EP 1990-200005 | | 19900103 | | |
| | US 1991-643867 | | 19910118 | | |
| | US 1992-901465 | | 19920619 | | |

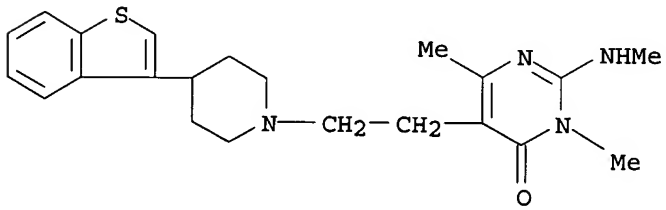
OS MARPAT 114:102032
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

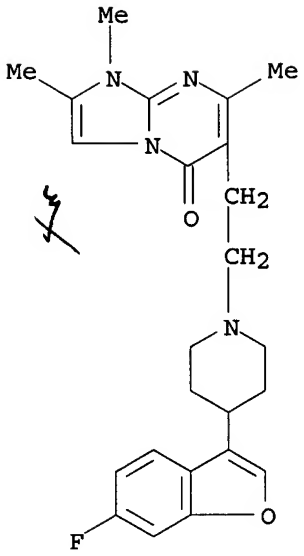
AB The title compds. [I; R1 = (R7-substituted) PhCO, Q1, Q2, Q3; R7 = H, alkyl, halo; B = O, S, NR8; R8 = H, alkyl, arylalkyl; R2, R3 = H, alkyl; R4 = H, (substituted) alkyl; R5 = R2, alkylaminocarbonyl, arylaminocarbonyl, alkylcarbonyl, arylcarbonyl; R6 = R2, arylalkyl; R5R6 = (substituted) CH2CH2, CH2CH2CH2, CH:CH, CH:N, N:CH, N:CHCH2; X = C, CH, N; Y = alkylene] were prepd. Thus, 5-(2-chloroethyl)-3,6-dimethyl-2-methylamino-4(3H)pyrimidinone hydrochloride (prepn. given), 4-[bis(4-fluorophenyl)methylene]piperidine hydrobromide, Na2CO3, KI, and 4-methyl-2-pentanone were refluxed overnight to give 68.9% title compd. II. II at 0.04-0.63 mg/kg i.p. in rats increased the duration of deep slow-wave sleep (SWS2) episodes while reducing the no. of such episodes; II was 10 .times. more active than ritanserin in this screen.

IT **132136-08-4P 132136-57-3P 132136-59-5P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of, as serotonin, histamine, and dopamine antagonist)

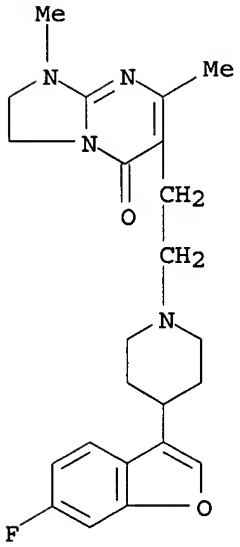
RN 132136-08-4 CAPLUS
CN 4(3H)-Pyrimidinone, 5-[2-[4-benzo[b]thien-3-yl-1-piperidinyl]ethyl]-3,6-dimethyl-2-(methylamino)- (9CI) (CA INDEX NAME)




RN 132136-57-3 CAPLUS
CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 6-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-1,2,7-trimethyl- (9CI) (CA INDEX NAME)

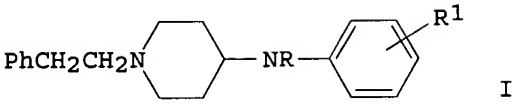


RN 132136-59-5 CAPLUS
CN Imidazo[1,2-a]pyrimidin-5(1H)-one, 6-[2-[4-(6-fluoro-3-benzofuranyl)-1-piperidinyl]ethyl]-2,3-dihydro-1,7-dimethyl- (9CI) (CA INDEX NAME)



L10 ANSWER 24 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1981:417982 CAPLUS
DN 95:17982
TI Opiate receptor interaction of compounds derived from or structurally related to fentanyl
AU Lobbezoo, Marinus W.; Soudijn, Willem; Van Wijngaarden, Ineke
CS Dep. Pharm. Chem., Univ. Amsterdam, Amsterdam, 1018 TV, Neth.
SO Journal of Medicinal Chemistry (1981), 24(7), 777-82
CODEN: JMCMAR; ISSN: 0022-2623

DT Journal
LA English
GI



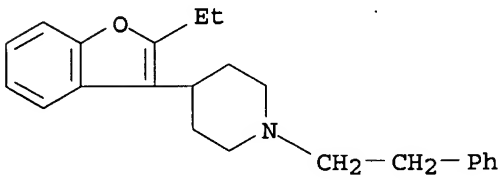
I

AB Twenty-seven title compds. I (R = H, COEt; R1 = H, OMe, Et, NO2, etc.) were synthesized and their opiate receptor affinity was detd. by in vitro receptor binding assays. The relatively high affinity of fentanyl citrate (I; R = COEt; R1 = H) [990-73-8] (3 times morphine) was hardly influenced by the introduction of a 2-Me, 2-OMe, or a 2-Cl substituent into the anilino Ph group and was moderately reduced by 2-Et, OEt, and 2,6-di-Me substitution in this ring. Removal of the COEt group of the 2-OMe deriv., fixation of the anilino Ph in fentanyl to the COEt group or the piperidine ring, and replacement of the amide N by C all caused a sharp decline of receptor affinity. Examn. of mol. models indicated that optimal opiate receptor interaction of fentanyl and its derivs. requires a virtually perpendicular position of the anilino Ph with respect to the amide function.

IT **77483-26-2P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. and opiate receptor binding activity of)

RN 77483-26-2 CAPLUS

CN Piperidine, 4-(2-ethyl-3-benzofuranyl)-1-(2-phenylethyl)-, hydrochloride (9CI) (CA INDEX NAME)



● HCl

L10 ANSWER 25 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1980:128735 CAPLUS
DN 92:128735
TI 1-[4-(4-Fluorophenyl)-4-oxobutyl]-4-(2-benzofuranyl)-1,2,3,6-tetrahydropyridine
IN Zarins, P.; Lavrinovich, E. S.; Germane, S.
PA Institute of Organic Synthesis, Academy of Sciences, Latvian S.S.R., USSR
SO U.S.S.R.
From: Otkrytiya, Izobret., Prom. Obraztsy, Tovarnye Znaki 1979, (41), 260.
CODEN: URXXAF
DT Patent
LA Russian
FAN.CNT 1

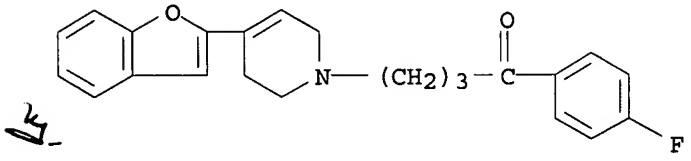
| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | SU 607413 | T | 19791105 | SU 1976-2430455 | 19761217 <-- |
| PRAI | SU 1976-2430455 | | 19761217 | | |

AB The title compd. was prepd. by heating a 4-(2-benzofuranyl)pyridine with 4-(4-fluorophenyl)-4,4-ethylenedioxybutyl halide in DMF at 90-100.degree. followed by redn. of the resulting quaternary salts with NaBH4 in H2O or aq. alc. at 10-40.degree. with subsequent removal of the dioxolane by treatment with acid, e.g., HCl or F3CCO2H at 20-100.degree..

IT **73126-41-7P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 73126-41-7 CAPLUS

CN 1-Butanone, 4-[4-(2-benzofuranyl)-3,6-dihydro-1(2H)-pyridinyl]-1-(4-fluorophenyl)- (9CI) (CA INDEX NAME)



L10 ANSWER 26 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1979:152202 CAPLUS

DN 90:152202

TI Neuroleptic 2-piperidinoalkyl-1,4-benzodioxans

IN Huebner, Charles F.

PA Ciba-Geigy Corp., USA

SO U.S., 11 pp.
CODEN: USXXAM

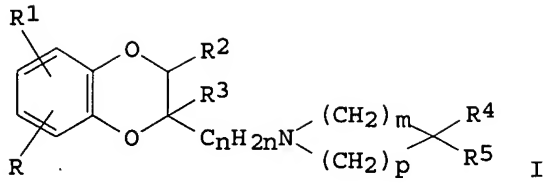
DT Patent

LA English

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 4129655 | A | 19781212 | US 1977-760493 | 19770119 <-- |
| | US 4039676 | A | 19770802 | US 1975-589118 | 19750623 <-- |
| | US 4104396 | A | 19780801 | US 1976-680318 | 19760426 <-- |
| | US 4140781 | A | 19790220 | US 1977-845019 | 19771025 <-- |
| | CS 198191 | P | 19800530 | CS 1978-411 | 19780120 <-- |
| | CS 198192 | P | 19800530 | CS 1978-412 | 19780120 <-- |
| | CS 198193 | P | 19800530 | CS 1978-413 | 19780120 <-- |
| | AT 7801958 | A | 19781115 | AT 1978-1958 | 19780320 <-- |
| | AT 350572 | B | 19790611 | | |
| | AT 7801955 | A | 19781115 | AT 1978-1955 | 19780320 <-- |
| | AT 350571 | B | 19790611 | | |
| | AT 7801956 | A | 19790415 | AT 1978-1956 | 19780320 <-- |
| | AT 353271 | B | 19791112 | | |
| PRAI | US 1975-589118 | | 19750623 | | |
| | US 1976-680318 | | 19760426 | | |
| | AT 1976-4537 | | 19760622 | | |
| | CS 1976-4113 | | 19760622 | | |
| | US 1977-760493 | | 19770119 | | |

GI



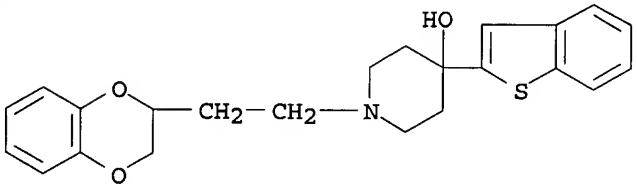
I

AB Title compds. I [R, R1 = H, alkyl, OH, SH, alkoxy, alkylenedioxy, PhCH2O, alkylthio CF3, NO2, amino; R2, R3 = H, alkyl; n = 1, 2, 3, 4; m, p = 1, 2, 3; (m + p) = 4; R4 = H, OH, alkoxy, alkenyloxy, alkynyloxy, alkanoyloxy; R5 = (un)substituted furyl, thienyl, benzofuryl, benzothienyl] were prepd. by different methods. 2-(2-Tosyloxyethyl)-1,4-benzodioxan, 4-phenyl-4-piperidinol, Na2CO3, and Me2CHCH2COMe was refluxed to yield 2-[2-(4-hydroxy-4-phenylpiperidino)ethyl]-1,2-benzodioxan. The 4-hydroxy-4-(2-thienyl) analog was prepd. by the reaction of thiophene with BuLi and 2-[2-(4-oxopiperidino)ethyl]-1,4-benzodioxan.

IT **62590-54-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 62590-54-9 CAPLUS

CN 4-Piperidinol, 4-benzo[b]thien-2-yl-1-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 27 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN

AN 1979:152199 CAPLUS

DN 90:152199

TI 2-Piperidinoalkyl-1,4-benzodioxans

IN Huebner, Charles Ferdinand

PA Ciba-Geigy Corp., USA

SO U.S., 11 pp.
CODEN: USXXAM

DT Patent

LA English

FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|---|---|---|---|---|
| PI | US 4104396 | A | 19780801 | US 1976-680318 | 19760426 <-- |
| | US 4039676 | A | 19770802 | US 1975-589118 | 19750623 <-- |
| | ZA 7602264 | A | 19770427 | ZA 1976-2264 | 19760414 <-- |
| | FR 2315272 | A1 | 19770121 | FR 1976-18796 | 19760621 <-- |
| | ES 449069 | A1 | 19771116 | ES 1976-449069 | 19760621 <-- |
| | GB 1544647 | A | 19790425 | GB 1976-25658 | 19760621 <-- |
| | BE 843241 | A1 | 19761222 | BE 1976-168173 | 19760622 <-- |
| | SE 7607152 | A | 19761224 | SE 1976-7152 | 19760622 <-- |
| | FI 7601818 | A | 19761224 | FI 1976-1818 | 19760622 <-- |
| | DK 7602789 | A | 19761224 | DK 1976-2789 | 19760622 <-- |

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|---|---|---|---|---|---|
| | NO 7602158 | A | 19761227 | NO 1976-2158 | 19760622 <-- |
| | DD 126408 | C | 19770713 | DD 1976-193503 | 19760622 <-- |
| | AU 7615130 | A1 | 19780105 | AU 1976-15130 | 19760622 <-- |
| | AU 502667 | B2 | 19790802 | | |
| | ZA 7603705 | A | 19780222 | ZA 1976-3705 | 19760622 <-- |
| | AT 7604537 | A | 19781115 | AT 1976-4537 | 19760622 <-- |
| | AT 350569 | B | 19790611 | | |
| | HU 174277 | P | 19791228 | HU 1976-CI1670 | 19760622 <-- |
| | CS 198190 | P | 19800530 | CS 1976-4113 | 19760622 <-- |
| | NL 7606856 | A | 19761227 | NL 1976-6856 | 19760623 <-- |
| | JP 52003073 | A2 | 19770111 | JP 1976-74969 | 19760623 <-- |
| | US 4129655 | A | 19781212 | US 1977-760493 | 19770119 <-- |
| | ES 460695 | A1 | 19780501 | ES 1977-460695 | 19770713 <-- |
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| | ES 460696 | A1 | 19780701 | ES 1977-460696 | 19770713 <-- |
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| | AT 7801956 | A | 19790415 | AT 1978-1956 | 19780320 <-- |
| | AT 353271 | B | 19791112 | | |
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| | US 1976-680318 | | 19760426 | | |
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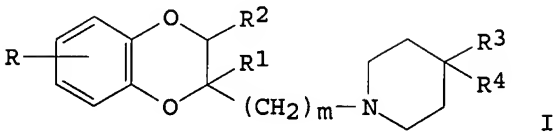


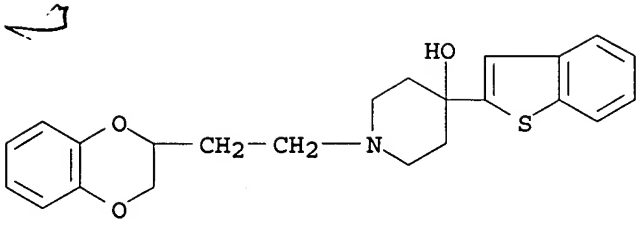

I

AB The piperidinoalkylbenzodioxanes I (R = alkyl, hydroxy, mercapto, alkoxy, alkylenedioxy, benzyloxy, alkylthio, halo, CF3, NO2, NH2; R1, R2 = H, alkyl; R3 = H, OH, alkoxy, C1-18 alkanoyloxy; R4 = alkyl, Ph, benzyl; y = 2-4), useful as analgesics and neuroleptics (no data), were prepd. Thus, 2-(2-tosyloxyethyl)-1,4-benzodioxane and 4-hydroxy-4-phenylpiperidine were refluxed in Me2CHCH2COHMe for 48 h to give I (R-R2 = H, R3 = OH, R4 = Ph, x = 1, y = 2).

IT **62590-54-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 62590-54-9 CAPLUS

CN 4-Piperidinol, 4-benzo[b]thien-2-yl-1-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]- (9CI) (CA INDEX NAME)



L10 ANSWER 28 OF 28 CAPLUS COPYRIGHT 2003 ACS on STN
AN 1977:171465 CAPLUS
DN 86:171465
TI 2-(Piperidinoalkyl)-1,4-benzodioxans
IN Huebner, Charles F.
PA Ciba-Geigy A.-G., Switz.
SO Ger. Offen., 68 pp.
CODEN: GWXXBX
DT Patent
LA German
FAN.CNT 3

| | PATENT NO. | KIND | DATE | APPLICATION NO. | DATE | |
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| PI | DE 2627616 | A1 | 19770113 | DE 1976-2627616 | 19760619 | <-- |
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| | ES 449069 | A1 | 19771116 | ES 1976-449069 | 19760621 | <-- |
| | GB 1544647 | A | 19790425 | GB 1976-25658 | 19760621 | <-- |
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| | SE 7607152 | A | 19761224 | SE 1976-7152 | 19760622 | <-- |
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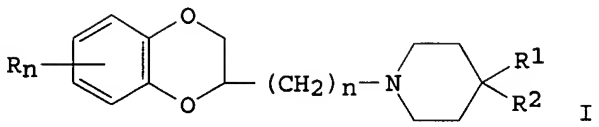


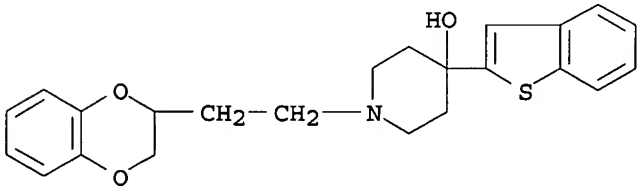
I

AB (Piperidinoalkyl)benzodioxans (I; Rn = e.g. H, 7-Cl, 6,7-Cl2, 6,7,8-Cl3, 7-Me, 8-Me, 8-MeO; R1 = H, OH, MeO; R2 = Ph, substituted phenyl, PhCH2, 2-pyridyl, 3-pyridyl, benzothienyl; n = 1,2,3), useful as analgesics and tranquilizers (no data), are prepd. by various std. procedures. Thus, H2C:CHCH2CN reacts with Br to give BrCH2CHBrCH2CN which condenses with o-C6H4(OH)2 to give 1,4-benzodioxan-2-ylacetonitrile (II). Hydrolysis and redn. of II gives 1,4-benzodioxan-2-ethanol which is converted to the p-toluenesulfonate (III). 4-Phenyl-4-piperidinol reacts with III in Me2CHCH2COMe in presence of Na2CO3 to give after 48 h reflux I (R n = H, R1 = OH, R2 = Ph).

IT **62590-54-9P**
RL: SPN (Synthetic preparation); PREP (Preparation)
(prepn. of)

RN 62590-54-9 CAPLUS

CN 4-Piperidinol, 4-benzo[b]thien-2-yl-1-[2-(2,3-dihydro-1,4-benzodioxin-2-yl)ethyl]- (9CI) (CA INDEX NAME)



=> log y

| COST IN U.S. DOLLARS | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| FULL ESTIMATED COST | 151.72 | 452.01 |

| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE ENTRY | TOTAL SESSION |
|---|---|---|
| CA SUBSCRIBER PRICE | -24.09 | -24.09 |

STN INTERNATIONAL LOGOFF AT 15:59:30 ON 25 SEP 2003